(19) Europäisches Patentamt
European Patent Office
Office européen des brevets

(11) EP 1 505 061 A1

(12) EUROPEAN PATENT APPLICATION

published in accordance with Art. 158(3) EPC

(43) Date of publication:
09.02.2005 Bulletin 2005/06

(21) Application number: **03725791.2**

(22) Date of filing: **15.05.2003**

(51) Int Cl.[7]: **C07D 209/14**

(86) International application number:
PCT/JP2003/006076

(87) International publication number:
WO 2003/097598 (27.11.2003 Gazette 2003/48)

(84) Designated Contracting States:
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IT LI LU MC NL PT RO SE SI SK TR
Designated Extension States:
AL LT LV MK

(30) Priority: **16.05.2002 JP 2002142126**

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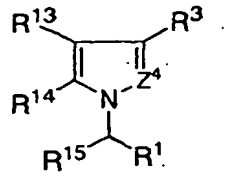
(54) COMPOUND EXHIBITING PGD 2 RECEPTOR ANTAGONISM

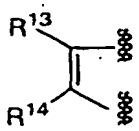
(57) A compound having CRTH2 receptor antagonism, represented by the following formula (I). The compound is useful for the treatment of allergic diseases having a relation to eosinophils and the like.

A compound of the formula (I):

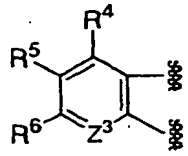


(I)

wherein
a group represented by the formula:



is a group represented by the formula:



and the like, R^1 is carboxy and the like, R^3 is $-(CH_2)n-N(-Y)-SO_2-Ar$ and the like, the other symbols are as defined in claim 1.

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Description

Technical Field

[0001] This invention relates to a new compound having CRTH2 receptor antagonistic activity.

Background Art

[0002] Prostaglandin D_2 (PGD_2), a metabolite derived from arachidonic acid through PGG_2 and PGH_2, is known to have a variety of potent biological activities. For example, PGD_2 is involved in biological actions such as sleep induction and hormone secretion in the central nervous system, inhibition of platelet aggregation, contraction of airway smooth muscle, and relaxation or constriction of vascular smooth muscle in the peripheral tissue (Pharmacol. Rev. (1994) 46, 205-229). In addition, PGD_2 is the major metabolite of arachidonic acid produced by mast cells and can cause strong bronchoconstriction, increased vascular permeability, and migration of inflammatory cells such as eosinophils. From these findings, PGD_2 is considered to be deeply involved in the pathogenesis in allergic diseases such as asthma.

[0003] Formerly, only DP receptor is known as a PGD_2 receptor and antagonists against its receptor are described in WO 98/25915, WO 01/66520, WO 01/79169 and the like.

[0004] However, it was suggested that there would be other PGD_2 receptors different from DP receptor because BW-245C which is a selective agonist against DP receptor did not have activity for eosinphil infiltration (J. Immunol. (1992) 148, 3536-3542; Invest. Ophthalmol. Vis. Sci. (1990) 31, 138-146; Br. J. Pharmacol. (1985) 85, 367-375; J. Pharmacol. Exp. Ther. (1995) 275, 611-617 etc.). Recently, it was reported that CRTH2 receptor is the other PGD_2 receptor and PGD_2 causes wandering of eosinophils and basophils vir this receptor (J. Exp. Med. (2001) 193, 255-261).

[0005] An antagonist against thromboxane A_2 (TXA_2) receptor and a platelet aggregation inhibitor having similar structure to a compound of the present invention are described in JP-A-61-249960, JP-A-62-198659, JP-A-62-249969, JP-A-2-193965, JP-A-3-151360, JP-A-4-230363, JP-A-4-234846, JP-A-4-257578, JP-A-8-157471, JP-A-8-245587, DE 3909600, Eur. J. Med. Chem., (1991) 26(8), 821-827. However, PGD2 antagonistic activity of the above compounds has not been described in them.

[0006] It is described in JP-A-3-151360 that 3-(4-chlorophenylsulfonylamino)-9-(2-carboxymethyl)-1,2,3,4-tetrahydrocarbazole and its ethyl ester have TXA_2 antagonistic activity and TXA_2 synthetase inhibitory activity. However, concrete value of the activities is not described in the document.

[0007] It is described in Eur. J. Med. Chem., 1991, 26(8), 821-827 that 3-(4-chlorophenylsulfonylaminoehtyl)indole-1-acetic acid and 3-(4-chlorophenylsulfonylaminopropyl)indole-1-acetic acid have antagonistic activity against TXA_2/PGH_2 receptor.

[0008] It is described that 3-(4-fluorophenylsulfonamide)-1,2,3,4-tetrahydro-9-carbazole propionic acid is useful for therapeutic agent for allergic dermatitis, allergic dermatitis vir delayed type allergy reaction, and psoriasis in JP-A-7-175991, WO 97/44031, JP-A-11-106337 and JP-A-11-116477. It is described in JP-A-11-322600 that the above compound has depression activity for Chemokine production. Further, it is described in J. Allergy Clin. Immunol. (1992) 89, 1119-1126 that there is possibility that the above compound will have PGD_2 antagonistic activity via DP receptor because it shows a depression effect against bronchus constriction caused PGD_2. However, according to 1) PGD_2 also binds TXA_2 receptor in high concentration range (more than 1 μM) (Eur. J. Pharmacol. (1992) 226, 149-156; Br. J. Pharmacol. (1991) 103, 1883-1888 etc., 2) antagonists against TXA_2 receptor which have weak receptor affinity for DP receptor show the same depression effect (Int. Arch. Allergy Immunol. 1992, 98, 239-246, and 3) selective DP receptor antagonists does not show a depression effect against bronchus constriction caused PGD_2 (Br. J. Pharmacol. (1989) 96, 291-300), it is known time that the above depression effect is caused by depressing the reaction via thromboxane receptor and the above compound does not have direct DP receptor antagonistic activity at the present.

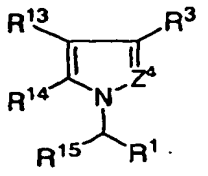
[0009] It is described in EP 1170594 that 4 compounds selectively binds CRTH2 receptor in comparison with DP receptor. However, the structures of the compounds are not similar to the compound of the present invention and details such as binding activities are not described.

Disclosure of Invention

[0010] The inventors of the present invention find out new compounds having a selective CRTH2 receptor antagonistic activity but not having a TXA_2 receptor antagonistic activity.

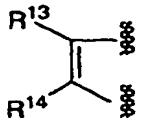
[0011] The present invention relates to

I) a compound of the formula (I):

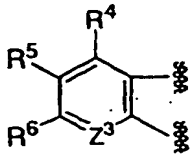


(I)

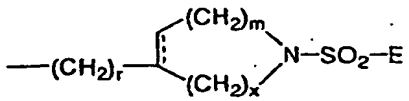
wherein
a group represented by the formula:



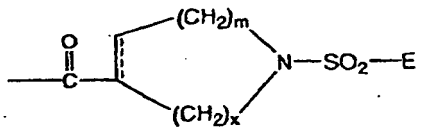
is a group represented by the formula:



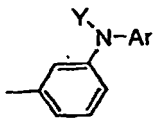
wherein Z^3 is =N- or =C(-R^7)-;
R^4, R^5, R^6 and R^7 are each independently hydrogen, halogen, haloalkyl, carboxy, alkyloxycarbonyl, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted aralkyl, a group represented by the formula: -S(O)pR^8 wherein p is an integer from 0 to 2 and R^8 is alkyl or optionally substituted aryl, a group represented by the formula: -NR^9R^{10} wherein R^9 and R^{10} are each independently hydrogen, alkyl, optionally substituted aryl, optionally substituted aralkyl or acyl, or a group represented by the formula: -OR^{11} wherein R^{11} is hydrogen, alkyl, optionally substituted aryl, optionally substituted aralkyl, alkanesulfonyl, optionally substituted arylsulfonyl, optionally substituted aralkylsulfonyl, or haloalkyl;
R^1 is carboxy, alkyloxycarbonyl, optionally substituted aminocarbonyl or tetrazolyl;
Z^4 is -N= or -C(-R^2)=; R^2 is hydrogen, alkyl or halogen;
R^{15} is hydrogen or alkyl;
R^3 is a group represented by the formula: -(CH_2)n-N(-Y)-SO_2-Ar wherein n is an integer from 1 to 3; Y is hydrogen, alkyl, alkenyl, alkynyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl or optionally substituted arylalkenyl; and Ar is optionally substituted aryl or optionally substituted heteroaryl, a group represented by the formula:



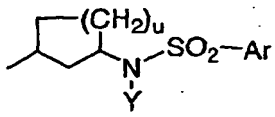
wherein r is an integer from 0 to 2; x is an integer from 0 to 3; m is an integer from 1 to 3; a broken line represents the presence or absence of a bond; E is optionally substituted aryl, optionally substituted heteroaryl, alkyl, optionally substituted aralkyl or optionally substituted arylalkenyl,
a group represented by the formula:



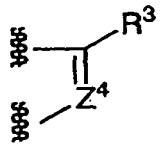
wherein x is an integer from 0 to 3; m is an integer from 1 to 3; a broken line represents the presence or absence of a bond; E is optionally substituted aryl, optionally substituted heteroaryl, alkyl, optionally substituted aralkyl or optionally substituted arylalkenyl,
a group represented by the formula: $-CR^{23}R^{24}-CR^{25}R^{26}-(CH_2)y-N(-Y)-SO_2-Ar$ wherein Ar and Y are as defined above; y is 0 or 1; one of R^{23} or R^{24} is alkyl, the other is hydrogen, alkyl, or aryl; or R^{23} and R^{24} are taken together to form a group represented by the formula: $-(CH_2)t-$ wherein t is an integer from 2 to 5; R^{25} and R^{26} are each independently hydrogen or alkyloxyalkyl,
a group represented by the formula:



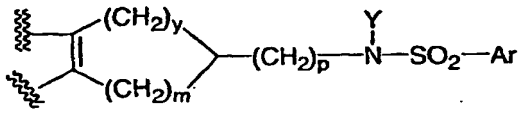
wherein Y and Ar are as defined above, or a group represented by the formula:



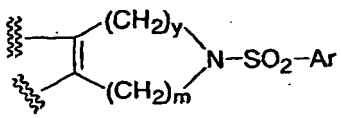
wherein Y and Ar are as defined above and u is 1 or 2; or a group represented by the formula:



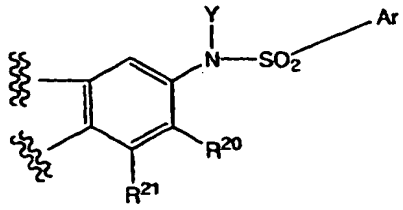
is a group represented by the formula:



wherein y is an integer from 1 to 3, and m, p, Y and Ar are as defined above,
a group represented by the formula:



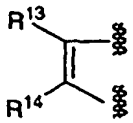
wherein m, y and Ar are as defined above, or a group represented by the formula:



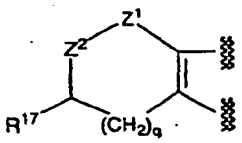
wherein Y and Ar are as defined above; R^{20} is hydrogen or alkyl; and R^{21} is hydrogen or halogen; but excluding compounds 3-(4-chlorophenylsulfonylamino)-9-(2-carboxymethyl)-1,2,3,4-tetrahydrocarbazole, its ethyl ester, 3-(4-chlorophenylsulfonylaminoethyl)indole 1-acetic acid, and 3-(4-chlorophenylsulfonylaminopropyl)indole acetic acid; or

R^{13} is hydrogen, alkyl, aralkyl, acyl or a group represented by the formula: $-OR^{16}$

wherein R^{16} is hydrogen or alkyl, and R^{14} is hydrogen or alkyl: or a group represented by the formula:



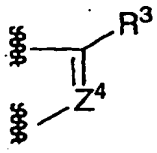
is a group represented by the formula:



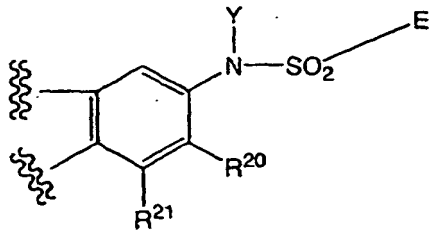
wherein q is an integer from 0 to 3; R^{17} is hydrogen or alkyl; Z^1 is $-CH_2-$, -C(=O)-, -C(=NOH)-, or -C(=NOMe)-; Z^2 is a group represented by the formula: -S(=O)s- wherein s is an integer from 0 to 2, a group represented by the formula: $-N(-R^{22})-$ wherein R^{22} is hydrogen, alkyl, alkyloxycarbonyl or acyl, or a group represented by the formula: $-CR^{18}R^{19}-$ wherein R^{18} and R^{19} are each independently hydrogen, alkyl or aryl; or R^{18} and R^{19} are taken together to form a group represented by the formula: $-(CH_2)t-$ wherein t is an integer from 2 to 5;

R^1 and R^{15} are as defined above; and

a group represented by the formula:



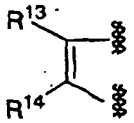
is a group represented by the formula:



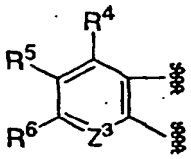
wherein Y, E, R^{20} and R^{21} are as defined above;
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

Further, this invention relates to the following II) to XV).

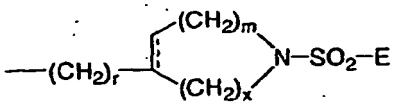
II) A compound as described in I), wherein a group represented by the formula:



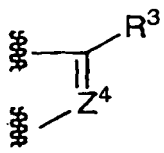
is a group represented by the formula:



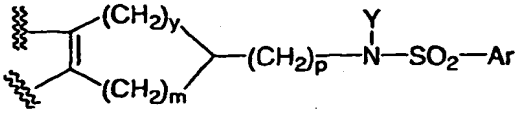
wherein Z^3 is =C(-R^7)-; R^4,R^5, R^6 and R^7 are as defined in I);
Z^4 is -C(-R^2)=; R^2 is as defined in I);
R^{15} is hydrogen; and
R^3 is a group represented by the formula: -(CH_2)n-N(-Y)-SO_2-Ar wherein n is an integer from 1 to 3; Y is hydrogen, alkyl, alkenyl, optionally substituted aryl, optionally substituted aralkyl, or optionally substituted heteroarylalkyl; and Ar is optionally substituted aryl or optionally substituted heteroaryl,
a group represented by the formula:



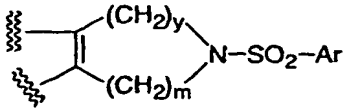
wherein r is an integer from 0 to 2; x is an integer from 0 to 3; m is an integer from 1 to 3; a broken line represents the presence or absence of a bond; E is optionally substituted aryl or optionally substituted heteroaryl; or
a group represented by the formula:



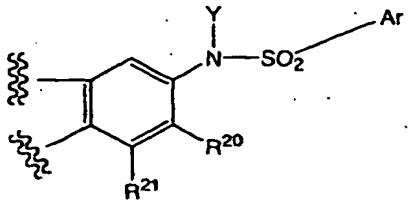
is a group represented by the formula:



wherein y is an integer from 1 to 3, and m, p, Y and Ar are as defined above,
a group represented by the formula:



wherein m, y and Ar are as defined above, or
a group represented by the formula:

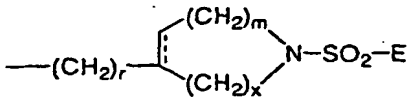


wherein Y and Ar are as defined in I), and R^{20} and R^{21} are hydrogen,
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

III) A compound as described in I) or II), wherein Y is alkyl, alkenyl, optionally substituted aryl or optionally substituted aralkyl, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

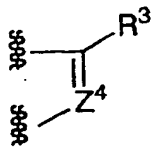
IV) A compound as described in II), wherein R^3 is a group represented by the formula: $-(CH_2)n-N(-Y)-SO_2-Ar$ wherein n is 2 or 3; Y is hydrogen, alkyl, alkenyl, or aralkyl; and Ar is as defined in I), a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

V) A compound as described in II), wherein R^3 is a group represented by the formula:

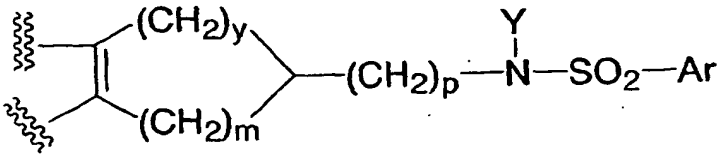


wherein m is 1; r is 0; x is 2; a broken line represents the presence or absence of a bond; and E is as defined in II), a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

VI) A compound as described in II), wherein a group represented by the formula:

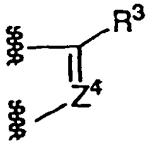


is a group represented by the formula:

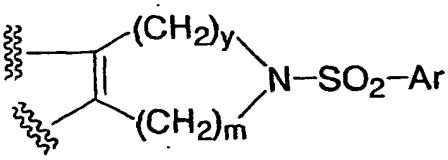


wherein m is 2; p is 0; y is 1; Y is hydrogen, alkyl, alkenyl or aralkyl; and Ar is as defined in I), a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

VII) A compound as described in II), wherein a group represented by the formula:

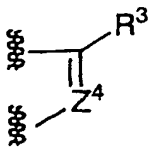


is a group represented by the formula:

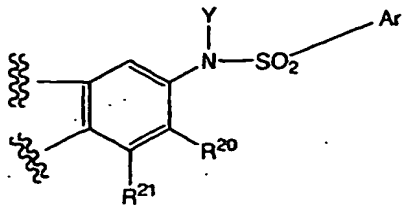


wherein m is 1 or 2; y is 1 or 2; and Ar is as defined in II), a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

VIII) A compound as described in II), wherein a group represented by the formula:



is a group represented by the formula:



wherein Y is hydrogen, alkyl, alkenyl or aralkyl; and R^{20}, R^{21} and Ar are as defined in I), a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

IX) A compound as described in any one of I) to VIII), wherein R^1 is carboxy, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

X) A compound as described in any one of I) to IX), wherein R^4, R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, alkenyl, optionally substituted aryl or optionally substituted aralkyl, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

XI) A compound as described in any one of I) to X), wherein R^2 is hydrogen or alkyl, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

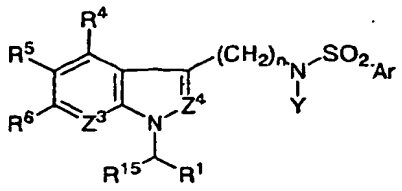
XII) A pharmaceutical composition containing a compound, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof as described in any one of I) to XI).

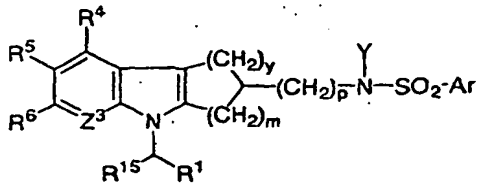
XIII) A pharmaceutical composition as described in XII), which is used for an antagonist against the CRTH2 receptor.

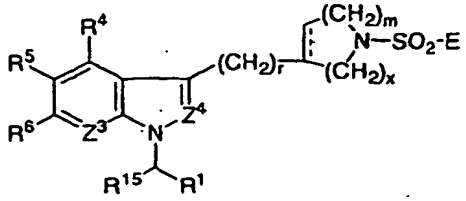
XIV) A method for treating a disease relating to the CRTH2 receptor, which comprises administrating a compound as described in I).

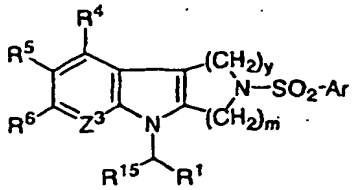
XV) Use of the compound as described in I) for the preparation of a pharmaceutical composition for treating a disease relating to the CRTH2 receptor.

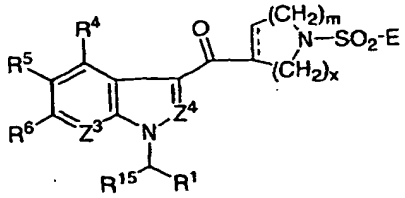
[0012] The following compounds are included in the compounds represented by the formula (I).

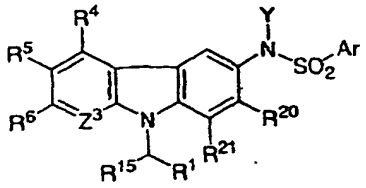


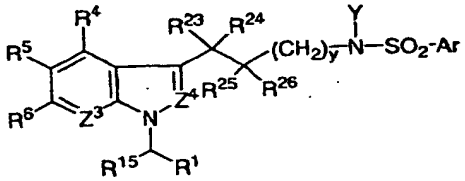


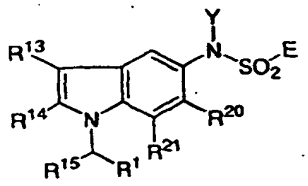


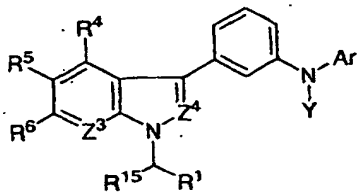


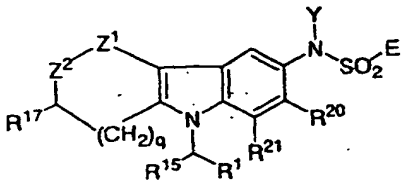


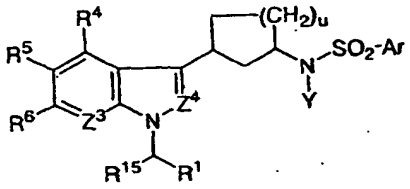












wherein each symbol in the above structures is as defined in I).

[0013] The details of the present invention are as follows.

[0014] In the present specification, the term "halogen" means fluoro, chloro, bromo, and iodo. Fluoro, chloro, and bromo are preferred as halogen.

[0015] In the present specification, the term "alkyl" employed alone or in combination with other terms includes a straight- or branched chain monovalent hydrocarbon group having 1 to 8 carbon atom(s). Examples of alkyl are methyl, ethyl, n-propyl, isopropyl, n-butyl, isobutyl, see-butyl, tert-butyl, n-pentyl, isopentyl, neo-pentyl, n-hexyl, isohexyl, n-heptyl, n-octyl, and the like. C1 to C6 alkyl is preferred. C1 to C3 alkyl is more preferred.

[0016] In the present specification, the term "cycloalkyl" employed alone or in combination with other terms includes a mono cycloalkyl having 3 to 8 carbon atom. Examples of cycloalkyl are cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and the like. C3 to C6 cycloalkyl is preferred.

[0017] In the specification, the term "alkenyl" employed alone or in combination with other terms includes a straight- or branched chain monovalent hydrocarbon group having 2 to 8 carbon atoms and one or more double bond(s). Examples of the alkenyl are vinyl, allyl, 1-propenyl, 2-propenyl, crotonyl, isopentenyl, a variety of butenyl isomers and the like. C2 to C6 alkenyl is preferred. C2 to C4 alkenyl is more preferred.

[0018] In the specification, the term "alkynyl" employed alone or in combination with other terms includes a straight

or branched chain monovalent hydrocarbon group having 2 to 8 carbon atoms and one or more triple bond(s). Examples of the alkynyl are ethynyl, 1-propynyl, 2-propynyl and the like. C2 to C6 alkynyl is preferred. C2 to C4 alkynyl is more preferred.

[0019] In the present specification, the term "aryl" employed alone or in combination with other terms includes monocyclic or condensed ring aromatic hydrocarbons. Examples of aryl are phenyl, 1-naphtyl, 2-naphtyl, anthryl, and the like. Phenyl, 1-naphtyl, and 2-naphtyl are preferred. Phenyl is more preferred.

[0020] The term "aralkyl" employed alone or in combination with other terms includes the above mentioned "alkyl" substituted with the above mentioned one or more "aryl" at any possible position. Examples of the aralkyl are benzyl, phenylethyl (e.g., 2-phenylethyl), phenylpropyl (e.g., 3-phenylpropyl), naphthylmethyl (e.g., 1-naphthylmethyl and 2-naphthylmethyl), anthrylmethyl (e.g., 9-anthrylmethyl), and the like. Benzyl, 2-phenylethyl, 1-naphthylmethyl and 2-naphthylmethyl are preferred. Benzyl and 2-phenylethyl are more preferred.

[0021] The term "aralkyl" herein used includes the above mentioned "alkyl" substituted with the above mentioned one or more "aryl" at any possible position. Examples of the aralkyl are benzyl, phenylethyl (e.g., 2-phenylethyl), phenylpropyl (e.g., 3-phenylpropyl), naphthylmethyl (e.g., 1-naphthylmethyl and 2-naphthylmethyl), anthrylmethyl (e.g., 9-anthrylmethyl), and the like. Benzyl, 2-phenylethyl, 1-naphthylmethyl and 2-naphthylmethyl are preferred. Benzyl and 2-phenylethyl are more preferred.

[0022] The term "arylalkenyl" herein used includes the above mentioned "alkenyl" substituted with the above mentioned one or more "aryl" at any possible position. Examples of the arylalkenyl are phenylallyl, naphthylallyl, and the like.

[0023] In the present specification, the term "non-aromatic heterocyclic group" includes a 5 to 7 membered non-aromatic ring which contains one or more hetero atoms selected from the group consisting of oxygen, sulfur, and nitrogen atoms in the ring, and the 5 to 7 membered non-aromatic ring may be condensed with two or more rings. Examples of the non-aromatic heterocyclic group are pyrrolidinyl (e.g., 1-pyrrolidinyl, 2-pyrrolidinyl), pyrrolinyl (e.g., 3-pyrrolinyl), imidazolidinyl (e.g., 2-imidazolidinyl), imidazolinyl (e.g., imidazolinyl), pyrazolidinyl (e.g., 1-pyrazolidinyl, 2-pyrazolidinyl), pyrazolinyl (e.g., pyrazolinyl), piperidyl (e.g., piperidino, 2-piperidyl), piperazinyl (e.g., 1-piperazinyl), indolynyl (e.g., 1-indolynyl), isoindolinyl (e.g., isoindolinyl), morpholinyl (e.g., morpholino, 3-morpholinyl), and the like.

[0024] In the present specification, the term "heteroaryl" employed alone or in combination with other terms includes a 5 to 6 membered aromatic heterocyclic group which contains one or more hetero atoms selected from the group consisting of oxygen, sulfur, and nitrogen atoms in the ring. The aromatic heterocyclic group may be fused with the above mentioned cycloalkyl, above mentioned aryl, above mentioned non-aromatic heterocyclic group, and other heteroaryl at any possible position. The heteroaryl which is a monocyclic or fused ring may be bonded at any possible position. Examples of the heteroaryl are pyrrolyl (e.g., 1-pyrrolyl, 2-pyrrolyl, 3-pyrrolyl), furyl (e.g., 2-furyl, 3-furyl), thienyl (e.g., 2-thienyl 3-thienyl), imidazolyl (e.g., 2- imidazolyl, 4- imidazolyl), pyrazolyl (e.g., 1-pyrazolyl, 3-pyrazolyl), isothiazolyl (e.g., 3-isothiazolyl), isoxazolyl (e.g., 3-isoxazolyl), oxazolyl (e.g., 2-oxazolyl), thiazolyl (e.g., 2-thiazolyl), pyridyl (e.g., 2-pyridyl, 3-pyridyl, 4-pyridyl), pyrazinyl (e.g., 2-pyrazinyl), pyrimidinyl (e.g., 2-pyrimidinyl, 4-pyrimidinyl), pyridazinyl (e.g., 3-pyridazinyl), tetrazolyl(e.g., 1H-tetrazolyl), oxadiazolyl (e.g., 1,3,4-oxadiazolyl), thiadiazolyl (e.g., 1,3,4-thiadiazolyl), indolizinyl (e.g., 2-indolizinyl, 6-indolizinyl), isoindolyl (2-isoindolyl), indolyl (e.g., 1-indolyl, 2-indolyl, 3-indolyl), indazolyl (e.g., 3-indazolyl), purinyl (e.g., 8-purinyl), quinolizinyl (e.g., 2-quinolizinyl), isoquinolyl (e.g., 3-isoquinolyl), quinolyl (e.g., 2-quinolyl, 5-quinolyl), phthalazinyl (e.g., 1-phthalazinyl), naphthyridinyl (e.g., 2-naphthyridinyl), quinolanyl (2-quinolanyl), quinazolinyl (e.g., 2-quinazolinyl), cinnolinyl (e.g., 3-cinnolinyl), pteridinyl (e.g., 2- pteridinyl), carbazolyl (e.g., 2-carbazolyl, 4-carbazolyl), phenanthridinyl (e.g., 2-phenanthridinyl, 3-phenanthridinyl), acridinyl (e.g., 1-acridinyl, 2-acridinyl), dibenzofuranyl (e.g., 1-dibenzofuranyl, 2-dibenzofuranyl), benzimidazolyl (e.g., 2-benzimidazolyl), benzisoxazolyl (e.g., 3-benzisoxazolyl), benzoxazolyl (e.g., 2-benzoxazolyl), benzoxadiazolyl (e.g., 4-benzoxadiazolyl), benzisothiazolyl (e.g., 3-benzisothiazolyl), benzothiazolyl (e.g., 2-benzothiazolyl), benzofuryl (e.g., 3-benzofuryl), benzothienyl(e.g., 2-benzothienyl), dibenzothienyl (e.g., 2-dibenzothienyl), benzodioxolyl (e.g., 1,3-benzodioxolyl) and the like.

[0025] Thienyl, benzothienyl, dibenzothienyl, benzodioxolyl, oxazolyl, and the like are preferred as heteroaryl of Ar.

[0026] The term "heteroarylalkyl" herein used includes the above-mentioned "alkyl" substituted with the above-mentioned one or more "heteroaryl" at any possible position. Examples of the heteroarylalkyl are thienylalkyl, furylalkyl, pyrrolylalkyl, imidazolylalkyl, pyrazolylalkyl, thiazolylalkyl, isothiazolylalkyl, isoxazolylalkyl, oxazolylalkyl, pyridylalkyl, and the like. Thienylmethyl (e.g., 2- thienylmethyl), thienylethyl (e.g., 2-(thiophen-2-yl)ethyl), furylmethyl (e.g., 2- furylmethyl), furylethyl (e.g., 2-(furan-2-yl)ethyl), pyrrolylmethyl (e.g., 2-pyrrolylmethyl), pyrrolylethyl (e.g., 2-(pyrrol-2-yl) ethyl), imidazolylmethyl (e.g., 2-imidazolylmethyl, 4-imidazolylmethyl), imidazolylethyl (e.g., 2-(imidazol-2-yl)ethyl), pyrazolylmethyl (e.g., 3- pyrazolylmethyl), pyrazolylethyl (e.g., 2-(pyrazol-3-yl)ethyl), thiazolylmethyl (e.g., 2-thiazolylmethyl), thiazolylethyl (e.g., 2-(thiazol-2-yl)ethyl), isothiazolylmethyl (e.g., 3-isothiazolylmethyl), isoxazolylmethyl (e.g., 3-isoxazolylmethyl), oxazolylmethyl (e.g., 2-oxazolylmethyl), oxazolylethyl (e.g., 2-(oxazol-2-yl)ethyl), pyridylmethyl (e.g., 2-pyridylmethyl , 3-pyridylmethyl , 4-pyridylmethyl), pyridylethyl (e.g., 2-pyridylethyl) and the like are exemplified.

[0027] Thienylmethyl is preferred as heteroaryl of Y.

[0028] The term "alkyloxy" herein used are methyloxy, ethyloxy, n-propyloxy, isopropyloxy, n-butyloxy, isobutyloxy,

sec-butyloxy, tert-butyloxy, and the like. Methyloxy, ethyloxy, n-propyloxy, isopropyloxy and n-butyloxy are preferred. C1 to C3 alkyloxy is more preferred.

[0029] The term."alkylthio" herein used are methylthio, ethylthio, n-propylthio, isopropylthio, n-butylthio, isobutylthio, sec-butylthio, tert-butylthio, and the like. Methylthio, ethylthio, n-propylthio, isopropylthio and n-butylthio are preferred. C1 to C3 alkylthio is more preferred.

[0030] In the present specification, phenyloxy, naphthyloxy, and the like are exemplified as "aryloxy."

[0031] In the present specification, phenylthio, naphthylthio, and the like are exemplified as "arylthio."

[0032] In the present specification, phenylazo, naphthylazo, and the like are exemplified as "arylazo."

[0033] The term "alkyloxycarbonyl" herein used are methyloxycarbonyl, ethyloxycarbonyl, n-propyloxycarbonyl, isopropyloxycarbonyl, n-butyloxycarbonyl, tert-butyloxycarbonyl, n-pentyloxycarbonyl and the like. Methyloxycarbonyl and ethyloxycarbonyl are preferred. C1 to C3 alkyloxycarbonyl are more preferred.

[0034] In the present specification, the term "acyl" employed alone or in combination with other terms includes alkylcarbonyl in which alkyl group is the above-mentioned "alkyl" and arylcarbonyl in which aryl group is the above-mentioned "aryl". "Alkyl" and "aryl" may be substituted respectively with substituents exemplified in the following "optionally substituted alkyl" and "optionally substituted aryl". Examples of the acyl are acetyl, propyonyl, butyloyl, benzoyl, and the like.

[0035] In the present specification, the term "haloalkyl" employed alone or in combination with other terms includes the above-mentioned "alkyl" which is substituted with the above-mentioned "halogen" at 1 to 8 positions, preferably, at 1 to 5. Examples of the haloalkyl are trifluoromethyl, trichloromethyl, difluoroethyl, trifluoroethyl, dichloroethyl, trichloroethyl, chloromethyl, and the like. Preferable is trifluoromethyl.

[0036] Examples of the term "acyloxy" herein used are acetyloxy, propionyloxy, benzoyloxy and the like.

[0037] Examples of the term "alkanesulfonyl" herein used are methanesulfonyl, ethanesulfonyl, n-propanesulfonyl, isopropanesulfonyl, n-butanesulfonyl, isobutanesulfonyl, sec-butanesulfonyl, tert-butanesulfonyl, and the like. Methanesulfonyl and ethanesulfonyl are preferred.

[0038] Examples of the term "arylsulfonyl" herein used are phenylsulfonyl, naphthylsulfonyl, and the like.

[0039] Examples of the term "aralkylsulfonyl" herein used are benzylsulfonyl, phenylethylsulfonyl, and the like.

[0040] Example of the term "heteroarylsulfonyl" herein used is pyrrolylsulfonyl or the like.

[0041] In the present specification, the term "optionally substituted amino" employed alone or in combination with other terms includes amino which may be substituted with one or two of the above-mentioned "alkyl", above-mentioned "aryl", above-mentioned "aralkyl", above-mentioned "heteroaryl", above-mentioned "heteroarylalkyl", above-mentioned "acyl", above-mentioned "alkyloxycarbonyl" and/or "alkanesulfonyl". Examples of the optionally substituted amino are amino, methylamino, dimethylamino, ethylmethylamino, diethylamino, benzylamino, acetylamino, benzoylamino, methyloxycarbonylamino, methanesulfonylamino, and the like. Preferable are amino, methylamino, dimethylamino, ethylmethylamino, diethylamino, acetylamino, methanesulfonylamino.

[0042] Examples of the term "optionally substituted aminocarbonyl" herein used are aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, ethylmethylaminocarbonyl, diethylaminocarbonyl, benzylamino, acetylamino, methanesulfonylaminocarbonyl and the like. Preferable are aminocarbonyl, methylaminocarbonyl, dimethylaminocarbonyl, and methanesulfonylaminocarbonyl.

[0043] In the present specification, the term "optionally substituted ureide" includes ureide substituted with one or more of the above-mentioned "alkyl", above-mentioned "aryl", above-mentioned "aralkyl", above-mentioned "heteroaryl", above-mentioned "heteroarylalkyl" or above-mentioned "acyl".

[0044] The substituents of "optionally substituted alkyl" are cycloalkyl, alkenyl, alkyliden, hydroxy, alkyloxy, mercapto, alkylthio, halogen, nitro, cyano, carboxy, alkyloxycarbonyl, haloalkyl, haloalkyloxy, optionally substituted amino, optionally substituted aminocarbonyl, acyl, acyloxy, optionally substituted non-aromatic heterocyclic group, aryloxy (e.g., phenyloxy), aralkyloxy (e.g., benzyloxy), alkanesulfonyl, guanidino, an azo group, and the like. These substituents are able to locate at one or more of any possible positions.

[0045] Preferable are alkyloxy, hydroxy, optionally substituted amino, aryloxy, and the like as substituents of "optionally substituted alkyl" for R^4, R^5, R^6, and R^7.

[0046] The substituents of "optionally substituted cycloalkyl" are alkyl, cycloalkyl, alkenyl, alkyliden, hydroxy, alkyloxy, mercapto, alkylthio, halogen, nitro, cyano, carboxy, alkyloxycarbonyl, haloalkyl, haloalkyloxy, optionally substituted amino, optionally substituted aminocarbonyl, acyl, acyloxy, aryloxy (e.g., phenyloxy), aralkyloxy (e.g., benzyloxy), alkanesulfonyl, guanidino, an azo group, and the like. These substituents are able to locate at one or more of any possible positions.

[0047] Preferable are alkyl, halogen, and the like as substituents of "optionally substituted cycloalkyl" for R^4, R^5, R^6, and R^7.

[0048] The substituents of "optionally substituted alkenyl" are alkyl, cycloalkyl, alkyliden, hydroxy, alkyloxy, mercapto, alkylthio, halogen, nitro, cyano, carboxy, alkyloxycarbonyl, haloalkyl, haloalkyloxy, optionally substituted amino, optionally substituted aminocarbonyl, acyl, acyloxy, aryl, aryloxy (e.g., phenyloxy), aralkyl, aralkyloxy (e.g., benzyloxy),

alkanesulfonyl, guanidino, an azo group, and the like. These substituents are able to locate at one or more of any possible positions.

[0049] Preferable are halogen, aryl, and the like as substituents of "optionally substituted alkenyl" for R^4, R^5, R^6, and R^7.

[0050] The substituents of "optionally substituted aryl", "optionally substituted aralkyl", "optionally substituted heteroaryl", "optionally substituted arylsulfonyl", "optionally substituted aralkylsulfonyl", and "optionally substituted non-aromatic heterocyclic group" herein used are alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, hydroxy, alkyloxy, haloalkyloxy, aryloxy, aralkyloxy, mercapto, alkylthio, halogen, nitro, cyano, carboxy, alkyloxycarbonyl, acyl, acyloxy, alkanesulfonyl, guanidino, an azo group, optionally substituted amino, optionally substituted aminocarbonyl, aryl which may be substituted with one or more of the substituents selected from Substituents group C, heteroaryl which may be substituted with one or more of the substituents selected from Substituents group C, non-aromatic heterocyclic group which may be substituted with one or more of the substituents selected from Substituents group C, aralkyl which may be substituted with one or more of the substituents selected from Substituents group C, optionally substituted ureide, and the like. These substituents are able to locate at one or more of any possible positions (Substituents group C: alkyl, haloalkyl, cycloalkyl, alkenyl, alkynyl, hydroxy, alkyloxy, haloalkyloxy, aryloxy, aralkyloxy, mercapto, alkylthio, halogen, nitro, cyano, carboxy, alkyloxycarbonyl, acyl, acyloxy, alkanesulfonyl, guanidino, an azo group, optionally substituted amino, and optionally substituted aminocarbonyl).

[0051] Preferable are alkyl, alkyloxy, halogen, and the like as substituents of "optionally substituted aryl" for R^4, R^5, R^6, and R^7.

[0052] Preferable are alkyl, alkyloxy, halogen, and the like as substituents of "optionally substituted aryl" for R^8.

[0053] Preferable are alkyl, alkyloxy, halogen, and the like as substituents of "optionally substituted aryl" for R^9 and R^{10}.

[0054] Preferable are alkyl, alkyloxy, halogen, and the like as substituents of "optionally substituted aryl" for R^{11}.

[0055] Preferable are alkyl, alkyloxy, halogen, and the like as substituents of "optionally substituted aryl" for Y.

[0056] Preferable are alkyl, alkyloxy, aryloxy, halogen, benzyl, phenyl which may be substituted with substituents selected from Substituents group B, and the like as substituents of "optionally substituted aryl" for Ar (Substituents group B: alkyl, alkyloxy, aryloxy, halogen, and benzyl).

[0057] Preferable are alkyl, halogen, and the like as substituents of "optionally substituted aralkyl" for R^4, R^5, R^6, and R^7.

[0058] Preferable are alkyl, halogen, and the like as substituents of "optionally substituted aralkyl" for R^9 and R^{10}.

[0059] Preferable are alkyl, halogen, and the like as substituents of "optionally substituted aralkyl" for R^{11}.

[0060] Preferable are alkyl, alkyloxy, nitro, halogen, and the like as substituents of "optionally substituted aralkyl" for Y.

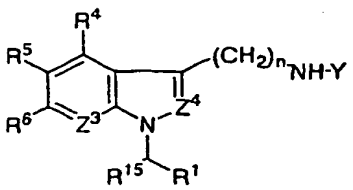
[0061] Preferable are alkyl, alkyloxy, aryloxy, halogen, benzyl, phenyl which may be substituted with substituents selected from Substituents group B, and the like as substituents of "optionally substituted heteroaryl" for Ar (Substituents group B: alkyl, alkyloxy, aryloxy, halogen, and benzyl).

[0062] Preferable are alkyl and the like as substituents of "optionally substituted arylsulfonyl" for R^{11}.

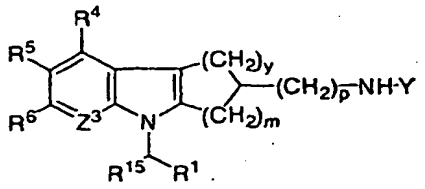
[0063] Preferable are alkyl and the like as substituents of "optionally substituted aralkylsulfonyl" for R^{11}.

Best Mode for Carrying Out the Invention

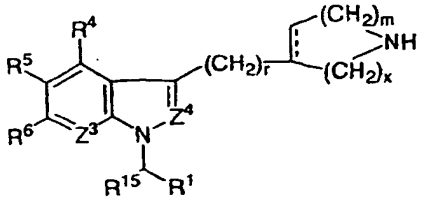
[0064] The compounds represented by the formula (I) of the present invention can be synthesized by reacting the compounds represented by the following formula (IIa) to (IIk):



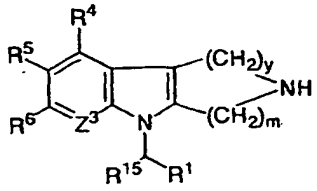
(IIa)



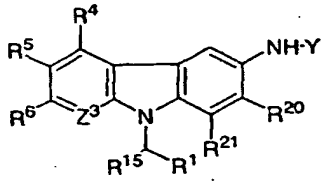
(IIb)



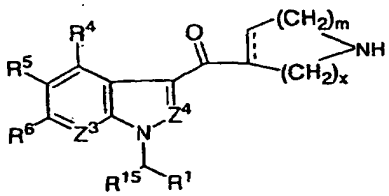
(IIc)



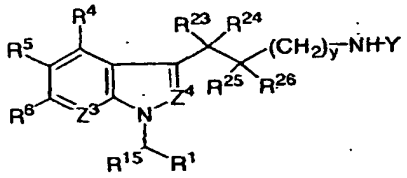
(IId)



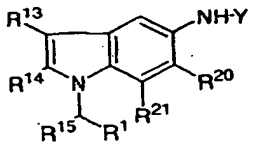
(IIe)



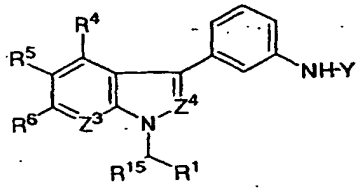
(IIf)



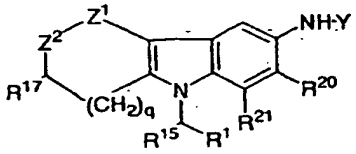
(IIg)



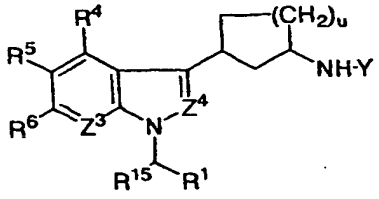
(IIh)



(IIi)



(IIj)



(IIk)

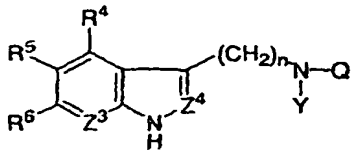
wherein R^1 is alkyloxycarbonyl and the other symbols are as defined in I) or their salts with 1 to 5 eq. of a compound represented by the formula Ar-SO_2-X^1 or E-SO_2-X^1
wherein Ar and E are as defined in I), and X^1 is halogen in an inactive solvent at 0 °C to room temperature for 5 min. to several hours. This reaction can be carried out in the presence of I to 5 eq. of a base. Triethylamine, pyridine, potassium carbonate, sodium carbonate, potassium hydrogen carbonate, sodium hydrogen carbonate, potassium hydroxide, sodium hydroxide and the like are preferred as a base. Pyridine, acetonitrile, dichloromethane, tetrahydrofuran (THF) and the like are preferred as an inactive solvent, and they can be used themselves or mixed solvents with water.

[0065] When Y is hydrogen in the above synthesized compound, the compound wherein Y is alkyl, alkenyl, optionally substituted aryl or optionally substituted aralkyl can be synthesized by reacting with Y-X^2 wherein X^2 is halogen, optionally substituted alkanesulfonyloxy or optionally substituted arylsulfonyloxy in an inactive solvent such as THF, diethylether, N,N-dimethylformamide (DMF), dimethylsulfoxide (DMSO), acetonitrile, acetone, toluene and the like in the presence of a base such as sodium hydroxide, potassium hydroxide, potassium t-butoxide, potassium carbonate and the like at 0°C to 80°C for 30 min. to several hours. Further, the compound wherein Y is alkyl, alkenyl, optionally substituted aralkyl and the like can be synthesized by reactive amination. For example, the above compound can be synthesized by reacting the compound represented by the formula (IIa), (IIb), or (IIe) wherein Y is hydrogen with corresponding aldehyde or ketone in a solvent such as THF, dichloromethane and the like in the presence of 1 to 5 eq. of sodium borohydride, sodium cyanotrihydroborate or. triacetoxyborohydride at 0°C to 80°C for 30 min. to several hours. This reaction can be carried out in the presence of 0.1 to 5 eq. of an acidic catalyst such as hydrochloric acid, acetic acid, p-toluenesulfonic acid and the like. After the reaction, the compound represented by the formula (I) can be synthesized by the above-mentioned sulfonylation.

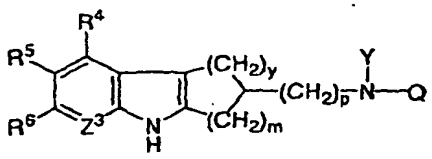
[0066] The compound represented by the formula (I) wherein R^1 is carboxy can be synthesized by acidic hydrolysis or alkali hydrolysis of ester in accordance with the usual hydrolysis condition after the above mentioned reaction.

[0067] The compound represented by the formula (IIe) can be synthesized by the method described in JP-A-8-169879 and the like.

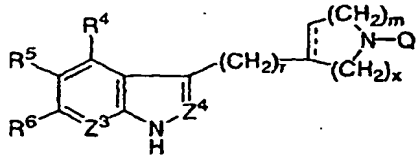
[0068] The compounds represented by the formula (IIa) to (IIk) can be synthesized using the compounds represented by the following formula (IIIa) to (IIIk) as a starting material.



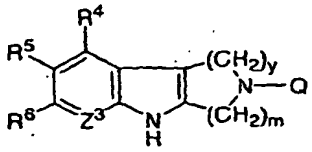
(IIIa)



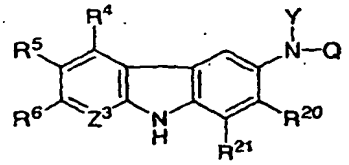
(IIIb)



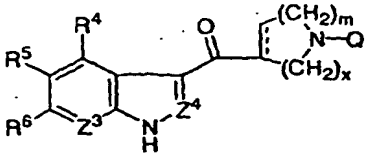
(IIIc)



(IIId)



(IIIe)



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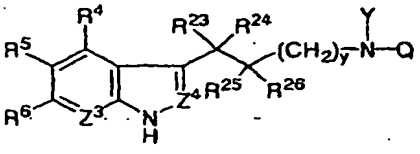
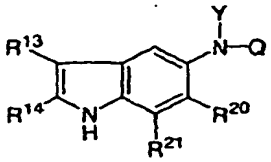
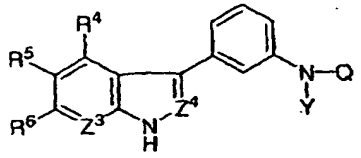


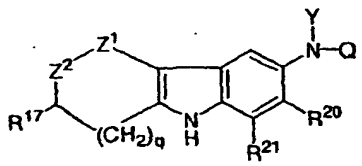
(IIIg)



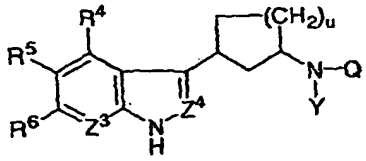
(IIIh)



(IIIi)



(IIIj)



(IIIk)

wherein each symbol is as defined in I) and Q is hydrogen.

[0069] The compounds represented by the formula (IIa) to (IIk), their salts of inorganic acid such as hydrochloric acid, sulfuric acid and the like or organic acid such as acetic acid, trifluoroacetic acid and the like can be synthesized in accordance with the following processes 1) to 3);

1) An amino group of the compound represented by the formula (IIIa)~(IIIk) wherein Q is hydrogen is protected with amino protecting group such as t-butoxycarbonyl, benzyloxycarbonyl, allyloxycarbonyl and the like in accordance with the method described in PROTECTIVE GROUP IN ORGANIC SYNTHSIS. JOHN WILEY & SONS, INC. and the like.

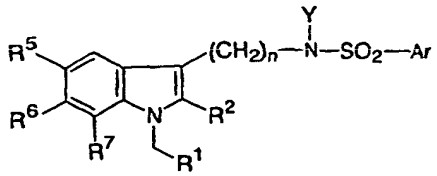
2) The indole derivatives having an alkyloxycarbonylmethyl group on its nitrogen atom is synthesized by reacting the obtained compound in the above process with 1 to 5 eq. of a compound represented by the formula: X^1-$CH_2CO_2R^{12}$ wherein X^1 is halogen and R^{12} is alkyl in an inactive solvent such as pyridine, acetonitrile, dichloromethane, THF, DMF, DMSO, acetone, methylethylketone, methylisobutylketone and the like in the presence of 1 to 5 eq. of a base such as sodium hydride, potassium hydride, potassium t-butoxide, potassium carbonate and the like at 0 to 100 °C for 1h to 20h. 0.1 to 1 eq. of a phase transfer catalyst such as tetrabutylammonium chloride, tetrabutylammonium bromide, tetrabutylammonium iodide, benzyltriethylammonium chloride, benzyltributylammonium chloride and the like may be added to the above reaction mixture.

3) Q, an amino protective group, is deprotected by the usual deprotecting reaction.

[0070] The compounds represented by the formula (IIIc) and (IIId), and the compounds represented by the formula (IIIa), (IIIb), and (IIIe) wherein Y is not hydrogen can be introduced into the compound of the formula (I) by the above mentioned sulfonylation and alkyloxycarbonylmethylation of nitrogen atom on the indole ring without protection of an amino group.

[0071] The compound represented by the formula (I) is a compound having a selective antagonistic activity against CRTH2 receptor but not having an antagonistic activity against TXA_2 receptor. Especially, the compounds represented by the following formula (Ia) to (Ie) are preferred as such a compound.

[0072] A compound of the formula (Ia):

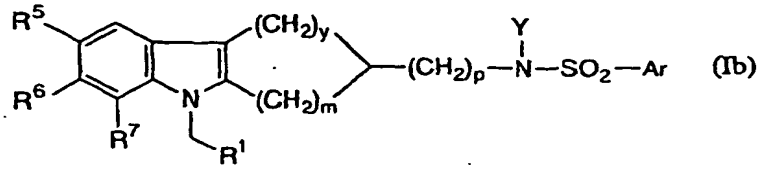


(Ia)

wherein R^1 is carboxy or optionally substituted aminocarbonyl;
R^2 is hydrogen or alkyl;
R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, or a group represented by the formula: $-OR^{11}$ wherein R^{11} is alkyl;

Y is hydrogen, alkyl, alkenyl, phenyl, phenylalkyl which may be substituted with one or more substituents selected from Substituents group A, naphthylalkyl which may be substituted with one or more substituents selected from Substituents group A, or thienylalkyl which may be substituted with one or more substituents selected from Substituents group A (Substituents group A: alkyl, alkyloxy, and nitro);
Ar is phenyl which may be substituted with one or more substituents selected from Substituents group B, biphenyl which may be substituted with one or more substituents selected from Substituents group B, thienyl which may be substituted with one or more substituents selected from Substituents group B or dibenzothienyl which may be substituted with one or more substituents selected from Substituents group B (Substituents group B: alkyl, alkyloxy, aryloxy, halogen, hydroxy, and benzyl); and
n is 1, 2, or 3,
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

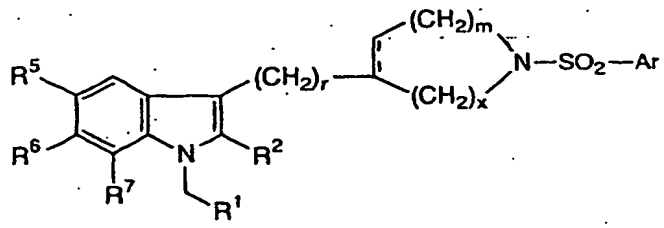
[0073] A compound represented by the formula (Ib):



(Ib)

wherein R^1 is carboxy or optionally substituted aminocarbonyl;
R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, or a group represented by the formula: $-OR^{11}$ wherein R^{11} is alkyl;
Y is hydrogen, alkyl, alkenyl, phenyl, phenylalkyl which may be substituted with one or more substituents selected from Substituents group A, naphthylalkyl which may be substituted with one or more substituents selected from Substituents group A, or thienylalkyl which may be substituted with one or more substituents selected from Substituents group A (Substituents group A: alkyl, alkyloxy and nitro);
Ar is phenyl which may be substituted with one or more substituents selected from Substituents group A, biphenyl which may be substituted with one or more substituents selected from Substituents group A, thienyl which may be substituted with one or more substituents selected from Substituents group A, or dibenzothienyl which may be substituted with one or more substituents selected from Substituents group A (Substituents group A: halogen, alkyl, alkyloxy, aryloxy, hydroxy, and benzyl); m is 1 or 2;
p is 0 or 1; and
y is 0, 1, or 2,
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

[0074] A compound represented by the formula (Ic):

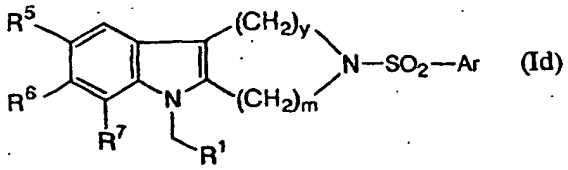


(Ic)

wherein R^1 is carboxy or optionally substituted aminocarbonyl;
R^2 is hydrogen or alkyl;
R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, or a group represented by the formula: $-OR^{11}$ wherein R^{11} is alkyl;
Ar is phenyl which may be substituted with one or more substituents selected from Substituents group B, biphenyl which may be substituted with one or more substituents selected from Substituents group B, thienyl which may be substituted with one or more substituents selected from Substituents group B, or dibenzothienyl which may be substituted with one or more substituents selected from Substituents group B (Substituents group B: alkyl, alkyloxy, aryloxy, halogen, hydroxy, and benzyl; m is 1, 2, or 3;
r is 0 or 1; and

x is 0, 1, or 2,
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

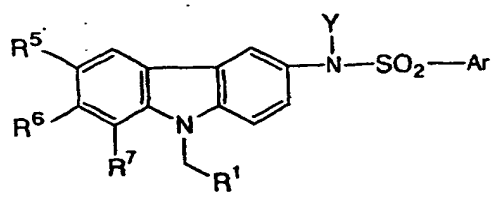
[0075] A compound represented by the formula (Id):



(Id)

wherein R^1 is carboxy or optionally substituted aminocarbonyl;
R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, or a group represented by the formula: $-OR^{11}$ wherein R^{11} is alkyl;
Ar is phenyl which may be substituted with one or more substituents selected from Substituents group B, biphenyl which may be substituted with one or more substituents selected from Substituents group B, thienyl which may be substituted with one or more substituents selected from Substituents group B or dibenzothienyl which may be substituted with one or more substituents selected from Substituents group B (Substituents group B: alkyl, alkyloxy, aryloxy, halogen, hydroxy, and benzyl); m is 1 or 2; and
y is 1 or 2,
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

[0076] A compound represented by the formula (Ie):



(Ie)

wherein R^1 is carboxy or optionally substituted aminocarbonyl; R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, or a group represented by the formula: $-OR^{11}$ wherein R^{11} is alkyl;

Y is hydrogen, alkyl, alkenyl, phenyl, phenylalkyl which may be substituted with one or more substituents selected from Substituents group A, naphthylalkyl which may be substituted with one or more substituents selected from Substituents group A, or thienylalkyl which may be substituted with one or more substituents selected from Substituents group A (Substituents group A: alkyl, alkyloxy and nitro); and

Ar is phenyl which may be substituted with one or more substituents selected from Substituents group B, biphenyl which may be substituted with one or more substituents selected from Substituents group B, thienyl which may be substituted with one or more substituents selected from Substituents group B or dibenzothienyl which may be substituted with one or more substituents selected from Substituents group B (Substituents group B: alkyl, alkyloxy, aryloxy, halogen, hydroxy, and benzyl), a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

[0077] The term "solvate" includes, for example, solvates with organic solvents, hydrates, and the like. A solvate may coordinate with an arbitrary number of organic solvent molecules. A hydrate may coordinate with an arbitrary number of water molecules. A hydrate is preferred.

[0078] The term "compound of the present invention" herein used includes a pharmaceutically acceptable salt. The salt is exemplified by a salt with alkali metals (e.g., lithium, sodium, potassium, and the like), alkaline earth metals (e.g., magnesium, calcium, and the like), ammonium, organic bases, amino acids, mineral acids (e.g., hydrochloric acid, hydrobromic acid, phosphoric acid, sulfuric acid, and the like), or organic acids (e.g., acetic acid, citric acid, maleic acid, fumaric acid, benzenesulfonic acid, p-toluenesulfonic acid, and the like). These salts can be formed by the usual method.

[0079] Prodrug is a derivative of the compound having a group which can be decomposed chemically or metabolically, and such prodrug is a compound according to the present invention which becomes pharmaceutically active by means of solvolysis or by placing the compound in vivo under a physiological condition. The method of both selection and manufacture of appropriate prodrug derivatives is described in, for example. Design of Prodrugs, Elsevier, Amsterdam, 1985). For instance, prodrugs such as an ester derivative which is prepared by reacting a basal acid compound with

a suitable alcohol, or an amide derivative which is prepared by reacting a basal acid compound with a suitable amine are exemplified when the compounds according to present invention have a carboxylic group. Particularly preferred esters as prodrugs are methyl ester, ethyl ester, n-propyl ester, isopropyl ester, n-butyl ester, isobutyl ester, tert-butyl ester, morpholinoethyl ester, N,N-diethylglycolamido ester, and the like. For instance, prodrugs such as an acyloxy derivative which is prepared by reacting a basal hydroxy compound with a suitable acyl halide or a suitable acid anhydride, or an amide derivative which is prepared by reacting a basal acid compound with a suitable amine are exemplified when the compounds according to present invention have a hydroxy group. Particularly preferred acyloxy derivatives as prodrugs -$OCOC_2H_5$, -OCO(t-Bu), -$OCOC_{15}H_{31}$, -OCO(m-COONa-Ph), -$COCH_2CH_2COONa$, -$OCOCH(NH_2)CH_3$, -$OCOCH_2N(CH_3)_2$, and the like. For instance, prodrugs such as an amide derivative which is prepared by reacting a basal amino compound with a suitable acid halide or a suitable acid anhydride are exemplified when the compounds according to present invention have an amino group. Particularly preferred amide as prodrugs are -$NHCO(CH_2)_{20}CH_3$, -$NHCOCH(NH_2)CH_3$, and the like.

[0080] The compound of the present invention is not restricted to any particular isomers but includes all possible isomers and racemic modifications.

[0081] The compounds of the present invention show excellent CRTH2 receptor antagonism as described in examples mentioned later. Therefore, the pharmaceutical composition of the prsent invention may be used as a treating and/or preventing agent for allergic diseases having a relation to eosinophils, such as asthma, allergic rhinitis, allergic dermatitis, morbilli papulosi (guinea worm disease and the like), vasculitis, polyarteritis, dermal eosinophilic granuloma, autoimmune disease (e.g., multiple sclerosis, graft rejection, etc.), simple pulmonary eosinophilia, histiocytosis (Histiocytosis), pneumonia, aspergillosis, pleurisy, sarcoidosis, idiopathic pulmonary fibrosis, eosinophilic leucocytosis, filariasis, schistosomiasis, trichiniasis, coccidioidomycosis, tuberculous, bronchogenic cancer, lymphoma, Hodgkin's disease and the like.

[0082] When the compound of the present invention is administered to a person for the treatment of the above diseases, it can be administered orally as powder, granules, tablets, capsules, pilulae, and liquid medicines, or parenterally as injections, suppositories, percutaneous formulations, insufflation, or the like. An effective dose of the compound is formulated by being mixed with appropriate medicinal admixtures such as excipient, binder, penetrant, disintegrators, lubricant, and the like if necessary. Parenteral injections are prepared by sterilizing the compound together with an appropriate carrier.

[0083] The dosage varies with the conditions of the patients, administration route, their age, and body weight. In the case of oral administration, the dosage can generally be between 0. 1 to 100 mg/kg/day, and preferably 1 to 20 mg/kg/day for adult.

Example

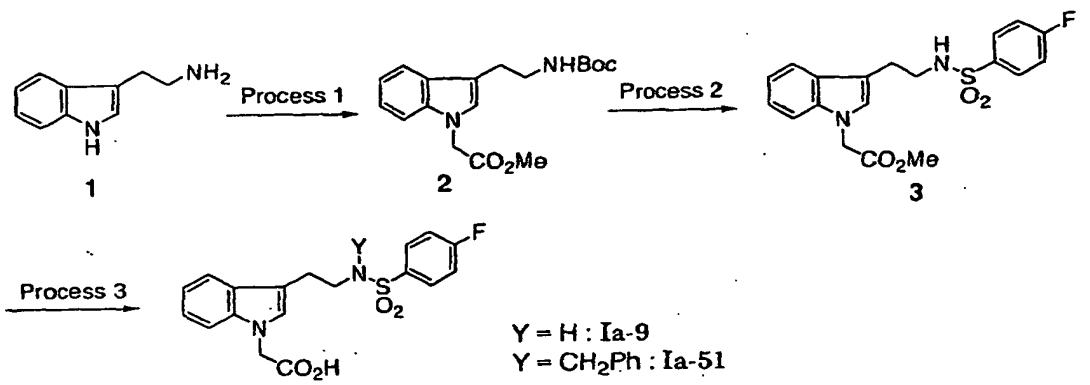
[0084] The following examples and experiments are provided to further illustrate the present invention and are not to be construed as limiting the scope.

[0085] Abbreviations described below are used in the following examples.

Me:	Methyl
Et:	Ethyl
iPr:	isopropyl
Ph:	Phenyl
Boc:	t-butoxycarbonyl
THF:	tetrahydrofuran
MeOH:	Methanol
Naphthyl:	naphthyl
Benzyl:	benzyl
Thienyl:	thienyl
Biphenyl:	biphenyl
Dibenzothiophene:	dibenzothiophene

Example 1 Compound Ia-9 and Compound Ia-51

[0086]



Process 1

[0087] To a solution of tryptamine (1) (20g, 0.125mol) in dioxane (160mL) - water (80mL) was added a mixture of sodium carbonate (39.7g, 0.374mol) and di-tert-butyl dicarbonate (31.5mL, 0.137mol) in dioxane (20mL) at ice-cooling and the resulting mixture was stirred for 2.5 h. After adding 2mol/L hydrochloric acid to the reaction mixture, the mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried and concentrated in vacuo to give a residue (42.49 g). 7.0 g of the residue was dissolved in methyl ethyl ketone (150mL). To the mixture were added potassium carbonate (11.15g, 80.7mmol) and methyl bromoacetate (10.2mL, 0.108mol), and the resulting mixture was heated for 48 h at reflux. The mixture was diluted with ethyl acetate. The resulting mixture was washed with dilute hydrochloric acid and aqueous sodium hydrogencarbonate respectively, dried and concentrated in vacuo. The residue was subjected to silica gel column chromatography (hexane: ethyl acetate = 2:1) to give compound (2) (4.57g; yield 51%).

Process 2

[0088] To a solution of Compound (2) (1.5g, 4.5mmol) in dichloromethane (10mL) was added trifluoroacetic acid (10mL) and the mixture was stirred for 10 min. at room temperature. The reaction mixture was concentrated in vacuo and neutralized with 2mol/L aqueous sodium carbonate. The resulting mixture was extracted with ethyl acetate. The organic layer was washed with brine, dried and concentrated in vacuo to give a residue (983 mg). 120 mg of the residue was dissolved in dichloromethane (3mL). To a solution were added triethylamine (0.108mL, 0.775mmol) and 4-fluorobenzenesulfonylchloride (121mg, 0.622mmol) and the resulting mixture was stirred for 2 h at room temperature. The mixture was diluted with ethyl acetate, washed with dilute hydrochloric acid and aqueous sodium hydrogencarbonate respectively, dried, and concentrated in vacuo. The residue was subjected to silica gel column chromatography (hexane: ethyl acetate = 3:2) to give compound (3) (99mg; yield 46%).

Process 3

[0089] To a solution of compound (3) (99mg, 0.254mmol) in MeOH (1.5mL) - THF (1.5mL) was added 2mol/L aqueous sodium hydroxide (0.76mL, 1.52mmolyand the resulting mixture was stirred for 5.5 h at room temperature. The mixture was acidified with dilute hydrochloric acid and extracted with ethyl acetate. The organic layer was washed with water and brine, dried, and concentrated in vacuo to give compound (Ia-9) (79mg; yield 83%). The physical property of the compound is shown in Table 12.

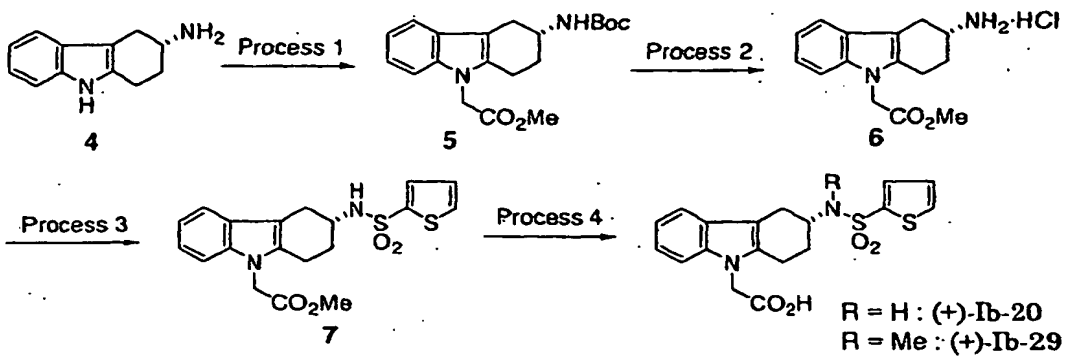
Process 4

[0090] To a solution of compound (3) (132mg, 0.339mmol) in N,N-dimethylformamide (2ml) were added benzyl bromide (48μL, 0.407mmol) and potassium carbonate (70mg, 0.509mmol) and the resulting mixture was stirred for 21.5 h at 50 °C. The reaction mixture was poured in water and extracted with ethyl acetate. The organic layer was washed with brine, dried, and concentrated in vacuo. The residue was dissolved in MeOH (2mL) - THF (2mL). To the solution

was added 2mol/L aqueous sodium hydroxide(1.1mL, 2.2mmol) and the mixture was stirred for 5 h at room temperature. The resulting mixture was diluted with water and washed with diethyl ether. The aqueous layer was acidified with dilute hydrochloric acid and extracted with ethyl acetate. The organic layer was washed with water and brine, dried, and concentrated in vacuo to give compound (Ia-51) (175mg; yield 99%). The physical property of the compound is shown below.

Example 2 Compound Ib-20 and Compound Ib-29

[0091]



Process 1

[0092] To a solution of (3R)-3-amino-1,2,3,4-tetrahydrocarbozole (4) (3.33g, 17.9mmol) described in JP-A-62-198659 in 1,4-dioxane (33mL) was added di-tert-butyl dicarbonate (4.1g, 18.8mmol) and the resulting mixture was stirred for 2 h at room temperature. The residue obtained by vacuum concentration was dissolved in methyl ethyl ketone (52mL). To the mixture were added potassium carbonate (4.52g, 32.7mmol), benzyltriethylammonium chloride (0.74g, 3.26mmol), and methyl bromoacetate (5.00g, 32.7mmol) and the mixture was heated for 4 h at reflux. The insoluble residue was filtered off and the filtrate was concentrated in vacuo. The residue was diluted with ethyl acetate, washed with water, dried, and concentrated in vacuo. The residue was crystallized from hexane - diethyl ether to give compound (5) (4.36g; yield 68%, mp. 127-130 °C).

Process 2

[0093] To a solution of compound (5) (4.25g, 11.9mmol) in ethyl acetate (12mL) was added 4mol/L hydrochloric acid-ethyl acetate (12mL, 48.0mmol) and the resulting mixture was stirred for 2 h at room temperature. The precipitated crystalline was filtered off and washed with ethyl acetate to give compound (6) (3.44g; yield 98%).

Process 3

[0094] To a solution of compound (6) (295mg, 1.0mmol) in THF (6mL) were added triethylamine (0.30g, 3.0mmol) and 2- thiophene sulfonyl chloride (296mg, 1.62mmol), and the resulting mixture was stirred for 16 h at room temperature. The reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with dilute hydrochloric acid and water, dried over magnesium sulphate, and concentrated in vacuo. The residue was subjected to silica gel column chromatography (hexane: ethyl acetate = 1:1) to give compound (7) (379mg; yield 94%).

Process 4

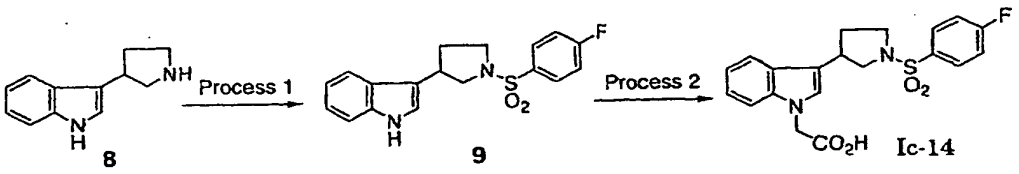
[0095] To a solution of compound (7) (371mg, 0.917mmol) in MeOH (1.2mL) - THF (1.2mL) was added 4mol/L aqueous sodium hydroxide (0.6mL, 2.4mmol) and the resulting mixture was stirred for 2 h at room temperature. The reaction mixture was diluted with water, acidified with dilute hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with water, dried over magnesium sulphate, and concentrated in vacuo to give compound (+)-Ib-20 (343mg; yield 91%).

Process 5

[0096] To a solution of compound (7) (243mg, 0.622mmol) in N,N-dimethylformamide (2mL) were added potassium carbonate (0.26g, 1.87mmol) and methyl iodide (0.27g, 1.90mmol) and the resulting mixture was stirred for 2.5 h at room temperature. The reaction mixture was diluted with ethyl acetate, washed with water, dried, and concentrated in vacuo. The residue was dissolved in MeOH (1mL) - THF (ImL). To the mixture was added 4mol/L aqueous sodium hydroxide (0.4mL, 1.6mmol) and the mixture was stirred for 3 h at room temperature. The reaction mixture was diluted with water, acidified with dilute hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with water, dried, and concentrated in vacuo. The residue was crystallized form hexane - ethyl acetate to give compound (+)-Ib-29 (217mg; yield 88%). The physical property of the compound is shown below.

Example 3 Compound Ic-14

[0097]



Process 1

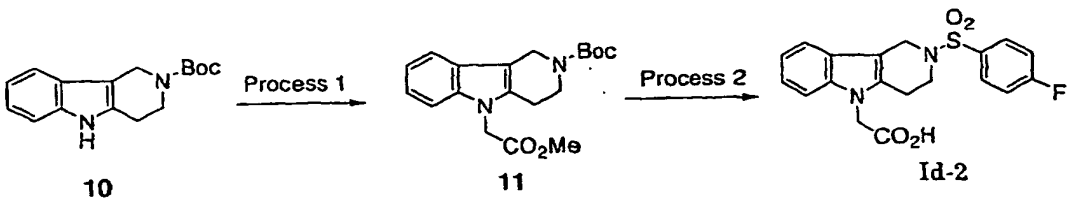
[0098] To a solution of compound (8) (610mg, 3.28mmol, Synthesis, 443 (1997)) in THF (6mL) were added triethylamine (0.50g, 4.92mmol) and 4-fluorobenzenesulfonyl chloride (0.70g, 3.60mmol), and the resulting mixture was stirred for 1.5 h at room temperature. The reaction mixture was diluted with water and extracted with ethyl acetate. The organic layer was washed with dilute hydrochloric acid and water respectively, dried, and concentrated in vacuo. The resulting residue was subjected to silica gel column chromatography (toluene - ethyl acetate = 5:1) to give compound (9) (856mg; yield 76%).

Process 2

[0099] To a solution of compound (9) (800mg, 2.32mmol) in methyl ethyl ketone (8mL) were added potassium carbonate (0.96g, 6.96mmol), benzyltriethylammonium chloride (106mg, 0.464mmol), and methyl bromoacetate (1.06g, 6.96mmol), and the resulting mixture was heated for 2.5 h at reflux. The reaction mixture was diluted with water and extracted with toluene. The organic layer was washed with water, dried, and concentrated in vacuo. The resulting residue was subjected to silica gel column chromatography (toluene - ethyl acetate = 5: 1) and obtained material was dissolved in MeOH (2.8ml) - THF(1.4ml). To the solution was added 4mol/L aqueous sodium hydroxide (1.4mL, 5.6mmol) and the mixture was stirred for 2 h at room temperature. The reaction mixture was diluted with water, acidified with dilute hydrochloric acid, and extracted with ethyl acetate. The organic layer was washed with water, dried, and concentrated in vacuo. The resulting residue was crystallized from hexane - ethyl acetate to give compound Ic-14 (717mg; yield 79%). The physical property of the compound is shown below.

Example 4 Compound Id-2

[0100]



Process 1

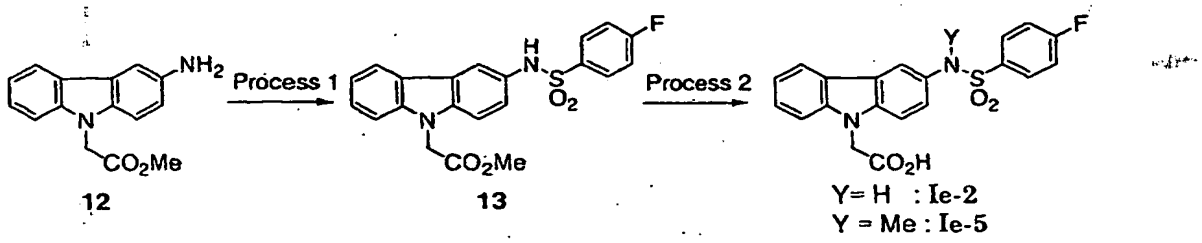
[0101] To a solution of compound (10) (1.25g, 4.59mmol) in methyl ethyl ketone (20mL) prepared by the method described in Journal of Organic Chemistry, 62, 2676(1997) were added potassium carbonate (1.9g, 13.77mmol), methyl bromoacetate (1.74mL, 18.36mmol), and benzyltriethylammonium chloride (209mg, 0.92mmol), and the resulting mixture was heated for 20 h at reflux. The mixture was diluted with ethyl acetate, washed with water and brine respectively, dried, and concentrated in vacuo. The residue was subjected to silica gel column chromatography (hexane - ethyl acetate, 3:1) to give compound (11) (1.18g; yield 75%).

Process 2

[0102] To a solution of compound (11) (355mg, 1.03mmol) in diethyl ether (0.5mL) was added 4mol/L hydrochloric acid - ethyl acetate (2.06mL,.8.24mmol), and the resulting mixture was stirred for 3 h at room temperature. The precipitated hydrochloric acid salt was filtered off, washed with diethyl ether, and dissolved in THF (3mL). To this mixture were added triethylamine (0.37mL, 2.66mmol) and 4-fluorobenzenesulfonyl chloride (365mg, 1.88mmol). The resulting mixture was stirred for 16 h at room temperature, diluted with ethyl acetate, washed with dilute hydrochloric acid and aqueous sodium hydrogencarbonate respectively, dried, and concentrated in vacuo. The residue was subjected to silica gel column chromatography (hexane: ethyl acetate = 2:1). The product material was dissolved in MeOH (8mL) - THF(4mL). To the mixture was added 1mol/L aqueous sodium hydroxide (1.8mL, 1.8mmol) and the resulting mixture was stirred for 16 h at room temperature. The reaction mixture was diluted with water and washed with diethyl ether. To the aqueous layer was added dilute hydrochloric acid and the precipitated crystalline was filtered off, washed with water, and dried to give compound Id-2 (192mg; yield 48%). The physical property of the compound is shown below.

Example 5 Compound Ie-2 and Compound Ie-5

[0103]



Process 1

[0104] To a solution of compound (12) (509mg, 2mmol) prepared by the method described in JP-A-8-169879 in THF (10mL) were added triethylamine (0.84mL, 6mmol) and 4-fluorobenzenesulfonyl chloride (506mg, 2.6mmol), and the resulting mixture was stirred for 19 h at room temperature. The mixture was diluted with ethyl acetate, washed with dilute hydrochloric acid and aqueous sodium hydrogencarbonate respectively, dried and concentrated in vacuo. The residue was subjected to silica gel column chromatography (toluene : ethyl acetate = 4:1) to give compound (13) (725mg; yield 88%).

Process 2

[0105] To a solution of compound (13) (309mg, 0.75mmol) in MeOH (6mL) - THF (3mL) was added 1mol/L aqueous sodium hydroxide (1.9mL, 1.9mmol) and the resulting mixture was stirred for 21 h at room temperature. The mixture was acidified with dilute hydrochloric acid and extracted with ethyl acetate. The organic layer was washed with water and brine, dried, and concentrated in vacuo. The residue was crystallized from ethyl acetate - hexane to give compound Ie-2 (287mg; yield 96%). The physical property of the compound is shown below.

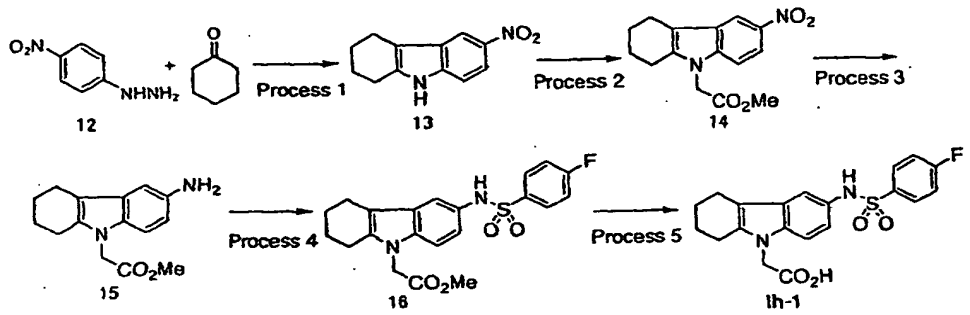
Process 3

[0106] To a solution of compound (13) (363mg, 0.88mmol) in N,N-dimethylformamide (3.6ml) were added methyl iodide (250mg, 1.76mmol) and potassium carbonate (182mg, 1.32mmol), and the resulting mixture was stirred for 19

h at room temperature. The reaction mixture was poured into water, extracted with ethyl acetate-hexane (1:2). The organic layer was washed with brine, dried, concentrated in vacuo. The residue was dissolved in MeOH-THF (2:1). To the mixture was added Imol/L aqueous sodium hydroxide (1.8mL, 1.8mmol) and the resulting mixture was stirred for 26 h at room temperature. The mixture was diluted with water and washed with diethyl ether. The aqueous layer was acidified with dilute hydrochloric acid and extracted with ethyl acetate. The organic layer was washed with water and brine, dried, and concentrated in vacuo. The residue was crystallized from hexane to give compound Ie-5 (293mg; yield 84%). The physical property of the compound is shown below.

Example 6 Compound Ih-1

[0107]



Process 1

[0108] The mixture of p-nitrophenylhydrazine (12) (15.0g, 97.9mmol) and cyclohexanone (10.15ml, 97.9mmol) in acetic acid (45ml) was heated and stirred for 20 minutes at 60 °C. To the reaction mixture was added cone. hydrochloric acid(15ml) and the resulting mixture was heated for 1.5 h at reflux. To the reaction mixture was added water (50ml), heated for 10 minutes at reflux, and allowed to cool to room temperature. The precipitated crystal was filtered off, washed with water, and recrystallized from ethanol-water to give 6-nitrotetrahydrocarbazole (13) (17.0g; yield 80%).

Process 2

[0109] The mixture of compound (13) (6.80g, 31.44mmol), methyl bromoacetate (8.93ml, 94.32mmol), potassium carbonate (13g, 94mmol), benzyltriethylammonium chloride (1.43g, 6.28mmol) in methyl ethyl ketone (100ml) was heated for 1 h at reflux. The reaction mixture was concentrated in vacuo and the resulting residue was diluted with ethyl acetate, washed with water, dried, and concentrated in vacuo. The residue was recrystallized from ethyl acetate - hexane to give compound (14) (7.93g; yield 87%).

Process 3

[0110] The mixture of compound (14) (7.92g, 27.47mmol) and palladium hydroxide (20%wt, 1.0g) in THF (70ml) and MeOH (14ml) was stirred for 7h under hydrogen atmosphere. After removing the catalyst, the reaction mixture was concentrated in vacuo. The residue was subjected to silica gel column chromatography (hexane: ethyl acetate = 1:1) to give compound (15) (5.89g; yield 83%).

Process 4

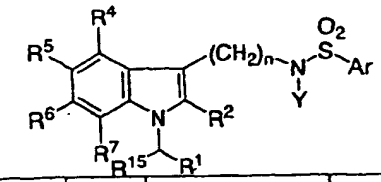
[0111] To a solution of compound (15) (3.89g, 15.0mmol) in THF (30ml) were added triethylamine (4.18ml, 30mmol) and 4-fluorobenzenesulfonyl chloride (3.07g, 15.8mmol), and the resulting mixture was stirred for 1 h at room temperature. To the reaction mixture was added dilute hydrochloric acid and the mixture was extracted with ethyl acetate. The organic layer was washed with water, dried, and concentrated in vacuo. The residue was crystallized from ethyl acetate - hexane to give compound (16) (5.93g; yield 95%).

Process 5

[0112] To a solution of compound (16) (350mg, 0.84mmol) in MeOH (4ml) - THF (2ml) was added 2mol/L aqueous sodium hydroxide (1mL, 2mmol) and the resulting mixture was stirred for 15 h at room temperature. To the reaction mixture was added dilute hydrochloric acid and the mixture was extracted with ethyl acetate. The organic layer was washed with water, dried, and concentrated in vacuo. The residue was crystallized from ethyl acetate - hexane to give compound Ih-1 (268mg; yield 79%). The physical property of the compound is shown below.

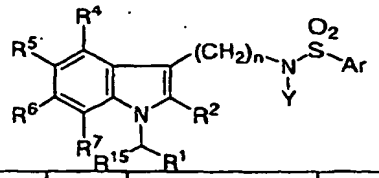
[0113] The compounds which were shown in the following Tables were synthesized in a manner similar to those described in Examples 1 to 6.

Table 1



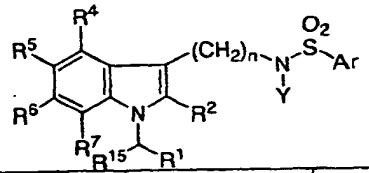
No	R^1	R^2	R^4	R^5	R^6	R^7	R^{15}	n	Y	Ar
Ia-1	COOH	H	H	H	H	H	H	1	H	C_6H_5
Ia-2	COOH	H	H	H	H	H	H	1	H	4-F-C_6H_4
Ia-3	COOH	H	H	H	H	H	H	1	Me	C_6H_5
Ia-4	COOH	H	H	H	H	H	H	1	Me	4-F-C_6H_4
Ia-5	COOH	H	H	H	H	H	H	1	$CH_2C_6H_5$	C_6H_5
Ia-6	COOH	H	H	H	H	H	H	1	$CH_2C_6H_5$	4-F-C_6H_4
Ia-7	COOH	H	H	H	H	H	H	2	H	C_6H_5
Ia-8	COOH	H	H	H	H	H	H	2	H	2-F-C_6H_4
Ia-9	COOH	H	H	H	H	H	H	2	H	4-F-C_6H_4
Ia-10	COOH	H	H	H	H	H	H	2	H	2-Me-C_6H_4
Ia-11	COOH	H	H	H	H	H	H	2	H	4-Me-C_6H_4
Ia-12	COOH	H	H	H	H	H	H	2	H	4-OMe-C_6H_4
Ia-13	COOH	H	H	H	H	H	H	2	H	2-thienyl
Ia-14	COOH	H	H	H	H	H	H	2	H	3-thienyl
Ia-15	COOH	H	H	H	H	H	H	2	H	4-F-biphenyl
Ia-16	COOH	H	H	H	H	H	H	2	H	C_6H_4-4-OC_6H_5
Ia-17	COOH	H	H	H	H	H	H	2	H	dibenzothiophene-3-yl
Ia-18	COOH	Me	H	H	H	H	H	2	H	C_6H_5
Ia-19	COOH	Me	H	H	H	H	H	2	H	2-F-C_6H_4
Ia-20	COOH	Me	H	H	H	H	H	2	H	4-F-C_6H_4
Ia-21	COOH	Me	H	H	H	H	H	2	H	2-thienyl
Ia-22	COOH	Me	H	H	H	H	H	2	H	3-thienyl
Ia-23	COOH	H	H	H	H	Me	H	2	H	C_6H_5
Ia-24	COOH	H	H	H	H	Me	H	2	H	2-F-C_6H_4
Ia-25	COOH	H	H	H	H	Me	H	2	H	4-F-C_6H_4

Table 2



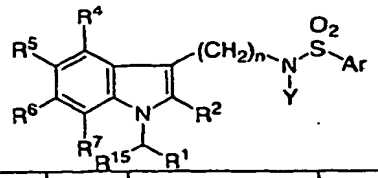
No	R^1	R^2	R^4	R^5	R^6	R^7	R^{15}	n	Y	Ar
Ia-26	COOH	H	H	H	H	Me	H	2	H	4-OMe-C_6H_4
Ia-27	COOH	H	H	H	H	Me	H	2	H	3-thienyl
Ia-28	COOH	H	H	OMe	H	H	H	2	H	4-F-C_6H_4
Ia-29	COOH	H	H	OMe	H	H	H	2	H	2-thienyl
Ia-30	COOH	H	H	Cl	H	H	H	2	H	C_6H_5
Ia-31	COOH	H	H	Cl	H	H	H	2	H	2-F-C_6H_4
Ia-32	COOH	H	H	Cl	H	H	H	2	H	4-F-C_6H_4
Ia-33	COOH	H	H	Cl	H	H	H	2	H	4-OMe-C_6H_4
Ia-34	COOH	H	H	F	H	H	H	2	H	C_6H_5
Ia-35	COOH	H	H	F	H	H	H	2	H	2-F-C_6H_4
Ia-36	COOH	H	H	F	H	H	H	2	H	4-F-C_6H_4
Ia-37	COOH	H	H	H	F	H	H	2	H	4-F-C_6H_5
Ia-38	COOH	H	H	H	H	H	H	2	Me	C_6H_5
Ia-39	COOH	H	H	H	H	H	H	2	Me	4-F-C_6H_4
Ia-40	COOH	H	H	H	H	H	H	2	Me	3-thienyl
Ia-41	COOH	Me	H	H	H	H	H	2	Me	4-F-C_6H_4
Ia-42	COOH	H	H	H	H	Me	H	2	Me	4-F-C_6H_4
Ia-43	COOH	H	H	OMe	H	H	H	2	Me	4-F-C_6H_4
Ia-44	COOH	H	H	Cl	H	H	H	2	Me	4-F-C_6H_4
Ia-45	COOH	H	H	F	H	H	H	2	Me	4-F-C_6H_4
Ia-46	COOH	H	H	H	F	H	H	2	Me	4-F-C_6H_5
Ia-47	COOH	H	H	H	H	H	H	2	$CH_2CH=CH_2$	4-F-C_6H_4
Ia-48	COOH	H	H	H	H	H	H	2	iPr	4-F-C_6H_4
Ia-49	COOH	H	H	H	H	H	H	2	C_6H_5	4-F-C_6H_4
Ia-50	COOH	H	H	H	H	H	H	2	$CH_2C_6H_5$	C_6H_5
Ia-51	COOH	H	H	H	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-52	CONHMs	H	H	H	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4

Table 3



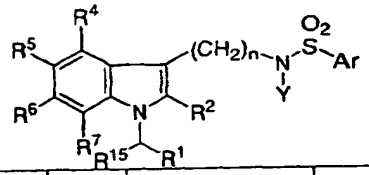
No	R^1	R^2	R^4	R^5	R^6	R^7	R^{15}	n	Y	Ar
Ia-53	COOH	H	H	H	H	H	H	2	$CH_2C_6H_5$	4-OMe-C_6H_4
Ia-54	COOH	H	H	H	H	H	H	2	$CH_2C_6H_5$	3-thienyl
Ia-55	COOH	Me	H	H	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-56	COOH	H	H	H	H	Me	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-57	COOH	H	H	OMe	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-58	COOH	H	H	Cl	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-59	COOH	H	H	F	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-60	COOH	H	H	H	F	H	H	2	$CH_2C_6H_5$	4-F-C_6H_5
Ia-61	COOH	H	H	H	H	H	H	2	$CH_2C_6H_4$-2-Me	4-F-C_6H_4
Ia-62	COOH	H	H	H	H	H	H	2	$CH_2C_6H_4$-4-OMe	4-F-C_6H_4
Ia-63	COOH	H	H	H	H	H	H	2	$CH_2C_6H_4$-4-NO_2	4-F-C_6H_4
Ia-64	COOH	H	H	H	H	H	H	2	CH_2-1-naphthyl	4-F-C_6H_4
Ia-65	COOH	H	H	H	H	H	H	2	CH_2-2-thienyl	4-F-C_6H_4
Ia-66	COOH	H	H	H	H	H	H	2	$CH_2CH_2C_6H_5$	4-F-C_6H_4
Ia-67	COOH	H	H	H	H	H	H	3	H	C_6H_5
Ia-68	COOH	H	H	H	H	H	H	3	H	2-F-C_6H_4
Ia-69	COOH	H	H	H	H	H	H	3	H	4-F-C_6H_4
Ia-70	COOH	H	H	H	H	H	H	3	H	2-Me-C_6H_4
Ia-71	COOH	H	H	H	H	H	H	3	H	4-Me-C_6H_4
Ia-72	COOH	H	H	H	H	H	H	3	H	4-OMe-C_6H_4
Ia-73	COOH	H	H	H	H	H	H	3	H	2-thienyl
Ia-74	COOH	H	H	H	H	H	H	3	H	C_6H_4-4-OC_6H_5
Ia-75	COOH	Me	H	H	H	H	H	3	H	C_6H_5
Ia-76	COOH	Me	H	H	H	H	H	3	H	4-F-C_6H_4
Ia-77	COOH	H	H	Cl	H	H	H	3	H	C_6H_5
Ia-78	COOH	H	H	Cl	H	H	H	3	H	2-F-C_6H_4

Table 4



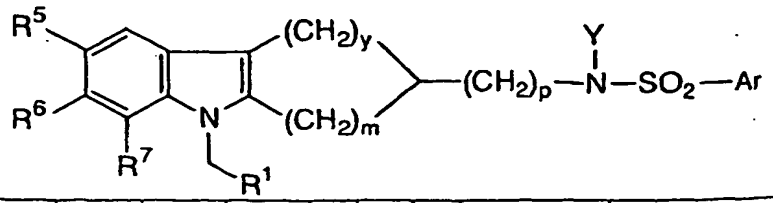
No	R^1	R^2	R^4	R^5	R^6	R^7	R^{15}	n	Y	Ar
Ia-79	COOH	H	H	Cl	H	H	H	3	H	4-F-C_6H_4
Ia-80	COOH	H	H	H	H	H	H	3	Me	C_6H_5
Ia-81	COOH	H	H	H	H	H	H	3	Me	4-F-C_6H_4
Ia-82	COOH	H	H	H	H	H	H	3	Me	3-thienyl
Ia-83	COOH	Me	H	H	H	H	H	3	Me	4-F-C_6H_4
Ia-84	COOH	H	H	H	H	H	H	3	$CH_2C_6H_5$	C_6H_5
Ia-85	COOH	H	H	H	H	H	H	3	$CH_2C_6H_5$	4-F-C_6H_4
Ia-86	COOH	H	H	H	H	H	H	3	$CH_2C_6H_5$	3-thienyl
Ia-87	COOH	H	H	H	H	H	H	2	$CH_2CH_2C_6H_5$	4-Me-C_6H_4
Ia-88	COOH	H	H	H	H	H	H	2	H	4-Cl-C_6H_4
Ia-89	COOH	H	H	F	H	H	H	2	H	4-OMe-C_6H_4
Ia-90	COOH	H	H	F	H	H	H	2	H	2-thienyl
Ia-91	COOH	H	H	H	H	H	H	2	$CH_2CH_2C_6H_5$	2-thienyl
Ia-92	COOH	H	H	Cl	H	H	H	2	$CH_2CH_2C_6H_5$	4-F-C_6H_4
Ia-93	COOH	H	H	H	H	H	H	2	$CH_2CH=CHC_6H_5$	4-F-C_6H_4
Ia-94	COOH	H	H	H	H	H	H	2	$CH_2CH_2CH_2C_6H_5$	4-F-C_6H_4
Ia-95	COOH	H	H	F	H	H	H	2	H	4-Me-C_6H_4
Ia-96	COOH	H	H	F	H	H	H	2	H	2-naphthyl
Ia-97	COOH	H	H	F	H	H	H	2	H	4-NO_2-C_6H_4
Ia-98	COOH	H	H	F	H	H	H	2	H	1-naphthyl
Ia-99	COOH	H	H	F	H	H	H	2	H	4-CN-C_6H_4
Ia-100	COOH	H	H	OH	H	H	H	2	H	2-F-C_6H_4
Ia-101	COOH	H	F	H	H	H	H	2	H	4-F-C_6H_4
Ia-102	COOH	H	F	H	H	H	H	2	Me	4-F-C_6H_4
Ia-103	COOH	H	F	H	H	H	H	2	$CH_2C_6H_5$	4-F-C_6H_4
Ia-104	COOH	H	H	Cl	H	H	Me	2	H	4-F-C_6H_4

Table 5



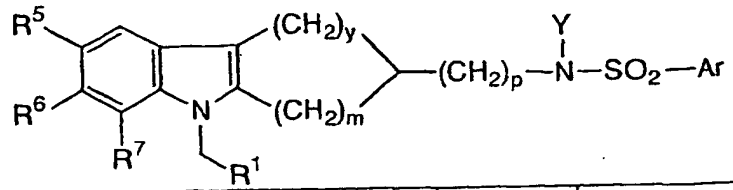
No	R^1	R^2	R^4	R^5	R^6	R^7	R^{15}	n	Y	Ar
Ia-105	COOH	H	H	Cl	H	H	Me	2	Me	4-F-C_6H_4
Ia-106	COOH	H	H	Cl	H	H	Me	2	$CH_2C_6H_5$	4-F-C_6H_4

Table 6



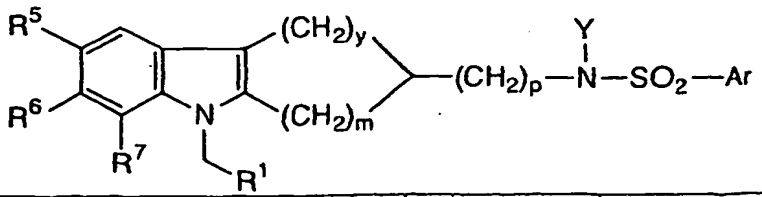
No	R^1	R^5	R^6	R^7	y	m	p	Y	Ar
Ib-1	COOH	H	H	H	1	1	0	H	C_6H_5
Ib-2	COOH	H	H	H	1	1	0	H	4-F-C_6H_4
Ib-3	COOH	H	H	H	1	1	0	H	4-Me-C_6H_4
Ib-4	COOH	H	H	H	1	1	0	H	2-thienyl
Ib-5	COOH	H	H	H	1	1	0	Me	C_6H_5
Ib-6	COOH	H	H	H	1	1	0	Me	4-F-C_6H_4
Ib-7	COOH	H	H	H	1	1	0	Me	4-Me-C_6H_4
Ib-8	COOH	H	H	H	1	1	0	Me	2-thienyl
Ib-9	COOH	H	H	H	1	1	0	Et	C_6H_5
Ib-10	COOH	H	H	H	1	1	0	$CH_2C_6H_5$	C_6H_5
Ib-11	COOH	H	H	H	1	1	0	$CH_2C_6H_5$	4-F-C_6H_4
Ib-12	COOH	H	H	H	1	1	0	$CH_2C_6H_5$	4-Me-C_6H_4
Ib-13	COOH	H	H	H	1	1	0	$CH_2C_6H_5$	2-thienyl
Ib-14	COOH	H	H	H	1	2	0	H	C_6H_5
Ib-15	COOH	H	H	H	1	2	0	H	2-F-C_6H_4
Ib-16	COOH	H	H	H	1	2	0	H	4-F-C_6H_4
Ib-17	COOH	H	H	H	1	2	0	H	4-Cl-C_6H_4
Ib-18	COOH	H	H	H	1	2	0	H	4-Me-C_6H_4
Ib-19	COOH	H	H	H	1	2	0	H	4-OMe-C_6H_4
Ib-20	COOH	H	H	H	1	2	0	H	2-thienyl

Table 7



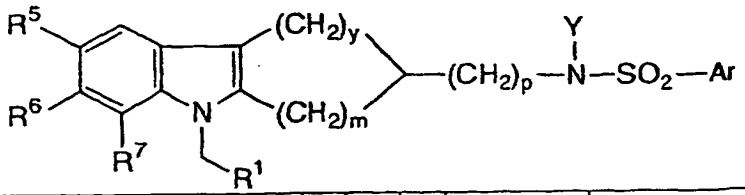
No	R^1	R^5	R^6	R^7	y	m	p	Y	Ar
Ib-21	COOH	H	H	H	1	2	0	H	3-thienyl
Ib-22	COOH	H	H	H	1	2	0	H	5-benzyl-2-thienyl
Ib-23	COOH	H	H	H	1	2	0	Me	C_6H_5
Ib-24	COOH	H	H	H	1	2	0	Me	2-F-C_6H_4
Ib-25	COOH	H	H	H	1	2	0	Me	4-F-C_6H_4
Ib-26	COOH	H	H	H	1	2	0	Me	4-Cl-C_6H_4
Ib-27	COOH	H	H	H	1	2	0	Me	4-Me-C_6H_4
Ib-28	COOH	H	H	H	1	2	0	Me	4-OMe-C_6H_4
Ib-29	COOH	H	H	H	1	2	0	Me	2-thienyl
Ib-30	COOH	H	H	H	1	2	0	Me	3-thienyl
Ib-31	COOH	H	H	H	1	2	0	Me	5-benzyl-2-thienyl
Ib-32	COOH	H	H	H	1	2	0	Et	4-F-C_6H_4
Ib-33	COOH	H	H	H	1	2	0	Et	4-OMe-C_6H_4
Ib-34	COOH	H	H	H	1	2	0	$CH_2C_6H_5$	4-Me-C_6H_4
Ib-35	COOH	Cl	H	H	1	2	0	H	C_6H_5
Ib-36	COOH	Cl	H	H	1	2	0	H	4-F-C_6H_4
Ib-37	COOH	Cl	H	H	1	2	0	H	4-Me-C_6H_4
Ib-38	COOH	Cl	H	H	1	2	0	H	4-OMe-C_6H_4
Ib-39	COOH	Cl	H	H	1	2	0	H	3-thienyl
Ib-40	COOH	Cl	H	H	1	2	0	Me	C_6H_5
Ib-41	COOH	Cl	H	H	1	2	0	Me	4-F-C_6H_4
Ib-42	COOH	Cl	H	H	1	2	0	Me	4-Me-C_6H_4
Ib-43	COOH	Cl	H	H	1	2	0	Me	4-OMe-C_6H_4
Ib-44	COOH	Cl	H	H	1	2	0	Me	3-thienyl
Ib-45	COOH	Cl	H	H	1	2	0	$CH_2C_6H_5$	4-F-C_6H_4
Ib-46	COOH	F	H	H	1	2	0	H	4-F-C_6H_4
Ib-47	COOH	F	H	H	1	2	0	Me	4-F-C_6H_4

Table 8



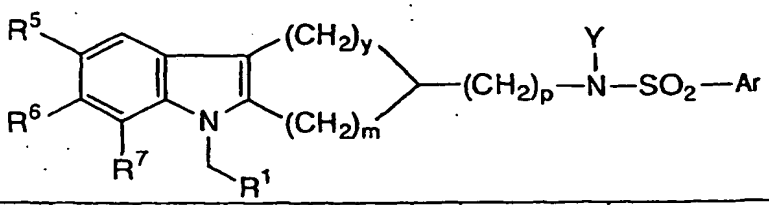
No	R^1	R^5	R^6	R^7	y	m	p	Y	Ar
Ib-48	COOH	F	H	H	1	2	0	$CH_2C_6H_5$	4-F-C_6H_4
Ib-49	COOH	H	H	H	2	1	0	H	C_6H_5
Ib-50	COOH	H	H	H	2	1	0	H	2-F-C_6H_4
Ib-51	COOH	H	H	H	2	1	0	H	4-F-C_6H_4
Ib-52	COOH	H	H	H	2	1	0	H	4-Cl-C_6H_4
Ib-53	COOH	H	H	H	2	1	0	H	4-Me-C_6H_4
Ib-54	COOH	H	H	H	2	1	0	H	4-OMe-C_6H_4
Ib-55	COOH	H	H	H	2	1	0	H	2-thienyl
Ib-56	COOH	H	H	H	2	1	0	H	3-thienyl
Ib-57	COOH	H	H	H	2	1	0	Me	C_6H_5
Ib-58	COOH	H	H	H	2	1	0	Me	4-F-C_6H_4
Ib-59	COOH	H	H	H	2	1	0	Me	4-Me-C_6H_4
Ib-60	COOH	H	H	H	2	1	0	Me	2-thienyl
Ib-61	COOH	H	H	H	2	1	0	$CH_2C_6H_5$	4-F-C_6H_4
Ib-62	COOH	H	H	H	2	2	0	H	C_6H_5
Ib-63	COOH	H	H	H	2	2	0	H	4-F-C_6H_4
Ib-64	COOH	H	H	H	2	2	0	H	4-Cl-C_6H_4
Ib-65	COOH	H	H	H	2	2	0	H	4-Me-C_6H_4
Ib-66	COOH	H	H	H	2	2	0	H	4-OMe-C_6H_4
Ib-67	COOH	H	H	H	2	2	0	H	2-thienyl
Ib-68	COOH	H	H	H	2	2	0	Me	C_6H_5
Ib-69	COOH	H	H	H	2	2	0	Me	4-F-C_6H_4
Ib-70	COOH	H	H	H	2	2	0	Me	4-Cl-C_6H_4
Ib-71	COOH	H	H	H	2	2	0	Me	4-Me-C_6H_4
Ib-72	COOH	H	H	H	2	2	0	Me	4-OMe-C_6H_4
Ib-73	COOH	H	H	H	2	2	0	Me	2-thienyl
Ib-74	COOH	H	H	H	2	2	0	$CH_2C_6H_5$	C_6H_5

Table 9



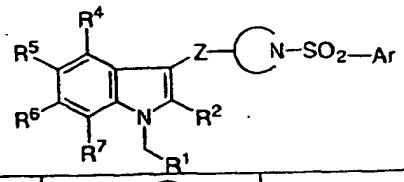
No	R^1	R^5	R^6	R^7	y	m	p	Y	Ar
Ib-75	COOH	H	H	H	2	2	0	$CH_2C_6H_5$	4-F-C_6H_4
Ib-76	COOH	H	H	H	0	2	1	H	C_6H_5
Ib-77	COOH	H	H	H	0	2	1	H	4-F-C_6H_4
Ib-78	COOH	H	H	H	0	2	1	H	4-Cl-C_6H_4
Ib-79	COOH	H	H	H	0	2	1	H	4-Me-C_6H_4
Ib-80	COOH	H	H	H	0	2	1	H	4-OMe-C_6H_4
Ib-81	COOH	H	H	H	0	2	1	H	2-thienyl
Ib-82	COOH	H	H	H	0	2	1	Me	C_6H_5
Ib-83	COOH	H	H	H	0	2	1	Me	4-F-C_6H_4
Ib-84	COOH	H	H	H	0	2	1	Me	4-Cl-C_6H_4
Ib-85	COOH	H	H	H	0	2	1	Me	4-Me-C_6H_4
Ib-86	COOH	H	H	H	0	2	1	Me	4-OMe-C_6H_4
Ib-87	COOH	H	H	H	0	2	1	Me	2-thienyl
Ib-88	COOH	H	H	H	0	2	1	$CH_2C_6H_5$	C_6H_5
Ib-89	COOH	H	H	H	0	2	1	$CH_2C_6H_5$	4-F-C_6H_4
Ib-90	COOH	H	H	H	1	1	1	H	C_6H_5
Ib-91	COOH	H	H	H	1	1	1	H	4-F-C_6H_4
Ib-92	COOH	H	H	H	1	1	1	H	4-Cl-C_6H_4
Ib-93	COOH	H	H	H	1	1	1	H	4-Me-C_6H_4
Ib-94	COOH	H	H	H	1	1	1	H	4-OMe-C_6H_4
Ib-95	COOH	H	H	H	1	1	1	H	2-thienyl
Ib-96	COOH	H	H	H	1	1	1	Me	C_6H_5
Ib-97	COOH	H	H	H	1	1	1	Me	4-F-C_6H_4
Ib-98	COOH	H	H	H	1	1	1	Me	4-Cl-C_6H_4
Ib-99	COOH	H	H	H	1	1	1	Me	4-Me-C_6H_4
Ib-100	COOH	H	H	H	1	1	1	Me	4-OMe-C_6H_4
Ib-101	COOH	H	H	H	1	1	1	Me	2-thienyl

Table 10



No	R^1	R^5	R^6	R^7	y	m	p	Y	Ar
Ib-102	COOH	H	H	H	1	1	1	$CH_2C_6H_5$	C_6H_5
Ib-103	COOH	H	H	H	1	1	1	$CH_2C_6H_5$	4-F-C_6H_4

Table 11



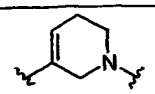
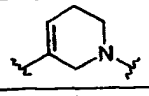
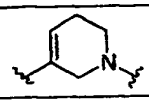
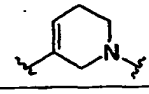
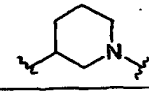
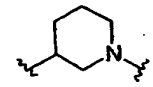
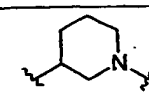
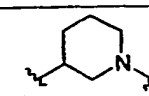
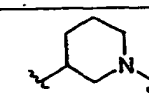
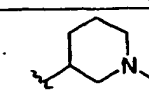
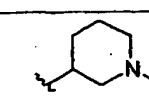
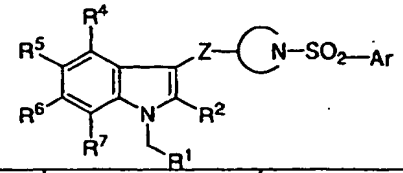
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-1	COOH	H	H	H	H	H		C_6H_5
Ic-2	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-3	COOH	H	H	H	H	H		4-Me-C_6H_4
Ic-4	COOH	H	H	H	H	H		2-thienyl
Ic-5	COOH	H	H	H	H	H		C_6H_5
Ic-6	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-7	COOH	H	H	H	H	H		4-Me-C_6H_4
Ic-8	COOH	H	H	H	H	H		2-thienyl
Ic-9	COOH	Me	H	H	H	H		4-F-C_6H_4
Ic-10	COOH	H	H	Cl	H	H		4-F-C_6H_4
Ic-11	COOH	H	H	F	H	H		4-F-C_6H_4

Table 12



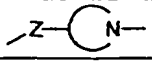
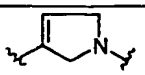
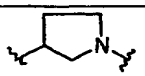
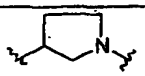
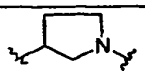
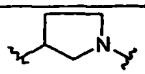
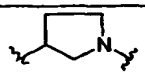
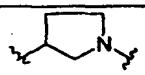
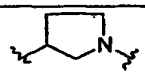
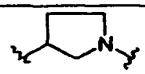
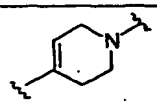
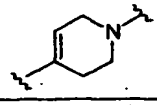
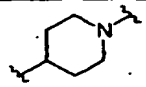
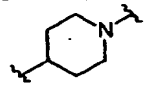
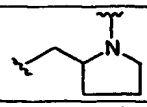
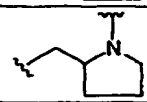
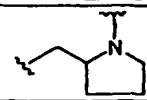
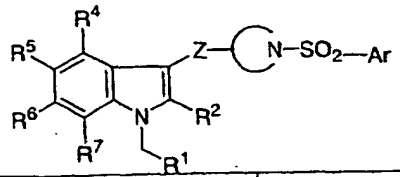
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-12	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-13	COOH	H	H	H	H	H		C_6H_5
Ic-14	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-15	COOH	H	H	H	H	H		4-Me-C_6H_4
Ic-16	COOH	H	H	H	H	H		2-thienyl
Ic-17	COOH	Me	H	H	H	H		C_6H_5
Ic-18	COOH	Me	H	H	H	H		4-F-C_6H_4
Ic-19	COOH	H	H	Cl	H	H		4-F-C_6H_4
Ic-20	COOH	H	H	F	H	H		4-F-C_6H_4
Ic-21	COOH	H	H	H	H	H		C_6H_5
Ic-22	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-23	COOH	H	H	H	H	H		C_6H_5
Ic-24	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-25	COOH	H	H	H	H	H		C_6H_5
Ic-26	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-27	COOH	H	H	H	H	H		2-thienyl

Table 13



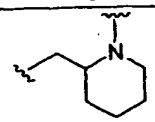
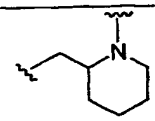
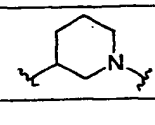
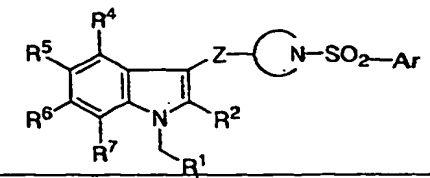
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-28	COOH	H	H	H	H	H		C_6H_5
Ic-29	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-30	COOH	H	H	H	H	H		4-OMe-C_6H_4

Table 14



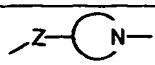
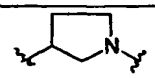
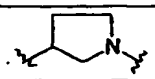
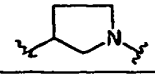
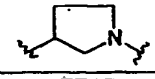
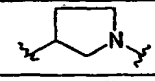
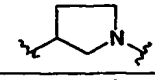
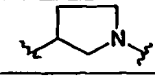
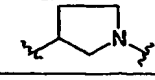
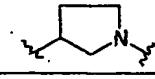
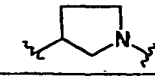
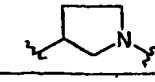
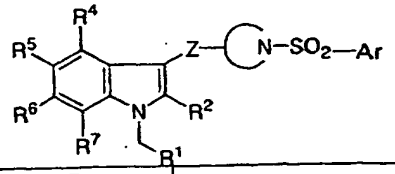
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-31	COOH	H	H	Cl	H	H		2-thienyl
Ic-32	COOH	H	H	Me	H	H		4-F-C_6H_4
Ic-33	COOH	H	H	Me	H	H		4-Me-C_6H_4
Ic-34	COOH	H	H	Cl	H	H		C_6H_5
Ic-35	COOH	H	H	Cl	H	H		$CH_2C_6H_5$
Ic-36	COOH	H	H	Cl	H	H		4-Cl-C_6H_4
Ic-37	COOH	H	H	Cl	H	H		4-Me-C_6H_4
Ic-38	COOH	H	H	F	H	H		4-F-C_6H_4
Ic-39	COOH	Me	H	F	H	H		2-thienyl
Ic-40	COOH	H	H	OMe	H	H		4-F-C_6H_4
Ic-41	COOH	H	H	OMe	H	H		2-thienyl

Table 15



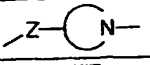
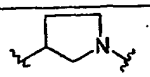
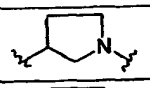
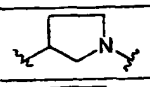
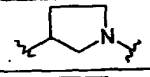
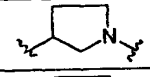
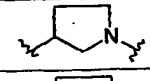
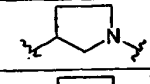
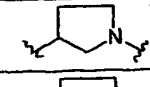
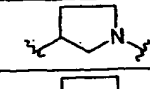
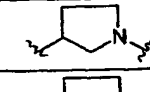
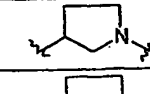
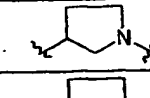
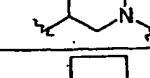
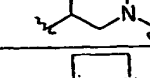
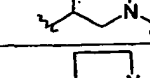
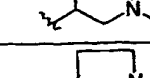
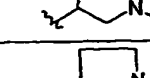
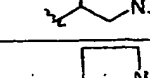
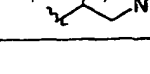
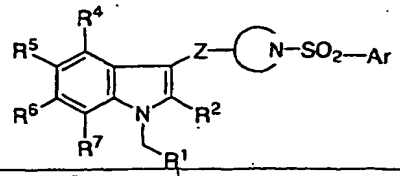
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-42	COOH	H	H	OH	H	H		4-F-C_6H_4
Ic-43	COOH	H	H	F	H	H		nBu
Ic-44	COOH	H	H	F	H	H		$CH_2CH=CHC_6H_5$
Ic-45	COOH	H	H	F	H	H		4-C_6H_5-C_6H_4
Ic-46	COOH	H	H	F	H	H		Me
Ic-47	COOH	H	H	C_6H_5	H	H		4-F-C_6H_4
Ic-48	COOH	H	H	C_6H_5	H	H		nBu
Ic-49	COOH	H	H	C_6H_5	H	H		2-thienyl
Ic-50	COOH	H	H	F	H	H		$CH_2CH_2C_6H_5$
Ic-51	COOH	H	H	H	Cl	H		4-F-C_6H_4
c-52	COOH	H	H	H	Cl	H		2-thienyl
Ic-53	COOH	H	H	Cl	H	H		4-F-C_6H_4
Ic-54	COOH	H	H	Cl	H	H		3-F-C_6H_4
Ic-55	COOH	Me	H	Cl	H	H		4-F-C_6H_4
Ic-56	COOH	Me	H	Cl	H	H		2-thienyl
Ic-57	COOH	H	H	Cl	H	H		nBu
Ic-58	COOH	H	H	Cl	H	H		4-CF_3-C_6H_4
Ic-59	COOH	H	H	Cl	H	H		nBu
Ic-60	COOH	H	H	Cl	H	H		Octyl

Table 16



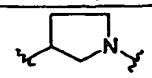
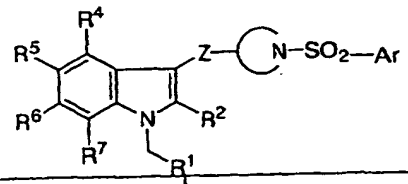
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-61	COOH	H	H	Cl	H	H		1-naphthyl
Ic-62	COOH	H	H	Cl	H	H		4-NO_2-C_6H_4
Ic-63	COOH	H	H	Cl	H	H		4-CN-C_6H_4
Ic-64	COOH	H	Cl	H	H	H		4-F-C_6H_4
Ic-65	COOH	H	Cl	H	H	H		2-thienyl
Ic-66	COOH	H	Cl	H	H	H		nBu
Ic-67	COOH	H	H	Cl	H	H		5-benzyl-thiophen-2-yl
Ic-68	COOH	H	H	Cl	H	H		Quinolin-8-yl
Ic-69	COOH	H	H	Cl	H	H		3-thienyl
Ic-70	COOH	H	H	Cl	H	H		Benzo[*b*]thiophen-3-yl
Ic-71	COOH	H	H	Cl	H	H		2,4-diF-C_6H_3
Ic-72	COOH	H	H	Cl	H	H		Me
Ic-73	COOH	H	H	Cl	H	H		4-OMe-C_6H_4
Ic-74	COOH	H	H	Cl	H	H		$CH_2CH=CHC_6H_5$
Ic-75	COOH	H	H	Cl	H	H		Pyridin-3-yl
Ic-76	COOH	Me	H	H	H	H		2-thienyl
Ic-77	COOH	Me	H	H	H	H		nBu
Ic-78	COOH	H	H	Cl	H	H		5-Cl-thiophen-2-yl
Ic-79	COOH	H	H	Cl	H	H		4-OH-C_6H_4

Table 17



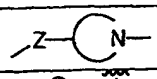
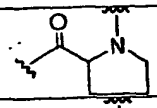
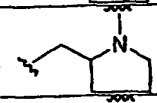
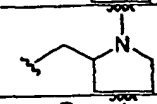
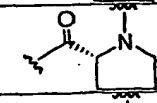
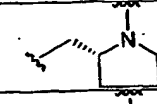
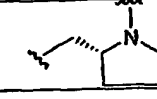
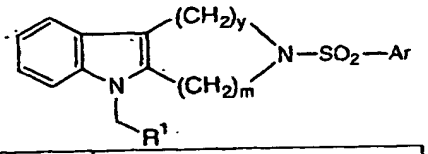
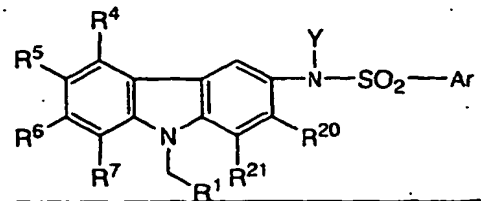
No	R^1	R^2	R^4	R^5	R^6	R^7		Ar
Ic-80	COOH	H	H	H	H	H		4-F-C_6H_4
Ic-81	COOH	H	H	H	H	H		4-OMe-C_6H_4
Ic-82	COOH	H	H	F	H	H		4-F-C_6H_4
Ic-83	COOH	H	H	F	H	H		4-F-C_6H_4
Ic-84	COOH	H	H	F	H	H		4-F-C_6H_4
Ic-85	COOH	H	H	F	H	H		4-OMe-C_6H_4

Table 18



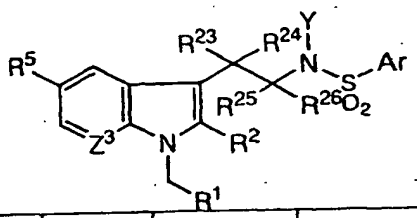
No	y	m	R^1	Ar
Id-1	1	2	COOH	C_6H_5
Id-2	1	2	COOH	4-F-C_6H_4
Id-3	1	2	COOH	2-thienyl
Id-4	2	1	COOH	C_6H_5
Id-5	2	1	COOH	4-F-C_6H_4
Id-6	2	1	COOH	2-thienyl

Table 19



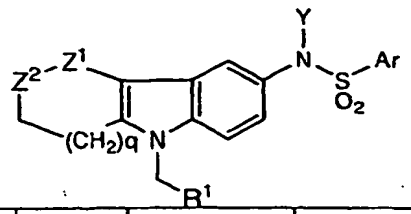
No	R^1	R^4	R^5	R^6	R^7	R^{20}	R^{21}	Y	Ar
Ie-1	COOH	H	H	H	H	H	H	H	C_6H_5
Ie-2	COOH	H	H	H	H	H	H	H	4-F-C_6H_4
Ie-3	COOH	H	H	H	H	H	H	H	2-thienyl
Ie-4	COOH	H	H	H	H	H	H	Me	C_6H_5
Ie-5	COOH	H	H	H	H	H	H	Me	4-F-C_6H_4
Ie-6	COOH	H	H	H	H	H	H	Me	2-thienyl
Ie-7	COOH	H	H	H	H	H	H	$CH_2C_6H_5$	C_6H_5
Ie-8	COOH	H	H	H	H	H	H	$CH_2C_6H_5$	4-F-C_6H_4
Ie-9	COOH	H	H	H	H	H	H	$CH_2C_6H_5$	2-thienyl
Ie-10	COOH	H	H	F	H	H	H	H	4-F-C_6H_4
Ie-11	COOH	H	H	Me	H	H	H	H	4-F-C_6H_4
Ie-12	COOH	H	H	F	H	H	H	Me	4-F-C_6H_4
Ie-13	COOH	H	H	F	H	H	H	$CH_2C_6H_5$	4-F-C_6H_4
Ie-14	COOH	H	H	Me	H	H	H	Me	4-F-C_6H_4
Ie-15	COOH	H	H	H	H	Me	H	$CH_2C_6H_5$	4-F-C_6H_4
Ie-16	COOH	H	F	H	H	H	H	H	4-F-C_6H_4
Ie-17	COOH	H	F	H	H	H	H	Me	4-F-C_6H_4
Ie-18	COOH	H	F	H	H	H	H	Me	4-F-C_6H_4
Ie-19	COOH	F	H	H	H	H	H	H	4-F-C_6H_4
Ie-20	COOH	F	H	H	H	H	H	Me	4-F-C_6H_4
Ie-21	COOH	F	H	H	H	H	H	$CH_2C_6H_5$	4-F-C_6H_4
Ie-22	COOH	H	H	H	H	H	F	H	4-F-C_6H_4
Ie-23	COOH	H	H	H	H	H	F	Me	4-F-C_6H_4
Ie-24	COOH	H	H	H	H	H	F	$CH_2C_6H_5$	4-F-C_6H_4
Ie-25	COOH	H	H	H	F	H	H	Me	4-F-C_6H_4
Ie-26	COOH	H	H	H	F	H	H	$CH_2C_6H_5$	4-F-C_6H_4
Ie-27	COOH	H	Br	H	H	H	H	H	4-F-C_6H_4

Table 20



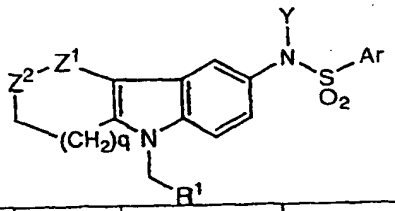
No	R^1	R^2	R^5	R^{23}	R^{24}	R^{25}	R^{26}	Z^3	Y	Ar
If-1	COOH	Me	H	Me	Me	H	H	=CH-	H	4-F-C_6H_4
If-2	COOH	Me	H	Me	Me	H	H	=CH-	Me	4-F-C_6H_4
If-3	COOH	Me	H	Me	Me	H	H	=CH-	$CH_2C_6H_5$	4-F-C_6H_4
If-4	COOH	Me	H	Me	H	H	H	=CH-	H	4-F-C_6H_4
If-5	COOH	Me	H	Me	H	H	H	=CH-	Me	4-F-C_6H_4
If-6	COOH	Me	H	Me	H	H	H	=CH-	$CH_2C_6H_5$	4-F-C_6H_4
If-7	COOH	H	H	-$(CH_2)_4$-		H	H	=CH-	Me	4-F-C_6H_4
If-8	COOH	H	H	-$(CH_2)_4$-		H	H	=CH-	$CH_2C_6H_5$	4-F-C_6H_4
If-9	COOH	H	H	C_6H_5	H	H	H	=CH-	H	4-F-C_6H_4
If-10	COOH	Me	H	C_6H_5	H	H	H	=CH-	Me	4-F-C_6H_4
If-11	COOH	H	H	-$(CH_2)_3$-		H	H	=CH-	H	4-F-C_6H_4
If-12	COOH	H	H	-$(CH_2)_3$-		H	H	=CH-	$CH_2C_6H_5$	4-F-C_6H_4
If-13	COOH	H	H	Me	Me	H	H	=CH-	H	4-F-C_6H_4
If-14	COOH	H	H	Me	Me	H	H	=CH-	Me	4-F-C_6H_4
If-15	COOH	H	H	Me	Me	H	H	=CH-	$CH_2C_6H_5$	4-F-C_6H_4
If-16	COOH	H	H	H	H	CH_2OMe	H	=CH-	H	4-F-C_6H_4
If-17	COOH	H	Cl	Me	Me	H	H	=CH-	H	4-F-C_6H_4
If-18	COOH	H	Cl	Me	Me	H	H	=CH-	Me	4-F-C_6H_4
If-19	COOH	H	Cl	Me	Me	H	H	=CH-	$CH_2C_6H_5$	4-F-C_6H_4
If-20	COOH	H	H	H	H	H	H	=N-	$CH_2C_6H_5$	4-F-C_6H_4

Table 21



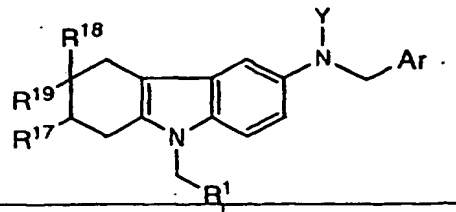
No	R^1	Z^1	Z^2	q	Y	Ar
Ig-1	COOH	$-CH_2-$	$-CH_2-$	0	H	4-F-C_6H_4
Ig-2	COOH	$-CH_2-$	-S-	1	H	4-F-C_6H_4
Ig-3	COOH	$-CH_2-$	-S-	1	Me	4-F-C_6H_4
Ig-4	COOH	-C(=O)-	$-CH_2-$	1	Me	4-F-C_6H_4
Ig-5	COOH	-C(=O)-	-CH(Et)-	1	Me	4-F-C_6H_4
Ig-6	COOH	$-CH_2-$	-N(COOEt)-	1	H	4-F-C_6H_4
Ig-7	COOH	$-CH_2-$	-N(COOEt)-	1	Me	4-F-C_6H_4
Ig-8	COOH	$-CH_2-$	-N(COOEt)-	1	H	4-OMe-C_6H_4
Ig-9	COOH	$-CH_2-$	-N(COOEt)-	1	Me	4-OMe-C_6H_4
Ig-10	COOH	$-CH_2-$	-N(COMe)-	1	Me	4-OMe-C_6H_4
Ig-11	COOH	$-CH_2-$	$-CH_2-$	2	H	4-F-C_6H_4
Ig-12	COOH	$-CH_2-$	$-CH_2-$	2	Me	4-F-C_6H_4
Ig-13	COOH	$-CH_2-$	$-CH_2-$	2	$CH_2C_6H_5$	4-F-C_6H_4
Ig-14	COOH	$-CH_2-$	$-CH_2-$	2	Me	4-OMe-C_6H_4
Ig-15	COOH	$-CH_2-$	$-CH_2-$	2	Et	4-F-C_6H_4
Ig-16	COOH	$-CH_2-$	$-CH_2-$	2	Me	4-OH-C_6H_4
Ig-17	COOH	$-CH_2-$	-NH-	2	Me	4-F-C_6H_4
Ig-18	COOH	$-CH_2-$	$-CH_2-$	3	H	4-F-C_6H_4
Ig-19	COOH	$-CH_2-$	$-CH_2-$	3	Me	4-F-C_6H_4
Ig-20	COOH	$-CH_2-$	$-CH_2-$	3	$CH_2C_6H_5$	4-F-C_6H_4
Ig-21	COOH	$-CH_2-$	$-CH_2-$	0	Me	4-F-C_6H_4
Ig-22	COOH	$-CH_2-$	-S(=O)-	1	H	4-F-C_6H_4
Ig-23	COOH	$-CH_2-$	-S(=O)-	1	Me	4-F-C_6H_4
Ig-24	COOH	-C(=O)-	-NH-	2	H	4-OMe-C_6H_4
Ig-25	COOH	-C(=O)-	-NH-	2	Me	4-OMe-C_6H_4

Table 22



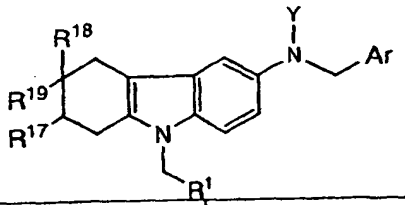
No	R^1	Z^1	Z^2	q	Y	Ar
Ig-26	COOH	-C(=NOH)-	$-CH_2-$	1	Me	4-F-C_6H_4
Ig-27	COOH	C(=NOMe)-	$-CH_2-$	1	Me	4-F-C_6H_4

Table 23



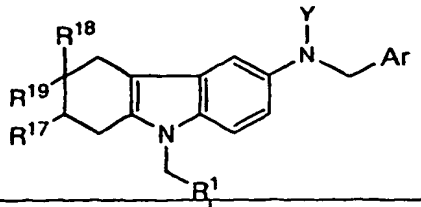
No	R^1	R^{17}	R^{18}	R^{19}	Y	Ar
Ih-1	COOH	H	H	H	H	4-F-C_6H_4
Ih-2	COOH	H	H	H	Me	4-F-C_6H_4
Ih-3	COOH	H	H	H	$CH_2C_6H_5$	4-F-C_6H_4
Ih-4	COOH	H	H	Me	H	4-F-C_6H_4
Ih-5	COOH	H	H	Me	Me	4-F-C_6H_4
Ih-6	COOH	H	H	Me	$CH_2C_6H_5$	4-F-C_6H_4
Ih-7	COOH	H	H	H	Me	2-thienyl
Ih-8	COOH	H	H	H	Me	nBu
Ih-9	COOH	H	H	H	$CH_2C_6H_5$	2-thienyl
Ih-10	COOH	H	H	H	$CH_2C_6H_5$	nBu
Ih-11	COOH	H	H	H	H	2-thienyl
Ih-12	COOH	H	H	H	Me	4-OMe-C_6H_4
Ih-13	COOH	H	H	H	H	4-OH-C_6H_4
Ih-14	COOH	H	H	H	H	4-OMe-C_6H_4
Ih-15	COOH	H	H	H	Me	4-OH-C_6H_4
Ih-16	COOH	H	H	Et	H	4-F-C_6H_4
Ih-17	COOH	H	H	Et	H	4-OMe-C_6H_4
Ih-18	COOH	H	H	Et	Me	4-F-C_6H_4
Ih-19	COOH	H	H	Et	Me	4-OMe-C_6H_4

Table 24



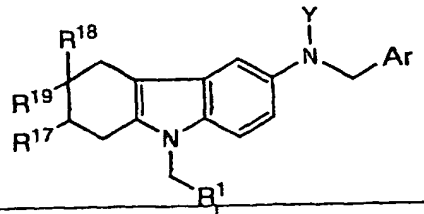
No	R^1	R^{17}	R^{18}	R^{19}	Y	Ar
Ih-20	COOH	H	H	H	H	C_6H_5
Ih-21	COOH	H	H	H	H	4-Me-C_6H_4
Ih-22	COOH	H	H	H	Me	C_6H_5
Ih-23	COOH	H	H	H	Me	4-Me-C_6H_4
Ih-24	COOH	H	H	H	Me	$CH_2C_6H_5$
Ih-25	COOH	H	H	H	Me	$CH_2CH=CHC_6H_5$
Ih-26	COOH	H	Me	Me	H	4-OMe-C_6H_4
Ih-27	COOH	H	Me	Me	H	4-F-C_6H_4
Ih-28	COOH	H	Me	Me	H	2-thienyl
Ih-29	COOH	H	H	H	H	$CH_2C_6H_5$
Ih-30	COOH	H	H	H	H	$CH_2CH=CHC_6H_5$
Ih-31	COOH	H	Me	Me	Me	4-F-C_6H_4
Ih-32	COOH	H	Me	Me	Me	4-OMe-C_6H_4
Ih-33	COOH	H	Me	Me	Me	2-thienyl
Ih-34	COOH	H	H	C_6H_5	H	4-F-C_6H_4
Ih-35	COOH	H	H	C_6H_5	H	4-OMe-C_6H_4
Ih-36	COOH	H	H	C_6H_5	Me	4-F-C_6H_4
Ih-37	COOH	H	H	C_6H_5	Me	4-OMe-C_6H_4
Ih-38	COOH	H	Et	Et	H	4-OMe-C_6H_4
Ih-39	COOH	H	H	H	H	4-Cl-C_6H_4
Ih-40	COOH	H	H	H	H	4-CF_3-C_6H_4
Ih-41	COOH	H	H	H	Me	4-Cl-C_6H_4
Ih-42	COOH	H	H	H	Me	4-CF_3-C_6H_4
Ih-43	COOH	H	H	H	Me	3-thienyl
Ih-44	COOH	H	H	H	Me	4-OCF_3-C_6H_4
Ih-45	COOH	H	Et	Et	Me	4-F-C_6H_4
Ih-46	COOH	H	Et	Et	Me	4-OMe-C_6H_4
Ih-47	COOH	H	-$(CH_2)_5$-		H	4-F-C_6H_4

Table 25



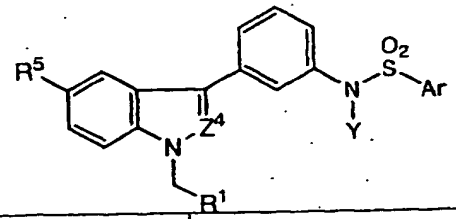
No	R^1	R^{17}	R^{18}	R^{19}	Y	Ar
Ih-48	COOH	H	-$(CH_2)_5$-		H	4-OMe-C_6H_4
Ih-49	COOH	H	H	H	H	3-thienyl
Ih-50	COOH	H	H	Pr	H	4-F-C_6H_4
Ih-51	COOH	H	H	tBu	H	4-F-C_6H_4
Ih-52	COOH	H	H	Pr	H	4-OMe-C_6H_4
Ih-53	COOH	H	H	tBu	H	4-OMe-C_6H_4
Ih-54	COOH	H	H	H	H	4-OCF_3-C_6H_4
Ih-55	COOH	H	-$(CH_2)_5$-		Me	4-F-C_6H_4
Ih-56	COOH	H	-$(CH_2)_5$-		Me	4-OMe-C_6H_4
Ih-57	COOH	H	H	Pr	Me	4-F-C_6H_4
Ih-58	COOH	H	H	tBu	Me	4-F-C_6H_4
Ih-59	COOH	H	H	Pr	Me	4-OMe-C_6H_4
Ih-60	COOH	H	H	tBu	Me	4-OMe-C_6H_4
Ih-61	COOH	H	H	pentyl	Me	4-F-C_6H_4
Ih-62	COOH	H	H	pentyl	Me	4-OMe-C_6H_4
Ih-63	COOH	H	H	H	Et	4-OMe-C_6H_4
Ih-64	COOH	H	H	H	Pr	4-OMe-C_6H_4
Ih-65	COOH	H	H	H	iBu	4-OMe-C_6H_4
Ih-66	COOH	H	H	H	iPr	4-OMe-C_6H_4
Ih-67	COOH	H	H	H	Et	4-F-C_6H_4
Ih-68	COOH	H	H	H	Pr	4-F-C_6H_4
Ih-69	COOH	H	H	H	allyl	4-F-C_6H_4
Ih-70	COOH	H	H	H	propargyl	4-F-C_6H_4
Ih-71	COOH	H	H	H	CH_2CF_3	4-F-C_6H_4
Ih-72	COOH	H	H	H	CH_2CH_2OH	4-F-C_6H_4
Ih-73	COOH	H	H	H	cyclopropylmethyl	4-F-C_6H_4
Ih-74	COOH	H	H	H	allyl	4-OMe-C_6H_4

Table 26



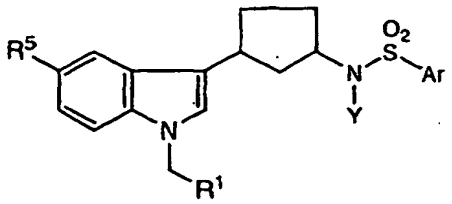
No	R^1	R^{17}	R^{18}	R^{19}	Y	Ar
Ih-75	COOH	H	H	H	2-methylpropene	4-OMe-C_6H_4
Ih-76	COOH	H	H	H	propargyl	4-OMe-C_6H_4
Ih-77	COOH	H	H	H	cyclopropylmethyl	4-OMe-C_6H_4
Ih-78	COOH	H	H	H	CH_2CH_2OH	4-OMe-C_6H_4
Ih-79	COOH	H	H	H	cyclohexylmethyl	4-F-C_6H_4
Ih-80	COOH	H	H	H	cyclohexylmethyl	4-OMe-C_6H_4
Ih-81	COOH	H	H	H	CH_2OMe	4-F-C_6H_4
Ih-82	COOH	H	H	H	CH_2OMe	4-OMe-C_6H_4
Ih-83	COOH	Me	H	H	Me	4-F-C_6H_4
Ih-84	COOH	Me	H	H	Me	4-OMe-C_6H_4

Table 27



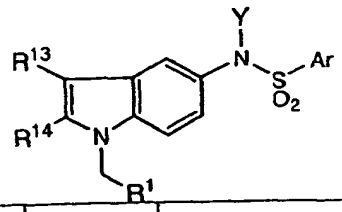
No	R^1	R^5	Z^4	Y	Ar
Ii-1	COOH	Me	-N=	H	4-F-C_6H_4
Ii-2	COOH	Me	-CH=	H	4-F-C_6H_4
Ii-3	COOH	Me	-CH=	Me	4-F-C_6H_4

Table 28



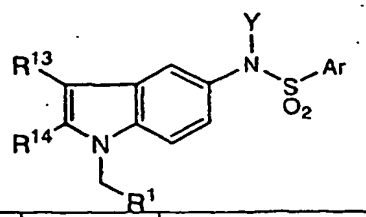
No	R^1	R^5	Y	Ar
Ij-1	COOH	H	H	4-F-C_6H_4
Ij-2	COOH	H	Me	4-F-C_6H_4
Ij-3	COOH	H	$CH_2C_6H_5$	4-F-C_6H_4

Table 29



No	R^1	R^{13}	Z^{14}	Y	Ar
Ik-1	COOH	Me	Me	Me	4-F-C_6H_4
Ik-2	COOH	H	Me	Me	4-F-C_6H_4
Ik-3	COOH	Et	Me	H	4-F-C_6H_4
Ik-4	COOH	Pr	Me	H	4-F-C_6H_4
Ik-5	COOH	Et	Me	Me	4-F-C_6H_4
Ik-6	COOH	iPr	Me	Me	4-F-C_6H_4
Ik-7	COOH	Me	Pr	Me	4-F-C_6H_4
Ik-8	COOH	Et	H	Me	4-F-C_6H_4
Ik-9	COOH	Pr	Me	H	4-F-C_6H_4
Ik-10	COOH	Pr	Me	Me	4-F-C_6H_4
Ik-11	COOH	Et	Pr	H	4-F-C_6H_4
Ik-12	COOH	Et	Pr	H	2-thienyl
Ik-13	COOH	Et	Pr	Me	4-F-C_6H_4
Ik-14	COOH	Et	Pr	Me	2-thienyl
Ik-15	COOH	Pr	Me	H	4-OMe-C_6H_4
Ik-16	COOH	Pr	Me	Me	4-OMe-C_6H_4
Ik-17	COOH	Et	Pr	H	4-OMe-C_6H_4

Table 30



No	R^1	R^{13}	Z^{14}	Y	Ar
Ik-18	COOH	Et	Pr	Me	4-OMe-C_6H_4
Ik-19	COOH	$CH_2C_6H_5$	Me	Me	4-F-C_6H_4
Ik-20	COOH	$CH_2C_6H_5$	Me	Me	4-OMe-C_6H_4
Ik-21	COOH	iBu	Me	Me	4-F-C_6H_4
Ik-22	COOH	nBu	Me	H	4-F-C_6H_4
Ik-23	COOH	nBu	Me	H	4-OMe-C_6H_4
Ik-24	COOH	nBu	Me	Me	4-F-C_6H_4
Ik-25	COOH	nBu	Me	Me	4-OMe-C_6H_4
Ik-26	COOH	iBu	Me	H	4-OMe-C_6H_4
Ik-27	COOH	iBu	Me	Me	4-OMe-C_6H_4
Ik-28	COOH	Pr	Me	Et	4-OMe-C_6H_4
Ik-29	COOH	Pr	Me	Me	4-OH-C_6H_4
Ik-30	COOH	$CH_2C_6H_5$	Me	H	4-F-C_6H_4
Ik-31	COOH	Pr	Me	Me	4-OEt-C_6H_4
Ik-32	COOH	Pr	Me	proprgyl	4-OMe-C_6H_4
Ik-33	COOH	$CH_2C_6H_5$	Me	proprgyl	4-OMe-C_6H_4
Ik-34	COOH	iBu	Me	Me	4-F-C_6H_4
Ik-35	COOH	OMe	Me	Me	4-F-C_6H_4
Ik-36	COOH	OMe	Me	Me	4-OMe-C_6H_4
Ik-37	COOH	C(=O)Et	Me	Me	4-OMe-C_6H_4
Ik-38	COOH	C(=O)Et	Me	Et	4-OMe-C_6H_4
Ik-39	COOH	Pr	Me	Me	C_6H_5
Ik-40	COOH	Pr	Me	Me	4-Me-C_6H_4
Ik-41	COOH	Pr	Me	Me	2-F-C_6H_4
Ik-42	COOH	Pr	Me	Me	4-Cl-C_6H_4
Ik-43	COOH	Pr	Me	Me	4-Br-C_6H_4

[0114] The physical properties of the compounds are shown below.

Table 31

Compound No.	physical properties
Ia-7	^{1}H-NMR ($CDCl_3$) δ 2.95 (m, 2H), 3.28 (m, 2H), 4.62 (br, 1H), 4.81 (s, 2H), 6.84 (s, 1H), 7.06-7.22 (m, 3H), 7.38-7.72 (m, 4H), 7.71-7.74 (m, 2H); IR ($CHCl_3$) 3480, 2953, 1731, 1603, 1469, 1447, 1409, 1329, 1162, 1093 cm^{-1};
Ia-8	^{1}H-NMR ($CDCl_3$) δ 2.95 (t, J = 6.3 Hz, 2H), 3.31 (dt, J = 5.4 and 6.3 Hz, 2H), 4.79 (t, J = 5.4 Hz, 1H), 4.84 (s, 2H), 6.90 (s, 1H), 6.99-7.22 (m, 5H), 7.41 (d, J = 7.8 Hz, 1H), 7.50 (m, 1H), 7.86 (m, 1H); IR ($CHCl_3$) 3482, 3374, 2929, 1732, 1601, 1475, 1453, 1411, 1384, 1335, 1266, 1169, 1156, 1126, 1077, 1015 cm^{-1}; Elemental analysis ($C_{18}H_{17}FN_2O_4S{\cdot}0.4H_2O$) Calcd. (%): C, 56.36; H, 4.68; N; 7.30; F, 4.95; S, 8.36 Found (%): C, 56.52; H, 4.67; N, 7.10; F, 4.69; S, 8.30
Ia-9	^{1}H-NMR ($CDCl_3$) δ 2.94 (m, 2H), 3.26 (m, 2H), 4.54 (t, J = 5.7 Hz, 1H), 6.86 (s, 1H), 6.97-7.27 (m, 6H), 7.37 (d, J = 7.8 Hz, 1H), 7.65-7.69 (m, 2H), 7.71-7.74 (m, 2H); IR (KBr) 3422, 3290, 2929, 1731, 1592, 1494, 1469, 1408, 1382, 1328, 1292, 1237, 1166, 1152, 1092, 1013 cm^{-1}; Elemental analysis ($C_{18}H_{17}FN_2O_4S{\cdot}0.5$AcOEt) Calcd. (%): C, 57.13; H, 5.03; N, 6.66; F, 4.52; S, 7.63 Found (%): C, 57.36; H, 5.07; N, 6.94; F, 4.58; S, 7.35
Ia-12	^{1}H-NMR ($CDCl_3$) δ 2.92 (t, J = 6.6 Hz, 2H), 3.21-3.25 (m, 2H), 3.83 (s,3H), 4.50 (br, 1H), 4.80 (s, 2H), 6.80-6.82 (m, 3H), 7.06 (m, 1H), 7.18-7.23 (m, 2H), 7.39 (d, J = 7.8 Hz, 1H), 7.60-7.65 (m, 2H); IR ($CHCl_3$) 3481, 2967, 2945, 2842, 1732, 1598, 1580, 1498, 1468, 1441, 1409, 1330, 1260, 1155, 1096, 1047, 1030 cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_5S{\cdot}0.5$AcOEt) Calcd. (%): C, 58.32; H, 5.59; N, 6.48; S, 7.41 Found (%): C, 57.95; H, 5.40; N, 6.61; S, 7.73
Ia-13	^{1}H-NMR ($CDCl_3$) δ 2.97 (t, J = 6.3 Hz, 2H), 3.35 (m, 2H), 4.62 (t, J = 5.7 Hz, 1H), 4.82 (s, 2H), 6.86 (s, 1H), 7.00 (m, 1H), 7.11 (m, 1H), 7.19-7.26 (m, 2H), 7.43-7.51 (m, 3H); IR ($CHCl_3$) 3360, 2930, 1732, 1469, 1407, 1382, 1334, 1158, 1092, 1069, 1048, 1016 cm^{-1}; Elemental analysis ($C_{16}H_{16}N_2O_4S_2{\cdot}0.4$AcOEt) Calcd. (%): C, 52.89; H, 4.84; N; 7.01; S, 16.05 Found (%): C, 52.93; H, 4.88; N, 7.04; S, 16.01
Ia-14	^{1}H-NMR ($CDCl_3$) δ 2.94 (t, J = 6.3 Hz, 2H), 3.30 (dt, J = 6.0 and 6.3 Hz, 2H), 4.61 (t, J = 6.0 Hz, 1H), 4.80 (s, 2H), 6.85 (s, 1H), 7.07-7.27 (m, 5H), 7.42 (d, J = 7.8 Hz, 1H), 7.84 (dd, J = 1.2 and 3.0 Hz, 1H); IR ($CHCl_3$) 3481, 2930, 1732, 1469, 1410, 1331, 1157, 1101, 1076, 1015 cm^{-1}; Elemental analysis ($C_{16}H_{16}N_2O_4S{\cdot}0.1$AcOEt) Calcd. (%): C, 52.77; H, 4.54; N, 7.51; S, 17.18 Found (%): C, 52.39; H, 4.57; N, 7.40; S, 17.00

Table 32

Compound No.	Physical properties
Ia-15	^{1}H-NMR ($CDCl_3$) δ 2.96 (t, J = 6.3 Hz, 2H), 3.29 (m, 2H), 4.56 (m, 1H), 4.81 (s, 2H), 6.88 (s, 1H), 7.03 (m, 1H), 7.13-7.21 (m, 4H), 7.39 (d, J = 7.5 Hz, 1H), 7.51-7.55 (m, 4H), 7.73-7.76 (m, 2H); IR ($CHCl_3$) 2930, 1732, 1604, 1519, 1469, 1408, 1331, 1160, 1096, 1047 cm^{-1}; Elemental analysis ($C_{24}H_{21}FN_2O_4S{\cdot}0.5$AcOEt) Calcd. (%): C, 62.89; H, 5.07; N, 5.83; F, 3.83; S, 6.46 Found (%): C, 62.74; H, 4.97; N, 5.90; F, 3.82; S, 6.54
Ia-16	^{1}H-NMR ($CDCl_3$) δ 2.94 (t, J = 6.9 Hz, 2H), 3.26 (m, 2H), 4.51 (br, 1H), 4.81 (s, 2H), 6.86-6.94 (m, 3H), 7.03-7.11 (m, 3H), 7.19-7.24 (m, 3H), 7.37-7.63 (m, 3H), 7.64-7.70 (m, 2H); IR (KBr) 3279, 3059, 2930, 1730, 1583, 1488, 1469, 1410, 1382, 1327, 1298, 1245, 1152, 1094, 1013 cm^{-1}; Elemental analysis ($C_{24}H_{22}N_2O_5S{\cdot}0.6$AcOEt) Calcd. (%): C; 62.99; H, 5.37; N, 5.57; S, 6.37 Found (%): C, 62.99; H, 5.19; N, 5.77; S, 6.47
Ia-17	^{1}H-NMR ($CDCl_3$) δ 2.92 (t, J = 6.3 Hz, 2H), 3.31 (m, 2H), 4.66 (m, 1H), 4.73 (s, 2H), 6.80 (s, 1H), 6.91 (m, 1H), 7.06-7.11 (m, 2H), 7.28 (m, 1H), 7.47-7.90 (m, 5H), 8.10 (dd, J = 2.7 and 6.3 Hz, 1H), 8.49 (s, 1H); IR ($CHCl_3$) 3480, 2929, 1732, 1670, 1616, 1586, 1468, 1428, 1411, 1377, 1330, 1158, 1077, 1047, 1025, 1015 cm^{-1};
Ia-20	^{1}H-NMR ($CDCl_3$) δ 2.31 (s, 3H), 2.95 (t, J = 6.0 Hz, 2H), 3.19 (dt, J = 6.0 and 6.3 Hz, 2H), 4.37 (t, J = 6.3 Hz, 1H), 4.82 (s, 2H), 6.98-7.18 (m, 5H), 7.30 (d, J = 7.5 Hz, 1H), 7.63-7.68 (m, 2H); IR ($CHCl_3$) 2926, 1730, 1594, 1495, 1410, 1375, 1335, 1292, 1167, 1154, 1093 cm^{-1}

Table 32 (continued)

Compound No.	Physical properties
Ia-25	^{1}H-NMR ($CDCl_3$) δ 2.62 (s, 3H), 2.90 (t, J = 6.3 Hz, 2H), 3.22 (t, J = 6.3 Hz, 2H), 4.53 (br, 1H), 5.03 (s, 2H), 6.74 (s, 1H), 6.93-7.03 (m, 4H), 7.19 (m, 1H), 7.64-7.69 (m, 2H); IR ($CHCl_3$) 2940, 1729, 1594, 1495, 1465, 1438, 1408, 1373, 1329, 1292, 1167, 1154, 1093, 1074, 1046, 1014 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_4S \cdot 0.5H_2O$) Calcd. (%): C, 57.13; H, 5.05; N, 7.01; F, 4.76; S, 8.03 Found (%): C, 57.15; H, 4.99; N, 6.74; F, 4.42; S, 7.72
Ia-28	^{1}H-NMR ($CDCl_3$) δ 2.87-2.92 (m, 2H), 3.19-3.24 (m, 2H), 3.79 (s, 3H), 4.59 (br, 1H), 4.77 (s, 2H), 6.78-7.11 (m, 6H), 6.63-7.68 (m, 2H); IR ($CHCl_3$) 2942, 2837, 1731, 1622, 1594, 1492, 1455, 1409, 1333, 1292, 1167, 1153, 1093, 1051, 1014 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_5S \cdot 0.2H_2O$) Calcd. (%): C, 55.66; H, 4.77; N, 6.83; F, 4.63; S, 7.82 Found (%): C, 55.52; H, 4.87; N, 6.54; F, 4.45; S, 7.55
Ia-32	^{1}H-NMR ($CDCl_3$) δ 2.87 (t, J = 6.3 Hz, 2H), 3.20-3.22 (m, 2H), 4.58 (br, 1H), 4.77 (s, 2H), 6.89 (s, 1H), 6.98-7.18 (m, 5H), 7.62-7.67 (m, 2H); IR ($CHCl_3$) 3477, 2930, 1731, 1594, 1495, 1471, 1409, 1376, 1333, 1293, 1167, 1154, 1093, 1073, 1046, 1014 cm^{-1}

Table 33

Compound No.	Physical properties
Ia-36	^{1}H-NMR ($CDCl_3$) δ 2.90 (t, J = 6.6 Hz, 2H), 3.23 (t, J = 6.6 Hz, 2H), 4.44 (br, 1H), 4.83 (s, 2H), 6.93-7.13 (m, 6H), 7.68-7.72 (m, 2H); IR (KBr) 3290, 2664, 2573, 1721, 1629, 1591, 1493, 1460, 1440, 1410, 1346, 1323, 1292, 1252, 1090, 1049, cm^{-1}; Elemental analysis ($C_{18}H_{16}F_2N_2O_4S \cdot 0.2$AcOEt) Calcd. (%): C, 54.80; H, 4.31; N, 6.80; F, 9.22; S, 7.78 Found (%): C, 54.70; H, 4.27; N, 6.68; F, 9.03; S, 7.81
Ia-39	^{1}H-NMR ($CDCl_3$) δ 2.79 (s, 3H), 3.03 (t, J = 7.5 Hz, 2H), 3.34 (t, J = 7.5 Hz, 2H), 4.85 (s, 2H), 6.95 (s, 1H), 7.12-7.23 (m, 5H), 7.55 (d, J = 8.1 Hz, 1H), 7.74-7.79 (m, 2H); IR ($CHCl_3$) 3482, 2928, 2865, 1732, 1594, 1495, 1469, 1408, 1383, 1341, 1292, 1166, 1154, 1189, 1044, 1014 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_4S \cdot 0.1$AcOEt) Calcd. (%): C, 58.36; H, 5.00; N, 7.02; F, 4.76; S, 8.03 Found (%): C, 58.64; H, 5.07; N, 6.90; F, 4.46; S, 7.90
Ia-41	^{1}H-NMR ($CDCl_3$) δ 2.35 (s, 3H), 2.78 (s, 3H), 3.02 (t, J = 8.4 Hz, 2H), 3.22 (t, J = 8.4 Hz, 2H), 4.83 (s, 2H), 7.09-7.17 (m, 5H), 7.47 (d, J = 7.5 Hz, 1H), 7.72-7.77 (m, 2H); IR ($CHCl_3$) 2928, 2866, 1906, 1731, 1594, 1496, 1469, 1416, 1376, 1341, 1292, 1166, 1154, 1090, 1046, 1013 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 58.61; H, 5.31; N, 6.83; F, 4.64; S, 7.82 Found (%): C, 58.58; H, 5.11; N, 6.61; F, 4.32; S, 7.46
Ia-42	^{1}H-NMR ($CDCl_3$) δ 2.62 (s, 3H), 2.78 (s, 3H), 2.99 (t, J = 7.8 Hz, 2H), 3.32 (t, J = 7.8 Hz, 2H), 5.06 (s, 2H), 6.83 (s, 1H), 6.95-7.17 (m, 4H), 7.37 (d, J = 7.8 Hz, 1H), 7.74-7.78 (m, 2H); IR ($CHCl_3$) 2933, 2869, 1731, 1594, 1495, 1463, 1439, 1406, 1375, 1342, 1292, 1166, 1154, 1089, 1044, 1014 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S$) Calcd. (%): C, 59.39; H, 5.23; N, 6.93; F, 4.70; S, 7.93 Found (%): C, 59.28; H, 5.26; N, 6.75; F, 4.45; S, 7.66
Ia-43	^{1}H-NMR ($CDCl_3$) δ 2.79 (s, 3H), 3.00 (t, J = 7.8 Hz, 2H), 3.33 (t, J = 7.8 Hz, 2H), 3.86 (s, 3H), 4.81 (s, 2H), 6.88-7.18 (m, 6H), 7.75-7.79 (m, 2H); IR ($CHCl_3$) 2930, 1731, 1594, 1490, 1455, 1342, 1166, 1154, 1089, 1045, 1014 cm^{-1}
Ia-44	^{1}H-NMR ($CDCl_3$) δ 2.78 (s, 3H); 2.98 (t, J = 7.2 Hz, 2H), 3.32 (t, J = 7.2 Hz, 2H), 4.83 (s, 2H), 7.01 (s, 1H), 7.11-7.20 (m, 3H), 7.47 (s, 1H); 7.74-7.79 (m, 2H); IR ($CHCl_3$) 3481, 2928, 2864, 1732, 1594, 1496, 1471, 1342, 1293, 1241, 1166, 1154, 1089, 1072, 1041, 1014 cm^{-1}
Ia-45	^{1}H-NMR ($CDCl_3$) δ 2.78 (s, 3H), 2.97 (t, J = 6.9 Hz, 2H), 3.31 (t, J = 6.9 Hz, 2H), 4.83 (s, 2H), 6.97-7.19 (m, 6H), 7.75-7.79 (m, 2H); IR (KBr) 2927, 1730, 1626, 1592, 1489, 1458, 1338, 1293, 1236, 1153, 1087, 1039, 1013 cm^{-1}; Elemental analysis ($C_{19}H_{18}F_2N_2O_4S \cdot 0.7$MeOH) Calcd. (%): C, 54.92; H, 4.87; N, 6.50; F, 8.82; S, 7.44 Found (%): C, 55.19; H, 4.93; N, 6.33; F, 8.44; S, 7.24

Table 34

Compound No.	Physical properties
Ia-47	^{1}H-NMR ($CDCl_3$) δ 2.98-3.03 (m, 2H), 3.38-3.43 (m, 2H), 3.84 (d, J = 6.3Hz, 2H), 4.01 (s, 2H), 5.13-5.18 (m, 2H), 5.64 (m, 1H), 6.81 (s, 1H), 7.07-7.15 (m, 3H), 7.17-7.24 (m, 2H), 7.54 (d, J = 7.8 Hz, 1H), 7.76-7.82 (m, 2H); IR ($CHCl_3$) 3503, 2928, 2869, 2656, 2558, 1770, 1733, 1594, 1495, 1469, 1342, 1291, 1165, 1153 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 59.79; H, 5.16; F, 4.50; N, 6.64; S, 7.60 Found (%): C, 59.83; H, 4.91; F, 4.42; N, 6.67; S, 7.52
Ia-48	^{1}H-NMR ($CDCl_3$) δ 1.05 (s, 3H), 1.07 (s, 3H), 3.12-3.18 (m, 2H), 3.31-3.36 (m, 2H), 4.11 (m, 1H), 4.85 (s, 2H), 6.92 (s, 1H), 7.11-7.26 (m, 5H), 7.68 (d, J = 7.5 Hz, 1H), 7.83-7.88 (m, 2H); IR ($CHCl_3$) 3503, 2935, 2875, 2653, 2558, 1733, 1594, 1494, 1468, 1334, 1291, 1187, 1150 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 59.76; H, 5.59; F, 4.50; N, 6.64; S, 7.60 Found (%): C, 59.80; H, 5.44; F, 4.48; N, 6.65; S, 7.62
Ia-51	^{1}H-NMR ($CDCl_3$) δ 2.82 (dd, J = 8.1 and 5.1 Hz, 2H), 3.36 (dd, J = 8.1 and 5.1 Hz, 2H), 4.37 (s, 2H), 4.77 (s, 2H), 6.69 (s, 1H), 7.05-7.30 (m, 11H), 7.79-7.84 (m, 2H); IR ($CHCl_3$) 3282, 2928, 2871, 1732, 1594, 1496, 1469, 1407, 1384, 1339, 1292, 1165, 1154, 1093, 1068, 1014 cm^{-1}; Elemental analysis ($C_{25}H_{23}FN_2O_4S \cdot 0.8H_2O$) Calcd. (%): C, 62.43; H, 5.16; N, 5.82; F, 3.95; S, 6.67 Found (%): C, 62.43; H, 5.39; N, 5.64; F, 3.70; S, 6.38
Ia-52	^{1}H-NMR ($CDCl_3$) δ 2.65 (t, J = 7.8 Hz, 2H), 3.24-3.28 (m, 2H), 3.36 (s, 3H), 4.45 (s, 2H), 4.49 (s, 2H), 6.87-7.45 (m, 12H), 7.90-7.95 (m, 2H); IR (KBr) 3434, 2926, 1592, 1494, 1469, 1405, 1380, 1335, 1293, 1234, 1152, 1069, 1013 cm^{-1};
Ia-55	^{1}H-NMR ($CDCl_3$) δ 2.13 (s, 3H), 2.78 (t, J = 8.1 Hz, 2H), 3.19 (t, J = 8.1 Hz, 2H), 4.36 (s, 2H), 4.75 (s, 2H), 7.03-7.37 (m, 11H), 7.82-7.87 (m, 2H); IR ($CHCl_3$) 2928, 2868,.1659, 1594, 1496, 1469, 1340, 1292, 1165, 1154, 1097, 1015 cm^{-1}; Elemental analysis ($C_{26}H_{25}FN_2O_4S$) Calcd. (%): C, 64.98; H, 5.24; N, 5.83; F, 3.95; S, 6.67 Found (%): C, 65.28; H, 5.24; N, 5.59; F, 3.64; S, 6.31
Ia-56	^{1}H-NMR ($CDCl_3$) δ 2.58 (s, 3H), 2.79 (t, J = 7.8 Hz, 2H), 3.35 (t, J = 7.8 Hz, 2H), 4.37 (s, 2H), 4.98 (s, 2H), 6.57 (s, 1H), 6.90-7.37 (m, 10H), 7.79-7.89 (m, 2H); IR ($CHCl_3$) 3482, 2934, 2871, 1731, 1594, 1496, 1455, 1439, 1406, 1341, 1292, 1165, 1154, 1093, 1070, 1029, 1013 cm^{-1}; Elemental analysis ($C_{26}H_{25}FN_2O_4S$) Calcd. (%): C, 64.98; H, 5.24; N, 5.83; F, 3.95; S, 6.67 Found (%): C, 64.81; H, 5.26; N, 5.60; F, 3.75; S, 6.47
Ia-57	^{1}H-NMR ($CDCl_3$) δ 2.79 (t, J = 7.5 Hz, 2H), 3.36 (t, J = 7.5 Hz, 2H), 3.79 (s, 3H), 4.37 (s, 2H), 4.73 (s, 2H), 6.66 (s, 1H), 6.78-7.38 (m, 10H), 7.80-7.84 (m, 2H); IR ($CHCl_3$) 2937, 1732, 1593, 1491, 1455, 1340, 1292, 1165, 1154, 1093, 1066, 1043, 1014 cm^{-1};

Table 35

Compound No.	Physical properties
Ia-58	^{1}H-NMR ($CDCl_3$) δ 2.77 (t, J = 7.8 Hz, 2H), 3.31 (t, J = 7.8 Hz, 2H), 4.36 (s, 2H), 4.75 (s, 2H), 6.74 (s, 1H), 7.04-7.32 (m, 10H), 7.81-7.86 (m, 2H); IR ($CHCl_3$) 3481, 2929, 2868, 1732, 1594, 1496, 1471, 1410, 1386, 1341, 1292, 1165, 1154, 1093, 1071, 1029, 1013 cm^{-1}; Elemental analysis ($C_{25}H_{22}ClNF_2O_4S$) Calcd. (%): C, 59.94; H, 4.43; N, 5.59; Cl, 7.08; F, 3.79; S, 6.40 Found (%): C, 59.65; H, 4.34; N, 5.48; Cl, 6.71; F, 3.62; S, 6.19
Ia-59	^{1}H-NMR ($CDCl_3$) δ 2.77 (t, J = 8.1 Hz, 2H), 3.32 (t, J = 8.1 Hz, 2H), 4.36 (s, 2H), 4.76 (s, 2H), 6.76 (s, 1H), 6.80-7.37 (m, 11H), 7.81-7.86 (m, 2H); IR (KBr) 3429, 2927, 1733, 1625, 1594, 1486, 1455, 1408, 1338, 1295, 1241, 1209, 1199, 1166, 1154, 1137, 1097, 1070, 1028, 1014 cm^{-1}; Elemental analysis ($C_{25}H_{22}F_2N_2O_4S \cdot 0.3AcOEt$) Calcd. (%): C, 61.59; H, 4.81; N, 5.48; F, 7.44; S, 6.28 Found (%): C, 61.98; H, 4.83; N, 5.31; F, 7.12; S, 6.13

Table 35 (continued)

Compound No.	Physical properties
Ia-61	^{1}H-NMR ($CDCl_3$) δ 2.36 (s, 3H), 2.67-2.72 (m, 2H), 3.23-3.29 (m, 2H), 4.34 (s, 2H), 4.74 (s, 2H), 5.70 (br s, 1H), 6.63 (s, 1H), 7.03 (m, 1H), 7.11-7.27 (m, 9H), 7.81-7.88 (m, 2H); IR ($CHCl_3$) 3502, 2929, 2868, 2656, 2558, 1732, 1594, 1495, 1468, 1340, 1240 cm^{-1}; Elemental analysis ($C_{26}H_{25}FN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 64.50; H, 5.29; F, 3.92; N, 5.79; S, 6.62 Found (%): C, 64.50; H, 5.24; F, 3.78; N, 5.82; S, 6.61
Ia-62	mp 108-110 °C; ^{1}H-NMR ($CDCl_3$) δ 2.75-2.80 (m, 2H), 3.28-3.34 (m, 2H), 3.77 (s, 3H), 4.27 (s, 2H), 4.64 (s, 2H), 6.13 (br s, 1H), 6.63 (s, 1H), 6.80-6.82 (m, 2H), 7.00-7.15 (m,7H), 7.24 (m, 1H), 7.75-7.80 (m, 2H); IR (Nujol) 2726, 1727, 1612, 1590, 1513, 1494, 1467, 1333, 1246, 1152 cm^{-1}; Elemental analysis ($C_{26}H_{25}FN_2O_5S \cdot 0.3H_2O$) Calcd. (%): C, 62.21; H, 5.14; F, 3.78; N, 5.58; S, 6.39 Found (%): C, 62.26; H, 5.16; F, 3.56; N, 5.43; S, 6.21
Ia-63	^{1}H-NMR ($CDCl_3$) δ 2.86 (t, J = 7.4 Hz, 2H), 3.42 (t, J = 7.4 Hz, 2H), 4.34 (s, 2H), 4.80 (s, 2H), 5.35 (br s, 1H), 6.74 (s, 1H), 7.01 (m, 1H), 7.14-7.32(m, 7H), 7.83-7.87 (m, 2H), 7.98-8.01 (m, 2H); IR (Nujol) 2725, 1725, 1591, 1520, 1493, 1465, 1377, 1345, 1235, 1153 cm^{-1}
Ia-64	mp 163-165 °C; ^{1}H-NMR ($CDCl_3$) δ 2.50-2.56 (m, 2H), 3.24-3.30 (m, 2H), 4.64 (s, 2H), 4.75 (s, 2H), 6.48 (s, 1H), 6.95-7.07 (m, 3H), 7.11-7.22 (m, 3H), 7.32-7.40 (m, 2H), 7,49-7.59 (m, 2H), 7.81-7.93 (m, 4H), 8.29-8.32 (m, 2H); IR (Nujol) 3105, 3061, 1736, 1592, 1492, 1465, 1343, 1333; 1239, 1221, 1170, 1152 cm^{-1}; Elemental analysis ($C_{29}H_{25}FN_2O_4S$) Calcd. (%): C, 67.43; H, 4.88; F, 3.68; N, 5.42; S, 6.21 Found (%): C, 67.20; H, 4.71; F, 3.51; N, 5.30; S, 6.04

Table 36

Compound No.	Physical properties
Ia-65	^{1}H-NMR ($CDCl_3$) δ 2.94-2.99 (m, 2H), 3.41-3.46 (m, 2H), 4.57 (s, 2H), 4.79 (s, 2H), 6.77-6.90 (m, 3H), 7.06-7.25 (m, 6H), 7.41 (d, J = 7.8Hz, 1H), 7.75-7.79 (m, 2H); IR ($CHCl_3$) 3503, 2929, 2655, 2558, 1733, 1594, 1495, 1469, 1342 cm^{-1}; Elemental analysis ($C_{23}H_{21}FN_2O_4S_2 \cdot 0.6H_2O$) Calcd. (%): C, 57.15; H, 4.63; F, 3.93; N, 5.80; S, 13.27 Found (%): C, 57.04; H, 4.48; F, 3.78, N, 5.63; S, 13.44
Ia-66	^{1}H-NMR ($CDCl_3$) δ 2.82 (t, J = 8.0Hz, 2H), 2.97-3.02 (m, 2H), 3.35-3.48 (m, 4H), 4.79 (s, 2H), 5.99 (bs, 1H), 6.83 (s, 1H), 7.05-7.28 (m, 10H), 7.54 (d, J = 7.5Hz, 1H), 7.73-7.78 (m, 2H); IR ($CHCl_3$) 3503, 2932, 2869, 2655, 2558, 1733, 1594, 1496, 1468, 1334 cm^{-1}; Elemental analysis ($C_{26}H_{25}FN_2O_4S \cdot 0.5H_2O$) Calcd. (%): C, 63.79; H, 5.35; F, 3.88; N, 5.72; S, 6.55 Found (%): C, 64.02; H, 5.29; F, 3.60, N, 5.75; S, 6.26
Ia-69	^{1}H-NMR ($CDCl_3$) δ 1.86 (m, 2H), 2.75 (t, J = 6.3 Hz, 2H), 3.00 (m, 2H), 4.58 (t, J = 5.7 Hz, 1H), 4.83 (s, 2H), 6.83 (s, 1H), 7.06-7.24 (m, 5H), 7.45 (d, J = 7.8 Hz, 1H), 7.70-7.75 (m 2H); IR ($CHCl_3$) 3780, 3369, 2936, 1732, 1594, 1495, 1468, 1410, 1381, 1334, 1292, 1240, 1167, 1154, 1093, 1046, 1014 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_4S \cdot 0.2AcOEt$) Calcd. (%): C, 58.28; H, 5.09; N, 6.87; F, 4.66; S, 7.86 Found (%): C, 58.39; H, 5.14; N, 6.96; F, 4.52; S, 7.72
Ia-74	^{1}H-NMR ($CDCl_3$) δ 1.83-1.91 (m, 2H), 2.75 (t, J = 7.2 Hz, 2H), 2.97-3.04 (m, 2H), 4.56 (m, 1H), 4.82 (s, 2H), 6.82 (s, 1H), 6.95-7.12 (m, 5H), 7.19-7.25 (m, 3H), 7.34-7.49 (m, 3H), 7.67-7.75 (m, 2H); IR ($CHCl_3$) 3480, 2935, 1732, 1584, 1488, 1469, 1412, 1376, 1332, 1298, 1246, 1154, 1095, 1045, 1022 cm^{-1}; Elemental analysis ($C_{25}H_{24}N_2O_5S \cdot 0.3H_2O$) Calcd. (%): C, 63.90; H, 5.28; N, 5.96; S, 6.82 Found (%): C, 63.95; H, 5.51; N, 5.72; S, 6.39
Ia-81	^{1}H-NMR ($CDCl_3$) δ 1.91 (m, 2H), 2.73 (s, 3H), 2.81 (t, J = 6.9 Hz, 2H), 3.60 (t, J = 7.2 Hz, 1H), 4.86 (s, 2H), 6.95 (s, 1H), 7.10-7.23 (m, 5H), 7.54 (d, J = 7.8 Hz, 1H), 7.73 (m, 2H); IR ($CHCl_3$) 3481, 2932, 2868, 1731, 1615, 1594, 1494, 1468, 1407, 1378, 1342, 1292, 1166, 1154, 1090, 1014 cm^{-1}
Ia-85	^{1}H-NMR ($CDCl_3$) δ 1.68-1.77 (m, 2H), 2.56 (t, J = 6.9 Hz, 2H), 3.17 (t, J = 7.8 Hz, 2H), 4.31 (s, 2H), 4.80 (s, 2H), 6.67 (s, 1H), 7.03-7.38 (m, 11H), 7.71-7.77 (m, 2H); IR ($CHCl_3$) 3481, 2931, 1732, 1594, 1495, 1468, 1339, 1292, 1165, 1154, 1095, 1013 cm^{-1}

Table 36 (continued)

Compound No.	Physical properties
Ia-87	mp 125-126 °C ; ^{1}H-NMR ($CDCl_3$) δ 2.39 (s, 3H), 2.81 (m, 1H), 2.99 (m, 1H), 3.33-3.46 (m, 2H), 4.82 (s, 2H), 6.85 (s, 1H), 7.06-7.28 (m, 10H), 7.55 (d, J = 8.1 Hz, 1H), 7.68 (d, J = 8.4 Hz, 2H); IR (Nujol) 3428, 3081, 3026, 2927, 1713, 1598, 1468, 1325, 1241, 1191, 1149 cm^{-1}; Elemental analysis ($C_{27}H_{28}N_2O_4S$) Calcd. (%): C, 68.04; H, 5.92; N, 5.88; S, 6.73 Found (%): C, 68.07; H, 5.82; N, 5.95; S, 6.60

Table 37

Compound No.	Physical properties
Ia-88	^{1}H-NMR ($CDCl_3$) δ 2.89 (t, J = 6.6 Hz, 2H), 3.21-3.27 (m, 2H), 4.53 (t, J = 6.6 Hz, 1H), 4.81 (s, 2H), 6.92-7.02 (m, 3H), 7.13 (m, 1H), 7.30-7.34 (m, 2H), 7.59-7.62 (m, 2H); IR (KBr) 3290, 3087, 2571, 1721, 1627, 1586, 1490, 1409, 1346, 1323, 1251, 1225, 1163, 1092, 1048, 1013 cm^{-1}; Elemental analysis ($C_{18}H_{26}ClFN_2O_4S$) Calcd. (%): C, 52.62; H, 3.93; N, 6.82; Cl, 8.63; F, 4.62; S, 7.80 Found (%): C, 52.46; H, 3.85; N, 6.62; Cl, 8.25; F, 4.34; S, 7.64
Ia-89	^{1}H-NMR ($CDCl_3$) δ 2.85 (t, J = 6.6 Hz, 2H), 3.19 (m, 2H), 3.84 (s, 3H), 4.56 (br, 1H), 4.77 (s, 2H), 6.80-6.98 (m, 5H), 7.10 (m, 1H), 7.58-7.64 (m, 2H); IR ($CHCl_3$) 2945, 2843, 1732, 1598, 1580, 1498, 1488, 1458, 1410, 1329, 1303, 1260, 1180, 1155, 1096, 1029 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_5S \cdot 0.4H_2O$) Calcd. (%): C, 55.17; H, 4.82; N, 6.77; F, 4.59; S, 7.75 Found (%): C, 55.30; H, 4.81; N, 6.56; F, 4.33, S, 7.45
Ia-90	^{1}H-NMR ($CDCl_3$) δ 2.91 (t, J = 6.3 Hz, 2H), 3.34-3.49 (m, 2H), 4.63 (t, J = 6.0 Hz, 1H), 4.80 (s, 2H), 6.92-7.15 (m, 5H), 7.48-7.53 (m, 2H); IR (KBr) 3269, 2655, 2565, 1728, 1626, 1584, 1488, 1459, 1433, 1324, 1241, 1096, 1073, 1017 cm^{-1}; Elemental analysis ($C_{16}H_{15}FN_2O_4S_2 \cdot 0.3H_2O$) Calcd. (%): C, 49.55; H, 4.05; N, 7.22; F, 4.90; S, 16.54 Found (%): C, 49.89; H, 3.98; N, 6.98; F, 4.54; S, 16.12
Ia-91	mp 95-97°C ; ^{1}H-NMR ($CDCl_3$) δ 2.84 (m, 1H), 3.02 (m, 1H), 3.34-3.49 (m, 2H), 4.83 (s, 2H), 6.88 (s, 1H), 7.04-7.29 (m, 9H), 7.52-7.59 (m, 3H); IR (Nujol) 3429, 3087, 3029, 2932, 1713, 1615, 1469, 1406, 1340, 1242, 1190, 1151 cm^{-1}; Elemental analysis ($C_{24}H_{24}N_2O_4S_2$) Calcd. (%): C, 61.52; H, 5.16; N, 5.98; S, 13.69 Found (%): C, 61.39; H, 5.06; N, 5.78; S, 13.66
Ia-92	mp 113-114.5 °C; ^{1}H-NMR ($CDCl_3$) δ 2.81 (m, 1H), 2.93 (m, 1H), 3.35-3.42 (m, 2H), 4.79 (s, 2H), 6.88 (s, 1H), 7.07-7.29 (m, 9H), 7.45 (d, J =2.1 Hz, 1H), 7.77 (dd, J = 5.1, 8.7 Hz); IR (Nujol) 3423, 3028, 1720; 1591, 1494, 1470, 1405, 1336, 1293, 1226, 1147, 1094 cm^{-1}; Elemental analysis ($C_{26}H_{24}ClFN_2O_4S$) Calcd. (%): C, 60.64; H, 4.70; N, 5.44; Cl, 6.88; F, 3.69; S, 6.23 Found (%): C, 60.54; H, 4.60; N, 5.29; Cl, 6.70; F, 3.56; S, 6.28
Ia-93	mp 103-107 °C; ^{1}H-NMR ($CDCl_3$) δ 3.05 (m, 2H), 3.45 (m, 2H), 3.96 (d, J = 6.6 Hz, 2H), 4.77 (s, 2H), 5.94 (dt, J = 6.6, 15.6 Hz), 6.37 (d, J =15.6 Hz, 1H), 6.84 (s, 1H), 7.01-7.33 (m, 10H), 7.49 (d, J = 8.1 Hz, 1H), 7.82 (dd, J = 4.8, 8.7 Hz, 2H); IR (Nujol) 3456, 3058, 1725, 1591, 1493, 1469, 1405, 1334, 1292, 1235, 1165, 1153, 1091 cm^{-1}; Elemental analysis ($C_{27}H_{25}FN_2O_4S \cdot 0.3H_2O \cdot 0.3MeOH$) Calcd. (%): C, 64.60; H, 5.32; N, 5.52; F, 3.74;S, 6.32 Found (%): C, 64.58; H, 5.33; N, 5.47; F, 3.69; S, 6.53

Table 38

Compound No.	Physical properties
Ia-94	mp 126-129 °C; ^{1}H-NMR ($CDCl_3$) δ 1.85 (m, 1H), 2.58 (t, J = 7.8 Hz, 2H), 2.99 (m, 2H), 3.19 (t, J = 7.8 Hz, 2H), 3.39 (m, 2H), 4.80 (s, 2H), 6.86 (s, 1H), 7.07-7.29 (m, 10H), 7.50 (d, J = 8.1 Hz, 1H), 7.75 (dd, J = 5.1, 9.0 Hz, 2H); IR (Nujol) 3404, 3056, 1724, 1591, 1492, 1471, 1413, 1340, 1290, 1232, 1154, 1095 cm^{-1}; Elemental analysis ($C_{27}H_{27}FN_2O_4S$) Calcd. (%): C, 65.57; H, 5.50; N, 5.66; F, 3.84; S, 6.48 Found (%): C, 65.48; H, 5.60; N, 5.56; F, 3.77; S, 6.35

Table 38 (continued)

Compound No.	Physical properties
Ia-95	^{1}H-NMR (CD_3OD) δ 2.39 (s, 3H), 2.80 (t, J = 7.2 Hz, 2H), 3.10-3.16 (m, 2H), 6.89 (m, 1H), 6.98-7.29 (m, 5H), 7.63-7.66 (m, 2H); IR (KBr) 3293, 2575, 1721, 1628, 1598, 1491, 1459, 1440, 1407, 1321, 1252, 1224, 1187, 1092, 1048 cm^{-1};Elemental analysis ($C_{19}H_{19}FN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 57.91; H, 4.96; N, 7.11; F, 4.82; S, 8.14 Found (%): C, 57.87; H, 4.98; N, 6.85; F, 4.66, S, 7.93
Ia-96	^{1}H-NMR ($CDCl_3$) δ 2.86 (t, J = 6.3 Hz, 2H), 3.25-3.32 (m, 2H), 4.64 (br, IN), 4.74 (s, 2H), 6.85-7.09 (m, 4H), 7.57-7.66 (m, 3H), 7.81-7.89 (m, 3H), 8.33 (s, 1H); IR (KBr) 3281, 1713, 1625, 1588, 1487, 1456, 1411, 1325, 1239, 1216, 1157, 1132, 1102, 1075 cm^{-1}; Elemental analysis ($C_{22}H_{19}FN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 61.18; H, 4.57; N, 6.49; F, 4.40; S, 7.42 Found (%): C, 61.08; H, 4.56; N, 6.22; F, 4.09, S, 7.14
Ia-97	^{1}H-NMR (CD_3OD) δ 2.82 (t, J = 6.3 Hz, 2H), 3.26-3.44 (m, 2H), 4.63 (t, J = 6.0 Hz, 1H), 6.76-7.13 (m, 4H), 7.76-7.81 (m, 2H), 8.06-8.10 (m, 2H); IR (KBr) 3280, 3104, 2938, 1721, 1626, 1606, 1583, 1526, 1487, 1410, 1349, 1310, 1254, 1225, 1164, 1092, 1047 cm^{-1}; Elemental analysis ($C_{18}H_{16}FN_3O_6S \cdot 0.2H_2O$) Calcd. (%): C, 50.87;H, 3.89; N, 9.89; F, 4.47; S, 7.54 Found (%): C, 50.99; H, 3.86; N, 9.59; F, 4.19, S, 7.31
Ia-98 Ia-98	^{1}H-NMR ($CDCl_3$) δ 2.73 (t, J = 6.3 Hz, 2H), 3.18-3.22 (m, 2H), 4.71 (t, J = 6.0 Hz, 1H), 6.63 (s, 1H), 6.81-7.05 (m, 3H), 7.45-7.53 (m, 3H), 7.89 (d, J = 8.1 Hz, 1H), 8.03 (d, J = 8.1 Hz, 1H), 8.22 (d, J = 5.4 Hz, 1H), 8.40 (d, J = 5.4 Hz, 1H) ; IR ($CDCl_3$) 1732, 1487, 1457, 1408, 1328, 1162, 1135, 1079, 1045 cm^{-1}; Elemental analysis ($C_{22}H_{19}FN_2O_4S \cdot 0.5H_2O$) Calcd. (%): C, 60.68; H, 4.63; N, 6.43; F, 4.36; S, 7.36 Found (%): C, 60.65; H, 4.58; N, 6.26; F, 4.10, S, 7.20
Ia-99	^{1}H-NMR. ($CDCl_3$) δ 2.88 (t, J = 6.0 Hz, 2H), 3.24-3.28 (m, 2H), 4.35 (br, 1H), 4.78 (s, 2H), 6.92-7.13 (m, 4H), 7.63 (d, J = 6.6 Hz, 2H), 7.79 (d, J = 6.6 Hz, 2H); IR (KBr) 3269, 2655, 2565, 1728, 1626, 1584, 1488, 1459, 1433, 1324, 1241, 1096, 1073, 1017 cm^{-1}; Elemental analysis ($C_{19}H_{16}FN_3O_4S_2 \cdot 0.4H_2O$) Calcd. (%): C, 55.85; H, 4.14; N, 10.28; F, 4.65; S, 7.85 Found (%): C, 55.91; H, 4.23; N, 9.89; F, 4.33; S, 7.40

Table 39

Compound No.	Physical properties
Ia-100	^{1}H-NMR (d_6-DMSO) δ 2.68 (t, J = 6.9 Hz, 2H), 2.93-2.98 (m, 2H), 4.09 (br, 1H), 4.81 (s, 2H), 6.59 (dd, J = 6.0 and 2.1 Hz, 1H), 6.70 (s, 1H), 7.00 (s, 1H), 7.09 (d, J = 6.0 Hz, 1H), 7.36-7.42 (m, 2H), 7.78-7.87 (m, 3H), 8.70 (s, 1H); IR (KBr) 3431, 2927, 1732, 1626, 1591, 1494, 1465, 1407, 1322, 1292, 1231, 1151, 1092, cm^{-1}; Elemental analysis ($C_{18}H_{17}FN_2O_5S \cdot H_2O$) Calcd. (%): C, 52.68; H, 4.67; N, 6.83; F, 4.63; S, 7.81 Found (%): C, 52.41; H, 4.72; N, 6.58; F, 4.38, S, 7.76
Ia-101	^{1}H-NMR (CD_3OD) δ 2.91 (t, J = 6.9 Hz, 2H), 3.21 (t, J = 6.9 Hz, 2H), 6.63 (m, 1H), 6.93 (s, 1H), 7.04-7.13 (m, 4H), 7.71-7.77 (m, 2H); IR (KBr) 3303, 1721, 1633, 1592, 1557, 1494, 1466, 1440, 1409, 1327, 1290, 1253, 1235, 1190, 1166, 1152, 1092, 1076, 1046 cm^{-1}; Elemental analysis ($C_{18}H_{16}F_2N_2O_4S$) Calcd. (%): C, 54.82; H, 4.09; N, 7.10; F, 9.63; S, 8.13 Found (%): C, 54.63; H, 4.05; N, 6.97; F, 9.28; S, 7.87
Ia-102	^{1}H-NMR ($CDCl_3$) δ 2.79 (s, 3H), 3.08 (t, J = 7.2 Hz, 2H), 3.35 (t, J = 7.2 Hz, 2H), 4.83 (s, 2H), 6.74 (m, 1H), 6.92-7.17 (m, 5H), 7.74-7.79 (m, 2H); IR ($CHCl_3$) 2931, 1732, 1630, 1594, 1557, 1496, 1460, 1408, 1374, 1341, 1292, 1238, 1166, 1154, 1089, 1045 cm^{-1}; Elemental analysis ($C_{19}H_{18}F_2N_2O_4S \cdot 0.4MeOH$) Calcd. (%): C, 55.32; H, 4.69; N, 6.65; F, 9.02; S, 7.61 Found (%): C, 55.52; H, 4.50; N, 6.45; F, 8.70, S, 7.31
Ia-103	^{1}H-NMR ($CDCl_3$) δ 2.87 (t, J = 7.8 Hz, 2H), 3.43 (t, J = 7.8 Hz, 2H), 4.40 (s, 2H), 4.60 (s, 2H), 6.62-7.36 (m, 12H), 7.77-7.81 (m, 2H); IR ($CHCl_3$) 2930, 1732, 1629, 1593, 1496, 1457, 1406, 1329, 1292, 1154, 1098 cm^{-1}.

Table 39 (continued)

Compound No.	Physical properties
Ia-104	^{1}H-NMR ($CDCl_3$) δ 1.83 (d, J = 7.2 Hz, 3H), 2.79-2.94 (m, 2H), 3.19-3.49 (m, 2H), 4.55 (br, 1H), 5.07 (q, J = 7.5 Hz, 1H), 6.99-7.20 (m, 5H), 7.63-7.68 (m, 2H); IR ($CHCl_3$) 1725, 1594, 1495, 1466, 1409, 1331, 1292; 1167, 1153, 1092, 1062 cm^{-1}; Elemental analysis ($C_{19}H_{18}ClFN_2O_4S \cdot 0.5H_2O$) Calcd. (%): C, 52.60; H, 4.41; N, 6.46; C1, 8.17;F, 4.38; S, 7.39 Found (%): C, 52.64; H, 4.36; N, 6.35; Cl, 7.95;F, 4.29, S, 7.42
Ia-105	^{1}H-NMR ($CDCl_3$) δ 1.84 (d, J = 7.2 Hz, 3H), 2.97 (t, J = 8.1 Hz, 2H); 3.31 (t, J = 8.1Hz, 2H), 5.07 (q, J = 7.2 Hz, 1H), 7.13-7.19 (m, 5H), 7.46 (s, 1H), 7.75-7.80 (m, 2H); IR ($CHCl_3$) 1726, 1594, 1495, 1465, 1375, 1342, 1292, 1166, 1154, 1090 cm^{-1}; Elemental analysis ($C_{20}H_{20}ClFN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 54.07; H, 4.67; N, 6.30; Cl, 7.98;F, 4.28; S, 7.22 Found (%): C, 54.09; H, 4.89; N, 5.99; Cl, 7.63;F, 4.03; S, 6.86
Ia-106	^{1}H-NMR ($CDCl_3$) δ 1.78 (d, J = 7.5 Hz, 3H), 2.77 (t, J = 8.4 Hz, 2H), 3.32 (d, J = 8.4 Hz, 2H), 4.71 (s, 1H), 5.01 (q, J = 7.5 Hz, 1H), 6.91 (s, 1H), 7.08-7.7.38 (m, 10H), 7.82-7.87 (m, 2H); IR ($CHCl_3$) 1725, 1594, 1496, 1465, 1341, 1292, 1165, 1154, 1092, 1066 cm^{-1}; Elemental analysis ($C_{26}H_{24}ClFN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 60.01; H,4.76; N, 5.38; Cl, 6.81;F, 3.65; S, 6.16 Found (%): C, 60.24; H, 4.65; N, 5.25; Cl, 6.51;F, 3.55; S, 6.04

Table 40

Compound No.	Physical properties
Ib-2	^{1}H-NMR (d_6-DMSO) δ 2.54-2.68 (m, 2H), 2.89-3.06 (m, 2H), 3.35 (m, 1H), 4.84 (s, 2H), 6.93-7.04 (m, 2H), 7.26-7.32 (m, 2H), 7.45-7.52 (m, 2H), 7.92-7.97 (m, 2H), 8.36 (d, J = 7.8Hz, 1H), 12.96 (br, 1H) ; IR (KBr) 3429, 3300, 3061, 2913, 2856, 1725, 1592, 1494, 1458, 1432, 1409, 1382, 1340, 1291, 1241, 1167, 1155, 1092, 1002 cm^{-1}; Elemental analysis ($C_{19}H_{17}FN_2O_4S \cdot 0.4H_2O$) Calcd. (%): C, 57.68; H, 4.54; N, 7.08; F, 4.80; S, 8.11 Found (%): C, 57.89; H, 4.36; N, 6.76; F, 4.49; S, 7.77
Ib-6	^{1}H-NMR (CD_3OD) δ 2.56-2.65 (m, 2H), 2.71 (s, 3H), 2.95-3.04 (m, 2H), 4.75 (d, J = 18 Hz, 1H), 4.80 (d, J = 18 Hz, 1H), 5.36 (m, 1H), 6.96-7.08 (m, 2H), 7.19-7.41 (m, 4H), 7.93-7.98 (m, 2H); IR (KBr) 3413, 2925, 2653, 2551, 1719, 1706, 1616, 1592, 1493, 1461, 1404, 1378, 1335, 1291, 1234, 1151, 1087, 1012 cm^{-1}; Elemental analysis ($C_{20}H_{19}FN_2O_5S \cdot 0.4AcOEt$) Calcd. (%): C, 59.27; H, 5.11; N, 6:40; F, 4.34; S, 7.33 Found (%): C, 59.03; H, 4.95; N, 6.34; F, 4.17; S, 7.29
Ib-11	^{1}H-NMR (CD_3OD) δ 2.46-2.55 (m, 2H), 2.89-2.98 (m, 2H), 4.30 (d, J = 7.2 Hz, 1H), 4.42 (d, J = 7.2 Hz, 1H), 4.50 (d, 12.0 Hz, 1H), 4.63 (d, J = 12.0 Hz, 1H), 5.36 (m, 1H), 6.95-7.39 (m, 11H), 7.96-8.01 (m, 2H); IR (KBr) 3430, 2927, 2859, 1728, 1591, 1493, 1457, 1404, 1381, 1340, 1292, 1236, 1208, 1165, 1153,1092, 1052, 1012 cm^{-1};
Ib-16	mp 162-168 °C; ^{1}H-NMR (d_6-DMSO) δ 1.77 (m, 1H), 1.92 (m, 1H), 2.46-2.78 (m, 4H), 3.41 (m, 1H), 4.80 (d, J = 18.6 Hz, 1H), 4.86 (d, J = 18.6 Hz, 1H), 6.96 (m, 1H), 7.04 (m, 1H), 7.25 (d, J = 7.2 Hz, 1H), 7.29 (d, J = 8.1 Hz, 1H), 7.40-7.48 (m, 2H), 7.90-7.97 (m, 3H), 12.95 (br, 1H); IR (Nujol) 3261,1734,1590,1493,1469,1444,1329,1188,1168,1153 cm^{-1}; $[\alpha]_D^{24}$ +60.4±1.0° (c=1.012, MeOH); Elemental analysis ($C_{20}H_{19}FN_2O_4S$) Calcd. (%): C, 59.69; H, 4.76; F,4.72; N, 6.96; S, 7.97 Found (%): C, 59.51; H, 4.68; F,4.57; N, 6.77; S, 7.78
Ib-18	^{1}H-NMR (d_6-DMSO) δ 1.74 (m, 1H), 1.90 (m, 1H), 2.39 (s, 3H), 2.45-2.75 (m, 4H), 3.30(m, 1H), 4.79 (d, J = 19.2 Hz, 1H), 4.88 (d, J = 19.2 Hz, 1H), 6.96 (m, 1H), 7.04 (m, 1H), 7.24 (d, J = 6.9 Hz, 1H), 7.29 (d, J = 7.8 Hz, 1H), 7.40 (d, J = 8.1 Hz, 2H), 7.76 (d, J = 8.1 Hz, 2H), 7.82 (d, J = 6.6 Hz, 1H), 12.93 (br, 1H); IR (KBr) 3272,2924,1728,1617,1598,1468,1434,1382,1319,1156 cm^{-1}; $[\alpha]_D^{23}$ +76.2±1.2° (c=1.010, MeOH); Elemental analysis ($C_{21}H_{22}N_2O_4S \cdot 0.5H_2O$) Calcd. (%): C, 61.90; H, 5.69; N, 6.87; S, 7.87 Found (%): C, 62.01; H, 5.45; N, 6.81; S, 7.76

Table 41

Compound No.	Physical properties
Ib-20	^{1}H-NMR (d_6-DMSO) δ 1.78 (m, 1H), 1.94 (m, 1H), 2.48-2.80 (m, 4H), 3.47 (m, 1H), 4.81 (d, J = 18.3 Hz, 1H), 4.87 (d, J = 18.3 Hz, 1H), 6.97 (m, H), 7.05 (m, 1H), 7.19 (dd, J = 3.6, 5.1 Hz, 1H), 7.26-7.32 (m, 2H), 7.66 (dd, J = 1.5, 3.6 Hz, 1H), 7.94 (dd, J = 1.5, 5.1 Hz, 1H), 8.12 (d, J = 6.9 Hz, 1H), 12.95 (br, 1H); IR (KBr) 3435,3276,2925,1727,1617,1468,1433,1405,1382,1320,1227,1182,1154 cm^{-1}; $[\alpha]_D^{24}$ +70.9±1.1° (c=1.010, MeOH); Elemental analysis ($C_{18}H_{18}N_2O_4S_2 \cdot 0.5H_2O$) Calcd. (%): C, 54.12; H, 4.79; N, 7.01; S, 16.05 Found (%): C, 54.24; H, 4.58; N, 6.90; S, 16.14
Ib-21	^{1}H-NMR (d_6-DMSO) δ 1.77 (m, 1H), 1.95 (m, 1H), 2.46-2.76 (m, 4H), 3.40 (m, 1H), 4.80 (d, J = 18.6 Hz, 1H), 4.86 (d, J = 18.6 Hz, 1H), 6.96 (m, 1H), 7.04 (m, 1H), 7.26 (d, J = 7.5 Hz, 1H), 7.29 (d, J = 7.8 Hz, 1H), 7.41 (d, J = 5.1 Hz, 1H), 7.78 (dd, J = 3.0, 5.1 Hz, 1H), 7.84 (d, J = 6.6 Hz, 1H), 8.20 (m, 1H), 12.95 (br, 1H); IR (KBr) 3271,1728,1616,1468,1433,1382,1319,1206,1183,1153,1101,1075 cm^{-1}; Elemental analysis ($C_{18}H_{18}N_2O_4S_2 \cdot 0.4H_2O$) Calcd. (%): C, 54.36; H, 4.76; N, 7.04; S, 16.13 Found (%): C, 54.36; H, 4.75; N, 6.92; S, 15.96
Ib-22	^{1}H-NMR (d_6-DMSO) δ 1.76 (m, 1H), 1.93 (m, 1H), 2.45-2.76 (m, 4H), 3.41 (m, 1H), 4.22 (s, 2H), 4.80 (d, J = 18.6 Hz, 1H), 4.86 (d, J = 18.6 Hz, 1H), 6.95-7.07 (m, 3H), 7.20-7.37 (m, 7H), 7.48 (d, J = 3.6 Hz, 1H), 12.97(br, 1H); IR (KBr) 3431,3271,2923,1726,1486,1453,1382,1320,1153 cm^{-1}; Elemental analysis ($C_{25}H_{24}FN_2O_4S_2 \cdot 0.3H_2O$) Calcd. (%): C, 61.78; H, 5.10; N, 5.76; S, 13.12 Found (%): C, 61.76; H, 5.01; N, 5.67; S, 13.12
Ib-25	mp 185-196 °C; ^{1}H-NMR (d_6-DMSO) δ 1.53 (m, 1H), 1.88 (m, 1H), 2.48-2.85 (m, 4H), 2.83 (s, 3H), 4.12 (m, 1H), 4.85 (s, 2H), 6.98 (m, 1H), 7.06 (m, 1H), 7.28-7.32 (m, 2H), 7.45-7.51 (m, 2H), 7.91-7.98 (m, 2H), 12.95 (br, 1H); IR (Nujol) 2683,1715,1592,1490,1465,1473,1335,1288,1167 cm^{-1}; $[\alpha]_D^{24}$ +95.0±1.3° (c=1.004, MeOH); Elemental analysis ($C_{20}H_{19}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; F,4.56; N, 6.73; S, 7.70 Found (%): C, 60.34; H, 5.15; F,4.33; N, 6.47; S, 7.43
Ib-27	mp 154-160°C; ^{1}H-NMR (d_6-DMSO) δ 1.50 (m, 1H), 1.85 (m, 1H), 2.42 (s, 3H), 2.52-2.78 (m, 4H), 2.80 (s, 3H), 4.10 (m, 1H), 4.82 (d, J = 18.3 Hz, 1H), 4.88 (d, J = 18.3 Hz, 1H), 6.97 (m, 1H), 7.05 (m, 1H), 7.28-7.32 (m, 2H), 7.51 (d, J = 8.1 Hz, 2H), 7.75 (d, J = 8.1 Hz, 2H), 12.95 (br, 1H); IR (Nujol) 2658,1714,1598,1465,1335,1288,1165 cm^{-1}; $[\alpha]_D^{24}$ +119.5±1.6° (c=1.012, MeOH); Elemental analysis ($C_{22}H_{24}N_2O_4S$) Calcd. (%): C, 64.06; H, 5.86; N, 6.79; S, 7.77 Found (%): C, 64.02; H, 5.78; N, 6.75; S, 7.68

Table 42

Compound No.	Physical properties
Ib-29	Mp 185-196 °C; ^{1}H-NMR (d_6-DMSO) δ 1.52 (m, 1H), 1.88 (m, 1H), 2.53-2.82 (m, 4H), 2.86 (s, 3H), 4.11 (m, 1H), 4.82 (d, J = 18.3 Hz, 1H), 4.88 (d, J = 18.3 Hz, 1H), 6.98 (m, 1H), 7.06 (m, 1H), 7.26-7.33 (m, 3H), 7.74 (dd, J = 1.5, 3.6 Hz, 1H), 8.03 (dd, J = 1.5, 5.1 Hz, 1H), 12.93 (br, 1H); IR (Nujol) 2683,1715,1592,1490,1465,1473,1335,1288,1167 cm^{-1}; $[\alpha]_D^{24}$ +95.0±1.3° (c=1.004, MeOH); Elemental analysis ($C_{20}H_{19}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; F,4.56; N, 6.73; S, 7.70 Found (%): C, 60.34; H, 5.15; F,4.33; N, 6.47; S, 7.43
Ib-30	mp 175-180°C; ^{1}H-NMR (d_6-DMSO) δ 1.36 (m, 1H), 1.88 (m, 1H), 2.52 (m, 1), 2.64-2.86 (m, 3H), 2.83 (s, 3H), 4.11 (m, 1H), 4.85 (s, 2H), 6.98 (m, 1H), 7.06 (m, 1H), 7.28-7.32 (m, 2H), 7.45 (dd, J = 1.2, 5.1 Hz, 1H), 7.83 (dd, J = 3.0, 5.1 Hz, 1H), 8.31 (dd, J = 1.2, 3.0 Hz, 1H), 12.93 (br, 1H); IR (Nujol) 3100,2662,1721,1468,1336,1323,1253,1201,1163,1138 cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_4S_2$) Calcd. (%): C, 56.42; H, 4.98; N, 6.93; S, 15.85 Found (%): C, 56.34; H, 4.88; N, 6.85; S, 15.80

Table 42 (continued)

Compound No.	Physical properties
Ib-31	mp 138-140 °C; ^{1}H-NMR (d_6-DMSO) δ 1.49 (m, 1H), 1.86 (m, 1H), 2.49-2.77 (m, 4H), 2.81 (s, 3H), 4.02 (m, 1H), 4.25 (s, 2H), 4.85 (s, 2H), 6.96-7.08 (m, 3H), 7.22-7.38 (m, 7H), 7.56 (d, J = 3.9 Hz, 1H), 12.97(br, 1H); IR (Nujol) 1712,1468,1449,1431,1410,1380,1341,1238,1154 cm^{-1}; Elemental analysis ($C_{26}H_{26}N_2O_4S_2$) Calcd. (%): C, 63.13; H, 5.30; N, 5.66; S, 12.97 Found (%): C, 62.99; H, 5.26; N, 5.56; S, 13.00
Ib-77	mp 160-172 °C; ^{1}H-NMR (d_6-DMSO) δ 2.50 (m, 1H), 2.80-3.06 (m, 6H), 4.18 (d, J = 18.0 Hz, 1H), 4.88 (d, J = 18.0 Hz, 1H), 6.94-7.04 (m, 2H), 7.27-7.31 (m, 2H), 7.41-7.48 (m, 2H), 7.85-7.91 (m, 3H), 12.95 (br, 1H); IR (Nujol) 3387,3352,2653,1722,1593,1494,1459,1402,1330,1289,1235,1156 cm^{-1}; Elemental analysis ($C_{20}H_{19}FN_2O_4S$) Calcd. (%): C, 59-69; H, 4.76; F,4.72; N, 6.96; S, 7.97 Found (%): C, 59.40; H, 4.74; F,4.60; N, 7.04; S, 7.91
Ic-2	mp 142-143 °C; ^{1}H-NMR ($CDCl_3$) δ 2.42 (br s, 2H), 3.31 (t, J = 5.7 Hz, 2H), 3.97 (br s, 2H), 4.87 (s, 2H), 6.21 (br s, 1H), 7.03 (s, 1H), 7.14-7.29 (m, 5H), 7.75 (d, J = 7.8 Hz, 1H), 7.85 (dd, J = 4.8, 8.7 Hz, 2H); IR (KBr) 3348, 1770, 1590, 1491, 1466, 1347, 1331, 1167, 1156, 1095 cm^{-1}; Elemental analysis ($C_{21}H_{19}FN_2O_4S$) Calcd. (%): C, 60.86; H, 4.62; N, 6.76; F, 4.58; S, 7.74 Found (%): C, 60.94; H, 4.65; N, 6.65; F, 4.24; S, 7.70
Ic-6	mp 189-194 °C (dec); ^{1}H-NMR ($CDCl_3$) δ 1.56 (m, 1H), 1.84 (m, 2H), 2.06 (m, 1H), 2.40-2,54 (m, 2H), 3.24 (m, 1H), 3.70 (m, 1H), 3.94 (dd, J = 2.1, 11.7 Hz, 1H), 4.84 (s, 2H), 6.88 (s, 1H), 7.13-7.26 (m, 5H), 7.63 (d, J = 7.8 Hz, 1H), 7.76 (dd, J = 5.1, 9.0 Hz, 2H); IR (KBr) 3423, 1706, 1590, 1492, 1468, 1405, 1332, 1288, 1240, 1148 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; N, 6.73; F, 4.56; S, 7.70 Found (%): C, 60.51; H, 5.12; N, 6.63; F, 4.35; S, 7.56

Table 43

Compound No.	Physical properties
Ic-8	mp 152-157 °C; ^{1}H-NMR ($CDCl_3$) δ 1.57 (m, 1H), 1.79-1.90 (m, 2H), 2.06 (m, 1H), 2.53-2.65 (m, 2H), 3.26 (m, 1H), 3.70 (m, 1H), 3.94 (m, 1H), 4.84 (s, 2H), 6.90 (s, 1H), 7.10-7.28 (4H, m), 7. 51 (m, 1H), 7.58 (m, 1H), 7.64 (d, J = 7.8 Hz, 1H); IR (Nujol) 3424, 3101, 3055, 2930, 1717, 1614, 1579, 1469, 1406, 1337, 1243, 1164, 1146 cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_4S_2$) Calcd. (%): C, 56.42; H, 4.98; N, 6.93; S, 15.85 Found (%): C, 56.37; H, 4.93; N, 6.83; S, 15.68
Ic-11	mp 212-214 °C; ^{1}H-NMR (d_6-DMSO) δ 1.41-1.96 (m, 4H), 2.27-2.42 (m, 2H), 3.06 (m, 1H), 3.64-3.84 (m ,2H), 4.94 (s, 2H), 6.97 (td, J = 2.7, 9.0 Hz, 1H), 7.23 (s, 1H), 7.31 (dd, J = 2.7, 10.2 Hz, 1H), 7.36 (dd, J = 4.5, 9.0 Hz, 1H), 7.42-7.48 (m, 2H), 7.80-7.86 (m, 2H); IR (Nujol) 2654, 2558, 1709, 1624, 1591, 1489, 1458, 1407, 1334, 1319, 1283, 1241, 1192, 1155 cm^{-1}; Elemental analysis ($C_{21}H_{20}F_2N_2O_4S$·0.2AcOEt) Calcd. (%): C, 57.92; H, 4.82; F, 8.40; N, 6.20; S, 7.09 Found (%): C, 58.06; H, 4.67; F, 8.24; N, 6.36; S, 7.31
Ic-14	mp 155-156°C; ^{1}H-NMR (d_6-DMSO) δ 1.86 (m, 1H), 2.21 (m, 1H), 3.13 (dd, J = 8.4, 9.6 Hz, 1H), 3.29-3.51 (m, 3H), 3.73 (dd, J = 7.2, 9.6 Hz, 1H), 4.89 (s, 2H), 6.98 (m, 1H), 7.06 (s, 1H), 7.11 (m, 1H), 7.31 (m, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.42-7.49 (m, 2H), 7.88-7.95 (m, 2H), 12.93 (br, 1H); IR (Nujol) 2662, 1732, 1712, 1587, 1490, 1469, 1341, 1333, 1241, 1198, 1162, 1095 cm^{-1}; Elemental analysis ($C_{20}H_{19}FN_2O_4S$) Calcd. (%): C, 59.69; H, 4.76; F, 4.72; N, 6.96; S, 7.97 Found (%): C, 59.55; H, 4.66; F, 4.54; N, 6.83; S, 7.93
Ic-16	mp 126-133 °C; ^{1}H-NMR (d_6-DMSO) δ 1.84 (m, 1H), 2.22 (m, 1H), 3.17 (dd, J = 8.7, 9.9 Hz, 1H), 3.33-3.55 (m, 3H), 3.76 (dd, J = 7.5, 9.9 Hz, 1H), 4.91 (s, 2H), 7.00 (m, 1H), 7.07 (s, 1H), 7.12 (m, 1H), 7.28-7.40 (m, 3H), 7.75 (dd, J = 1.5, 3.9 Hz, 1H), 8.05 (dd, J = 1.5, 5.1 Hz, 1H), 12.92 (br, 1H); IR (Nujol) 3230, 1752, 1726, 1469, 1333, 1211, 1146, 1098, 1030 cm^{-1}; Elemental analysis ($C_{18}H_{18}N_2O_4S_2$·0.2AcOEt) Calcd. (%): C, 55.33; H, 4.84; N, 6.86; S, 15.71 Found (%): C, 55.08; H, 4.90; N, 6.83; S, 15.56

Table 43 (continued)

Compound No.	Physical properties
Ic-18	mp 139-140 °C; ^{1}H-NMR (d_6-DMSO) δ 1.84-2.16 (m, 2H), 2.20 (s, 3H), 3.30-3.76 (m, 5H), 4.88 (s, 2H), 6.77.(m, 2H), 7.02 (m, 1H), 7.31 (d, J = 8.1 Hz, 1H), 7.50-7.64 (m, 2H), 7.94-8.08 (m, 2H); IR (Nujol) 3075, 2925, 2736, 2656, 2561, 1725, 1590, 1468, 1375, 1351, 1291, 1241, 1152 cm^{-1}
Ic-22	mp 185-190 °C (dec); ^{1}H-NMR ($CDCl_3$) δ 2.65 (br t, 2H), 3.36 (t, J = 5.7 Hz, 2H), 3.83 (br s, 2H), 4.78 (s, 2H), 6.10 (br s, 1H), 7.06 (s, 1H), 7.11-7.27 (m, 5H), 7.77 (d, J = 8.1 Hz, 1H), 7.87 (dd, J = 5.1, 9.0 Hz, 2H); Elemental analysis ($C_{21}H_{19}FN_2O_4S$) Calcd. (%): C, 60.86; H, 4.62; N, 6.76; F, 4.58; S, 7.74 Found (%): C, 60.59; H, 4.68; N, 6.57; F, 4.29; S, 7.46

Table 44

Compound No.	Physical properties
Ic-24	mp 119-124 °C (dec); ^{1}H-NMR ($CDCl_3$) δ 1.86 (m, 2H), 2.11 (m, 2H), 2.45 (m, 2H), 2.77 (m, 1H), 3.93 (m, 2H), 4.84 (s, 2H), 6.81 (s, 1H), 7.10 (m, 1H), 7.21-7.27 (m, 4H), 7.51 (d, J = 8.1 Hz, 1H), 7.83 (dd, J = 5.1, 9.0 Hz, 2H); IR (KBr) 3422, 1715, 1593, 1493, 1467, 1349, 1333, 1240, 1168, 1154 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; N, 6.73; F, 4.56; S, 7.70 Found (%): C, 60.48; H, 4.98; N, 6.67; F, 4.35; S, 7.55
Ic-26	^{1}H-NMR ($CDCl_3$) δ 1.43-1.71 (m, 4H), 2.89 (m, 1H), 3.08 (m, 1H), 3.34-3.49 (m, 2H), 3.92 (m, 1H), 4.86 (s, 2H), 6.93 (s, 1H), 7.15-7.26 (m, 5H), 7.79 (d, J = 7.8 Hz, 1H), 7.87-7.91 (m, 2H); IR (KBr) 2927, 1727, 1591, 1493, 1468, 1332, 1292, 1235, 1197, 1152, 1091, 1038 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S \cdot 0.6H_2O$) Calcd. (%): C, 59.03; H, 5.24; N, 6.56;F, 4.45; S, 7.50 Found (%): C, 59.38; H, 5.21; N, 6.42;F, 4.12, S, 7.11
Ic-29	^{1}H-NMR ($CDCl_3$) δ 1.26-1.65 (m, 6H), 2.97-3.21 (m, 3H), 3.82 (m, 1H), 4.36 (m, 1H), 4.82 (s, 2H), 6.86 (s, 1H), 6.99-7.26 (m, 5H), 7.61 (d, J = 4.8 Hz, 1H), 7.69-7.74 (m, 2H); IR (KBr) 3426, 2936, 1728, 1591, 1494, 1468, 1330, 1289, 1232, 1149, 1091 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S \cdot 0.4H_2O$) Calcd. (%): C, 60.37; H, 5.48; N, 6.40;F, 4.34; S, 7.33 Found (%): C, 60.53; H, 5.49; N, 6.26;F, 3.97; S, 6.93
Ic-30	mp 205-208 °C; ^{1}H-NMR (d_6-DMSO) δ 1.46-1.97 (m, 4H), 2.16-2.37 (m, 2H), 3.07 (m, 1H), 3.64-3.83 (m, 2H), 3.84 (s, 3H), 4.93 (s, 2H), 7.05 (m, 1H), 7.10-7.15 (m, 3H), 7.34 (d, J = 8.1 Hz, 1H), 7.53 (d, J = 7.5 Hz, 1H), 7.67 (d, J = 9.0 Hz, 2H).
Ic-31	mp 155-159 °C; ^{1}H-NMR (d_6-DMSO) δ 1.80 (m, 1H), 2.23 (m, 1H), 3.16 (dd, J = 8.7, 9.3 Hz, 1H), 3.34-3.56 (m, 3H), 3.74 (dd, J = 7.5, 9.9 Hz, 1H), 4.93 (s, 2H), 7.12 (dd, J = 2.1, 8.7 Hz, 1H), 7.16 (s, 1H), 7.28 (dd, J = 3.6, 5.1 Hz, 1H), 7.38 (d, J = 8.7 Hz, 1H), 7.49 (d, J = 2.1 Hz, 1H), 7.74 (dd, J = 1.5, 3.6 Hz, 1H), 8.03 (dd, J = 1.5, 5.1 Hz, 1H), 12.99 (br, 1H); IR (Nujol) 2669, 1745, 1669, 1469, 1388, 1347, 1226, 1156, 1040 cm^{-1}; Elemental analysis ($C_{18}H_{17}ClN_2O_4S_2$) Calcd. (%): C, 50.88; H, 4.03; Cl, 8.34; N, 6.59; S, 15.09 Found (%): C, 55.86; H, 3.92; Cl, 8.04; N, 6.58; S, 15.00
Ic-32	mp 169-171 °C; ^{1}H-NMR (d_6-DMSO) δ 1.83 (m, 1H), 2.19 (m, 1H), 3.11 (dd, J = 8.7, 9.3 Hz, 1H), 3.29-3.50 (m, 3H), 3.71 (dd, J = 7.5, 9.9 Hz, 1H), 4.84 (s, 2H), 6.93 (dd, J = 1.5, 8.4 Hz, 1H), 7.00 (s, 1H), 7.13 (d, J = 1.5 Hz, 1H), 7.19 (d, J = 8.4 Hz, 1H), 7.42-7.50 (m, 2H), 7.89-7.96 (m, 2H), 12.89 (br, 1H); IR (Nujol) 2663, 1730, 1708, 1588, 1492, 1463, 1342, 1243, 1198, 1164, 1096, 1025 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; F, 4.56; N, 6.73; S, 7.70 Found (%): C, 60.49; H, 5.08; F, 4.27; N, 6.67; S, 7.40

Table 45

Compound No.	Physical properties
Ic-33	mp 145-149 °C; ^{1}H-NMR (d_6-DMSO) δ 1.83 (m, 1H), 2.20 (m, 1H), 2.36 (s, 3H), 3.16 (t, J = 9.0 Hz, 1H), 3.34-3.54 (m, 3H), 3.74 (dd, J = 7.5, 9.6 Hz, 1H), 4.86 (s, 2H), 6.94 (dd, J = 1.5, 8.4 Hz, 1H), 7.01 (s, 1H), 7.17 (d, J = 1.5 Hz, 1H), 7.20 (d, J = 8.4 Hz, 1H), 7.30 (dd, J = 3.9, 5.1 Hz, 1H), 7.75 (dd, J = 1.5, 3.9 Hz, 1H), 8.05 (dd, J = 1.5, 5.1 Hz, 1H), 12.90 (br, 1H); IR (Nujol) 2662, 1705, 1484, 1463, 1348, 1246, 1156, 1034 cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_4S_2$) Calcd. (%): C, 56.42; H, 4.98; N, 6.93; S, 15.85 Found (%): C, 56.33; H, 4.85; N, 6.84; S, 15.54
Ic-34	mp 145-146 °C; ^{1}H-NMR (d_6-DMSO) δ 1.76 (m, 1H), 2.20 (m, 1H), 3.11 (t, J = 9.0 Hz, 1H), 3.27-3.48 (m, 3H), 3.72 (dd, J = 7.5, 9.6 Hz, 1H), 4.90 (s, 2H), 7.10 (s, 1H), 7.11 (dd, J = 1.8, 8.7 Hz, 1H), 7.37(d, J = 8.7 Hz, 1H), 7.46 (d, J = 1.8 Hz, 1H), 7.61-7.66 (m, 2H), 7.73 (m, 1H), 7.83-7.87 (m, 2H), 12.98 (br, 1H); IR (Nujol) 2663, 1731, 1471, 1446, 1340, 1242, 1198, 1162, 1099, 1029 cm^{-1}; Elemental analysis ($C_{20}H_{19}ClN_2O_4S$) Calcd. (%): C, 57.34; H, 4.57; Cl, 8.46; N, 6.69; S, 7.65 Found (%): C, 57.00; H, 4.48; Cl, 8.13; N, 6.71; S, 7.43
Ic-35	^{1}H-NMR (d_6-DMSO) δ 1.97 (m, 1H), 2.30 (m, 1H), 3.08 (t, J = 9.0 Hz, 1H), 3.29-3.59 (m, 3H), 3.68 (d, J = 8.1 Hz, 1H), 4.50 (d, J = 13.5 Hz, 1H), 4.56 (d, J = 13.5 Hz, 1H), 4.98 (s, 2H), 7.14 (dd, J = 1.8, 8.7 Hz, 1H), 7.29 (s, 1H), 7.36-7.50 (m, 6H), 7.59 (d, J = 1.8 Hz, 1H), 13.01 (br, 1H); IR (KBr) 3439, 2637, 1731, 1471, 1329, 1152 cm^{-1}; Elemental analysis ($C_{21}H_{21}ClN_2O_4S \cdot 0.6H_2O$) Calcd. (%): C, 56.84; H, 5.04; Cl, 7.99; N, 6.31; S, 7.23 Found (%): C, 56.96; H, 4.81; Cl, 7.61; N, 6.34; S, 7.17
Ic-36	mp 158-159 °C; ^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.22 (m, 1H), 3.13 (t, J = 9.0 Hz, 1H), 3.28-3.50 (m, 3H), 3.72 (dd, J = 7.5, 9.3 Hz, 1H), 4.91 (s, 2H), 7.13 (dd, J = 1.8, 8.7 Hz, 1H), 7.16 (s, 1H), 7.37(d, J = 8.7 Hz, 1H), 7.46 (d, J = 1.8 Hz, 1H), 7.64-7.68 (m, 2H), 7.82-7.86 (m, 2H), 12.99 (br, 1H); IR (Nujol) 2669, 1741, 1726, 1472, 1346, 1246, 1162, 1100, 1086, 1032 cm^{-1}.
Ic-37	mp 195-196 °C; ^{1}H-NMR (d_6-DMSO) δ 1.76 (m, 1H), 2.19 (m, 1H), 2.42 (s, 3H), 3.08 (t, J = 9.0 Hz, 1H), 3.26-3.45 (m, 3H), 3.68 (dd, J = 7.5, 9.3 Hz, 1H), 4.90 (s, 2H), 7.10 (s, 1H), 7.11 (dd, J = 1.8, 8.7 Hz, 1H), 7.37 (d, J = 8.7 Hz, 1H), 7.42-7.44 (m, 4H), 7.71-7.74 (m, 2H), 12.98 (br, 1H); IR (Nujol) 2671, 1728, 1470, 1347, 1249, 1199, 1158, 1097, 1030 cm^{-1}; Elemental analysis ($C_{21}H_{21}ClN_2O_4S$) Calcd. (%): C, 58.26; H, 4.89; Cl, 8.19; N, 6.47; S, 7.41 Found (%): C, 58.18; H, 4.87; Cl, 7.92; N, 6.40; S, 7.28

Table 46

Compound No.	Physical properties
Ic-38	mp 166-168 °C; ^{1}H-NMR (d_6-DMSO) δ 1.81 (m, 1H), 2.20 (m, 1H), 3.10 (t, J = 9.0 Hz, 1H), 3.27-3.50 (m, 3H), 3.72 (dd, J = 7.5, 9.3 Hz, 1H), 4.90 (s, 2H), 6.95 (m, 1H), 7.15-7.19 (m, 2H), 7.34 (dd, J = 4.5, 9.0 Hz, 1H), 7.40-7.47 (m, 2H), 7.85-7.94 (m, 2H), 12.96 (br, 1H); IR (Nujol) 2662, 1725, 1715, 1587, 1457, 1341, 1333, 1237, 1198, 1160, 1096 cm^{-1}; Elemental analysis ($C_{20}H_{18}F_2N_2O_4S$) Calcd. (%): C, 57.14; H, 4.32; F, 9.04; N, 6.66; S, 7.63 Found (%): C, 57.15; H, 4.25; F, 8.79; N, 6.54; S, 7.57
Ic-39	^{1}H-NMR (d_6-DMSO) δ 1.80 (m, 1H), 2.22 (m, 1H), 3.15 (t, J = 9.0 Hz, 1H), 3.31-3.53 (m, 3H), 3.75 (dd, J = 7.5, 9.6 Hz, 1H), 4.92 (s, 2H), 6.96 (m, 1H), 7.15 (s, 1H), 7.21 (dd, J = 2.4, 9.9 Hz, 1H), 7.28 (dd, J = 3.9, 4.8 Hz, 1H), 7.35 (dd, J = 4.5, 9.0 Hz, 1H), 7.74 (dd, J = 1.2, 3.9 Hz, 1H), 8.03 (dd, J = 1.2, 4.8 Hz, 1H), 12.97 (br, 1H); IR (KBr) 1729, 1626, 1580, 1486, 1457, 1403, 1344, 1225, 1155 cm^{-1}; Elemental analysis ($C_{18}H_{17}FN_2O_4S_2$) Calcd. (%): C, 52.24; H, 4.29; F, 4.59; N, 6.77; S, 15.50 Found (%): C, 52.26; H, 4.22; F, 4.46; N, 6.33; S, 15.47
Ic-40	mp 157-160 °C; ^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.21 (m, 1H), 3.10 (t, J = 9.0 Hz, 1H), 3.30-3.50 (m, 3H), 3.71 (dd, J = 7.5, 9.6 Hz, 1H), 3.74 (s, 3H), 4.83 (s, 2H), 6.75 (dd, J = 2.4, 8.7 Hz, 1H), 6.88 (d, J = 2.4 Hz, 1H), 7.00 (s, 1H), 7.21 (d, J = 8.7 Hz, 1H), 7.40-7.48 (m, 2H), 7.88-7.95 (m, 2H), 12.90 (br, 1H); IR (Nujol) 3204, 1742, 1718, 1622, 1586, 1493, 1452, 1338, 1331, 1224, 1151, 1095, 1037, 1027 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_5S$) Calcd. (%): C, 58.32; H, 4.89; F, 4.39; N, 6.48; S, 7.41 Found (%): C, 58.02; H, 5.07; F, 4.22; N, 6.30; S,7.15

Table 46 (continued)

Compound No.	Physical properties
Ic-41	^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.23 (m, 1H), 3.14 (t, J = 9.0 Hz, 1H), 3.30-3.52 (m, 3H), 3.75 (m, 1H), 3.75 (s, 3H), 4.85 (s, 2H), 6.76 (dd, J = 2.4, 8.7 Hz, 1H), 6.92 (d, J = 2.4 Hz, 1H), 7.01 (s, 1H), 7.22 (d, J = 8.7 Hz, 1H), 7.29 (dd, J = 3.9, 5.1 Hz, 1H), 7.75 (dd, J = 1.2, 3.6 Hz, 1H), 8.04 (dd, J = 1.2, 5.1 Hz, 1H), 12.74 (br, 1H); IR (KBr) 1727, 1622, 1580, 1488, 1454, 1403, 1345, 1226, 1155, 1031 cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_5S_2 \cdot 0.2H_2O$) Calcd. (%): C, 53.81; H, 4.85; N, 6.61; S, 15.12 Found (%): C, 53.85; H, 4.87; N, 6.34; S,15.01
Ic-42	^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.16 (m, 1H), 3.09 (t, J = 9.0 Hz, 1H), 3.25-3.47 (m, 3H), 3.69 (dd, J = 7.5, 9.9 Hz, 1H), 4.79 (s, 2H), 6.63 (dd, J = 2.1, 9.0 Hz, 1H), 6.72 (d, J =2.1 Hz, 1H), 6.93 (s, 1H), 7.10 (d, J = 9.0 Hz, 1H), 7.42-7.47 (m, 2H), 7.88-7.93 (m, 2H), (d, J = 8.7 Hz, 1H), 7.46 (d, J = 1.8 Hz, 1H), 7.61-7.66 (m, 2H), 7.73 (m, 1H), 8.73 (br, 1H), 12.87 (br, 1H); IR (KBr) 3436, 1730, 1625, 1590, 1492; 1466, 1332, 1293, 1226, 1153, 1096 cm ^{1}; Elemental analysis ($C_{20}H_{19}FN_2O_5S \cdot 0.7H_2O$) Calcd. (%): C, 55.73; H, 4.77; F, 4.41; N, 6.50; S, 7.44 Found (%): C, 55.69; H, 4.68; F, 4.05; N, 6.28; S, 7.19

Table 47

Compound No.	Physical properties
Ic-43	mp 119-123 °C; ^{1}H-NMR (d_6-DMSO) δ 0.90 (t, J = 7.5 Hz, 3H), 1.34-1.46 (m, 2H), 1.60-1.79 (m, 2H), 2.03 (m, 1H), 2.36 (m, 1H), 3.02-3.65 (m, 6H), 3.80 (dd, J = 7.5, 9.0 Hz, 1H), 4.96 (s, 2H), 6.98 (m, 1H), 7.33-7.44 (m, 3H), 12.96 (br, 1H); IR (Nujol) 3254, 1751, 1626, 1583, 1488, 1456, 1318, 1299, 1274, 1183, 1127, 1095, 1045 cm^{-1}; Elemental analysis ($C_{18}H_{23}FN_2O_4S$) Calcd. (%): C, 56.53; H, 6.06; F, 4.97; N, 7.32; S, 8.38 Found (%): C, 56.46; H, 5.99; F, 4.76; N, 7.19; S, 8.20
Ic-44	mp 178-182 °C; ^{1}H-NMR (d_6-DMSO) δ 1.99 (m, 1H), 2.33 (m, 1H), 3.20 (t, J = 9.0 Hz, 1H), 3.23-3.60 (m, 3H), 3.80 (dd, J = 7.5, 9.9 Hz, 1H), 4.91 (s, 2H), 6.95 (m, 1H), 7.29 (s, 1H), 7.31-7.47 (m, 7H), 7.73-7.76 (m, 2H), 12.95 (br, 1H); IR (Nujol) 1719, 1620, 1577, 1486, 1459, 1331, 1228, 1144, 1048, 1023 cm^{-1}; Elemental analysis ($C_{22}H_{21}FN_2O_4S$) Calcd. (%): C, 61.67; H, 4.94; F, 4.43; N, 6.54; S, 7.48 Found (%): C, 61.45; H, 4.92; F, 4.27; N, 6.40; S, 7.40
Ic-45	mp 205-207 °C; ^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.22 (m, 1H), 3.15 (t, J = 9.0 Hz, 1H), 3.34-3.54 (m, 3H), 3.76 (dd, J = 7.5, 9.3 Hz, 1H), 4.88 (s, 2H), 6.95 (m, 1H), 7.17 (s, 1H), 7.20 (dd, J = 2.4, 9.9 Hz, 1H), 7.32 (dd, J = 4.5, 9.0 Hz, 1H), 7.43-7.56 (m, 3H), 7.76-7.79 (m, 2H), 9.93 (s, 4H), 12.93 (br, 1H); IR (Nujol) 1721, 1597, 1483, 1457, 1337, 1233, 1199, 1158 cm^{-1}; Elemental analysis ($C_{26}H_{23}FN_2O_4S$) Calcd. (%): C, 65.26; H, 4.84; F, 3.97; N, 5.85; S, 6.70 Found (%): C, 65.03; H, 4.85; F, 3.78; N, 5.72; S, 6.59
Ic-46	mp 172-174 °C; ^{1}H-NMR (d_6-DMSO) δ 2.20 (m, 1H), 2.36 (m, 1H), 2.94 (s, 3H), 3.16 (t, J = 9.0 Hz, 1H), 3.33-3.64 (m, 3H), 3.79 (dd, J = 7.2, 9.0 Hz, 1H), 4.97 (s, 2H), 6.98 (m, 1H), 7.34 (s, 1H), 7.38 (dd, J = 4.2, 9.0 Hz, 1H), 7.44 (dd, J = 2.4, 10.2 Hz, 1H), 12.98 (br, 1H); IR (Nujol) 1722, 1487, 1456, 1318, 1233, 1196, 1141, 1042 cm^{-1}; Elemental analysis ($C_{15}H_{17}FN_2O_4S$) Calcd. (%): C, 52.93; H, 5.03; F, 5.58; N, 8.23; S, 9.42 Found (%): C, 52.76; H, 4.96; F, 5.39; N, 8.15; S, 9.20
Ic-47	mp 171-173 °C; ^{1}H-NMR (d_6-DMSO) δ 1.88 (m, 1H), 2.26 (m, 1H), 3.17 (t, J = 9.3 Hz, 1H), 3.34-3.57 (m, 3H), 3.76 (dd, J = 6.9, 9.3 Hz, 1H), 4.93 (s, 2H), 7.12 (s, 1H), 7.32 (m, 1H), 7.39-7.48 (m, 6H), 7.63-7.68 (m, 3H), 7.89-7.96 (m, 2H), 12.99 (br, 1H); IR (Nujol) 2668, 1736, 1592, 1493, 1476, 1347, 1335, 1258, 1244, 1187, 1166, 1154 cm^{-1}; Elemental analysis ($C_{26}H_{23}FN_2O_4S$) Calcd. (%): C, 65.26; H, 4.84; F, 3.97; N, 5.85; S, 6.70 Found (%): C, 65.29; H, 4.84; F, 3.91; N, 5.75; S, 6.78
Ic-48	mp 161-163 °C; ^{1}H-NMR (d_6-DMSO) δ 0.89 (t, J = 7.5 Hz, 3H), 1.34-1.46 (m, 2H), 1.61-1.71 (m, 2H), 2.08 (m, 1H), 2.41 (m, 1H), 3.04-3.20 (m, 2H), 3.27-3.58 (m, 3H), 3.68-3.86 (m, 2H), 4.99 (s, 2H), 7.29-7.33 (m, 2H), 7.42-7.48 (m, 4H), 7.68-7.71 (m, 2H), 7.86 (s, 1H), 12.97 (br, 1H); IR (Nujol) 2666, 1715, 1478, 1455, 1325, 1243, 1197, 1133; 1094, 1046 cm^{-1}; Elemental analysis ($C_{24}H_{28}N_2O_4S$) Calcd. (%): C, 65.43; H, 6.41; N, 6.36; S, 7.28 Found (%): C, 65.16; H, 6.37; N, 6.24; S, 7.29

Table 48

Compound No.	Physical properties
Ic-49	mp 174-178 °C; ^{1}H-NMR (d_6-DMSO) δ 1.86 (m, 1H), 2.28 (m, 1H), 3.18-3.57 (m, 4H), 3.78 (dd, J = 6.9, 9.3 Hz, 1H), 4.94 (s, 2H), 7.12 (s, 1H), 7.27 (dd, J = 3.9, 5.1 Hz, 1H), 7.32 (m, 1H), 7.42-7.49 (m, 4H), 7.64-7.70 (m, 3H), 7.75 (dd, J = 1.5, 3.9 Hz, 1H), 8.02 (dd, J = 1.5, 5.1 Hz, 1H), 12.97 (br, 1H); IR (Nujol) 2664, 1738, 1714, 1476, 1345, 1336, 1251, 1187, 1157, 1020 cm^{-1}; Elemental analysis ($C_{24}H_{22}N_2O_4S$) Calcd. (%): C, 61.78; H, 4.75; N, 6.00; S, 13.74 Found (%): C, 61.73; H, 4.74; N, 5.90; S, 13.62
Ic-50	mp 160-170 °C; ^{1}H-NMR (d_6-DMSO) δ 1.99 (m, 1H), 2.32 (m, 1H), 3.00 (t, J 8.1 Hz, 1H), 3.17 (t, J = 9.0 Hz, 1H), 3.35-3.61 (m, 5H), 3.83 (dd, J = 7.5, 9.0 Hz, 1H), 4.93 (s, 2H), 6.97 (m, 1H), 7.20-7.43 (m, 8H), 12.94 (br, 1H); IR (Nujol) 3617, 3498, 2625, 1739, 1713, 1488, 1458, 1327, 1224, 1147, 1135, 1049 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S\cdot H_2O$) Calcd. (%): C, 58.91; H, 5.62; F, 4.24; N, 6.25; S, 7.15 Found (%): C, 59.09; H, 5.51; F, 4.17; N, 6.12; S, 7.19
Ic-51	mp 192-194 °C;^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.21 (m, 1H), 3.11 (t, J = 9.0 Hz, 1H), 3.27-3.50 (m, 3H), 3.73 (dd, J = 7.5, 9.3 Hz, 1H), 4.89 (d, J = 18.3 Hz, 1H), 4.95 (d, J = 18.3 Hz, 1H), 7.00 (dd, J = 1.5, 8.4 Hz, 1H), 7.11 (s, 1H), 7.40-7.51 (m, 4H), 7.87-7.93 (m, 2H), 12.97 (br, 1H); IR (Nujol) 2641, 1736, 1529, 1492, 1470, 1414, 1335, 1241, 1227, 1178, 1168, 1159 cm^{-1}; Elemental analysis ($C_{20}H_{18}ClFN_2O_4S$) Calcd. (%): C, 54.98; H, 4.15; Cl, 8.11; F, 4.35; N, 6.41; S, 7.34 Found (%): C, 54.95; H, 4.07; Cl, 7.91; F, 4.33; N, 6.40; S, 7.36
Ic-52	Mp 224-226 °C; ^{1}H-NMR (d_6-DMSO) δ 1.81 (m, 1H), 2.22 (m, 1H), 3.15 (dd, J = 8.7, 9.6 Hz, 1H), 3.34-3.53 (m, 3H), 3.75 (dd, J = 7.2, 9.6 Hz, 1H), 4.90 (d, J = 18.3 Hz, 1H), 4.96 (d, J = 18.3 Hz, 1H), 7.01 (dd, J = 1.8, 8-4 Hz, 1H), 7.12 (s, 1H), 7.29 (dd, J = 3.9, 5:1 Hz, 1H), 7.41 (d, J = 8.4 Hz, 1H), 7.51 (d, J = 1.8 Hz, 1H), 7.74 (dd, J = 1.5, 3.9 Hz, 1H), 8.04 (dd, J = 1.5, 5.1 Hz, 1H), 12.97 (br, 1H); IR (Nujol) 1729, 1472, 1341, 1327, 1236, 1200, 1157, 1032 cm^{-1}; Elemental analysis ($C_{18}H_{17}ClN_2O_4S_2\cdot$AcOEt) Calcd. (%): C, 51.09; H, 4.33; Cl, 7.85; N, 6.21; S, 14.21 Found (%): C, 50.97; H, 4.22; Cl, 7.65; N, 6.31; S,14.51
Ic-53 Ic-53	Mp 133-136 °C; ^{1}H-NMR (d_6-DMSO) δ 1.93 (m, 1H), 2.30 (m, 1H), 3.19-3.59 (m, 4H), 3.80 (m, 1H), 4.89 (d, J = 18.9 Hz, 1H), 4.95 (d, J = 18.9 Hz, 1H), 7.12 (dd, J = 2.1, 8.7 Hz, 1H), 7.19 (s, 1H), 7.36-7.50 (m, 3H), 7.53 (d, J = 2.1 1 Hz, 1H), 7.69-7.88 (m, 2H), 12.99 (brs, 1H); IR (Nujol) 3093, 2668, 2575; 1714, 1598, 1472, 1416, 1376, 1351, 1304, 1263, 1248, 1223, 1189, 1164, 1127, 1108 cm^{-1}; Elemental analysis ($C_{20}H_{18}ClFN_2O_4S$) Calcd. (%): C, 54.98; H, 4.15; Cl, 8.11; F, 4.35; N, 6.41; S, 7.34 Found (%): C, 54.68; H, 4.01; Cl, 7.81; F, 4.17; N, 6.38; S, 7.30

Table 49

Compound No.	Physical properties
Ic-54	mp 162-165 °C; ^{1}H-NMR (d_6-DMSO) δ 1.82 (m, 1H), 2.20 (m, 1H), 3.11-3.52 (m, 4H), 3.75 (dd, J = 7.2, 9.6 Hz, 1H), 4.92 (d, J = 18.6 Hz, 1H), 4.94 (d, J = 18.6 Hz, 1H), 7.11 (dd, J = 2.1, 8.4 Hz, 1H), 7.16 (s, 1H), 7.37 (d, J = 8.4Hz, 1H), 7.48 (d, J = 2.1 Hz, 1H), 7.53-7.73 (m, 4H), 12.99 (brs, 1H); IR (Nujol) 3084, 2667, 2573, 1710, 1590, 1472, 1415, 1390, 1378, 1345, 1304, 1267, 1249, 1221, 1197, 1162, 1106 cm^{-1}; Elemental analysis ($C_{20}H_{18}ClFN_2O_4S\cdot$0.1AcOEt) Calcd. (%): C, 54.97; H, 4.25; Cl, 7.95; F, 4.26; N, 6.29; S, 7.19 Found (%): C, 54.97; H, 4.11; Cl, 7.74; F, 4.36; N, 6.36; S, 7.25
Ic-55	mp 193-197 °C; ^{1}H-NMR (d_6-DMSO) δ 1.94-2.02 (m, 2H), 2.21 (s, 3H), 3.15-3.69 (m, 5H), 4.92 (s, 2H), 6.88 (d, J = 1.5 Hz, 1H), 7.02 (dd, J = 1.5, 8.7 Hz, 1H), 7.38 (d, J = 8.7 Hz, 1H), 7.50-7.57 (m, 2H), 7.96-8.01 (m, 2H), 13.04 (br, 1H); IR (Nujol) 1734, 1592, 1494, 1469, 1338, 1169, 1159 cm^{-1}; Elemental analysis ($C_{21}H_{20}ClFN_2O_4S$) Calcd. (%): C, 55.94; H, 4.47; Cl, 7.86; F, 4.21; N, 6.21; S, 7.11 Found (%): C, 55.78; H, 4.41; Cl, 7.59; F, 4.28; N, 6.25; S, 7.10

Table 49 (continued)

Compound No.	Physical properties
Ic-56	mp 196-201 °C; ^{1}H-NMR (d_6-DMSO) δ 1.98-2.07 (m, 2H), 2.21 (s, 3H), 3.24-3.40 (m, 2H), 3.45-3.55 (m, 2H), 3.65 (m, 1H), 4.93 (s, 2H), 7.02-7.05 (m, 2H), 7.36-7.40 (m, 2H), 7.81 (dd, J = 1.5, 3.6 Hz, 1H), 8.13 (dd, J = 1.5, 5.1 Hz, 1H), 13.06 (br, 1H); IR (Nujol) 3278, 1770, 1739, 1470, 1346, 1325, 1231, 1221, 1159, 1089, 1059, 1027 cm^{-1}; Elemental analysis ($C_{19}H_{19}ClN_2O_4S_2$) Calcd. (%): C, 51.99; H, 4.36; Cl, 8.08; N, 6.38; S, 14.61 Found (%): C, 51.86; H, 4.34; Cl, 7.75; N, 6.36; S,14.74
Ic-57	mp 153-161 °C; ^{1}H-NMR (d_6-DMSO) δ 0.94 (t, J = 7.8 Hz, 3H), 1.39-1.52 (m, 2H), 1.67-1.77 (m, 2H), 2.13 (m, 1H), 2.31 (m, 1H), 2.31 (s, 3H), 3.20 (m, 1H), 3.33-3.43 (m, 3H), 3.54-3.76 (m, 3H), 4.96 (s, 2H), 7.07 (dd, J = 2.1, 9.0 Hz, 1H), 7.41 (d, J = 9.0 Hz, 1H), 7.56 (d, J = 2.1 Hz, 1H), 13.06 (br, 1H); IR (Nujol) 3187, 1759, 1713, 1472, 1420, 1380, 1328, 1318, 1301, 1247, 1190, 1142, 1114, 1049 cm^{-1}; Elemental analysis ($C_{19}H_{25}ClN_2O_4S$) Calcd. (%): C, 55.26; H, 6.10; Cl, 8.59; N, 6.78; S, 7.77 Found (%): C, 55.47; H, 6.10; Cl, 8.36; N, 6.77; S, 7.54
Ic-58	mp 180-183 °C; ^{1}H-NMR (d_6-DMSO) δ 1.85 (m, 1H), 2.24 (m, 1H), 3.13-3.55 (m, 4H), 3.77 (dd, J = 7.5, 9.6 Hz, 1H), 4.90(s, 2H), 7.11 (dd, J = 1.8, 8.7 Hz, 1H), 7.19 (s, 1H), 7.37 (d, J = 8.7 Hz, 1H), 7.48 (d, J = 1.8 Hz, 1H), 7.98 (d, J = 8.4 Hz, 2H), 8.06 (d, J = 8.4 Hz, 2H), 12.95 (brs, 1H); IR (Nujol) 3092, 2730, 2665, 2553, 1723, 1695, 1612, 1473, 1403, 1378, 1329, 1289, 1268, 1245, 1233, 1189, 1163, 1140, 1106 cm^{-1}; Elemental analysis($C_{21}H_{18}ClF_3N_2O_4S$) Calcd. (%): C, 51.80; H, 3.73; Cl, 7.28; F, 11.71; N, 5.75; S, 6.59 Found (%): C, 51.65; H, 3.66; Cl, 7.02; F, 11.55; N, 5.76; S, 6.72

Table 50

Compound No.	Physical properties
Ic-59	mp 136-142 °C; ^{1}H-NMR (d_6-DMSO) δ 0.90 (t, J = 7.2 Hz, 3H), 1.34-1.47 (m, 2H), 1.59-1.72 (m, 2H), 2.02 (m, 1H), 2.36 (m, 1H), 3.03-3.68 (m, 6H), 3.80 (dd, J = 7.5, 9.0 Hz, 1H), 4.97 (s, 2H), 7.13 (dd, J = 2.1, 8.7 Hz, 1H), 7.34 (s, 1H), 7.41 (d, J = 8.7 Hz, 1H), 7.68 (d, J = 2.1Hz, 1H), 13.00 (brs, 1H); IR (Nujol) 3133, 3093, 2664, 2549, 1721, 1697, 1472, 1403, 1389, 1333, 1297, 1277, 1242, 1231, 1199, 1146, 1100 cm^{-1}; Elemental analysis ($C_{18}H_{23}ClN_2O_4S$) Calcd. (%): C, 54.20; H, 5.81; Cl, 8.89; N, 7.02; S, 8.04 Found (%): C, 54.09; H, 5.74; Cl, 8.65; N, 7.00; S, 7.93
Ic-60	mp 158-162 °C; ^{1}H-NMR (d_6-DMSO) δ 0.81-0.91 (m, 3H), 1.17-1.46 (m, 10H), 1.58-1.74 (m, 2H), 2.02 (m, 1H), 2.35 (m, 1H), 3.01-3.68 (m, 6H), 3.80 (dd, J = 7.5, 9.0 Hz, 1H), 4.97 (s, 2H), 7.13 (dd, J = 2.1, 8.7 Hz, 1H), 7.34 (s, 1H), 7.41 (d, J = 8.7 Hz, 1H), 7.68 (d, J = 2.1Hz, 1H), 13.00 (brs, 1H); IR (Nujol) 3135, 3094, 1720, 1695, 1471, 1403, 1391, 1378, 1333, 1285, 1230, 1199, 1146, 1119 cm^{-1}; Elemental analysis ($C_{22}H_{31}ClN_2O_4S$) Calcd. (%): C, 58.07; H, 6.87; Cl, 7.79; N, 6.16; S, 7.05 Found (%): C, 58.00; H, 6.82; Cl, 7.55; N, 6.20; S, 6.99
Ic-61	mp 220-223 °C; ^{1}H-NMR (d_6-DMSO) δ 1.92 (m, 1H), 2.29 (m, 1H, 3.15-3.60 (m, 4H), 3.83 (dd, J = 7.5, 9.3 Hz, 1H), 4.86 (s, 2H), 7.09 (s, 1H), 7.10 (dd, J = 2.1, 8.7 Hz, 1H), 7.35 (d, J = 8.7 Hz, 1H), 7.47 (d, J = 2.1 Hz, 1H), 7.63-7.77 (m, 3H), 8.11 (m, 1H), 8.16 (m, 1H), 8.27 (d, J = 8.4 Hz, 1H), 8.75 (d, J = 7.5 Hz, 1H), 12.96 (brs, 1H); IR (Nujol) 3266, 3064, 3045, 1764, 1736, 1592, 1565, 1506, 1471, 1430, 1404, 1385, 1345, 1329, 1266, 1203, 1187, 1161, 1137, 1111 cm^{-1}; Elemental analysis ($C_{24}H_{21}ClN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 61.00; H, 4.56; Cl, 7.50; N, 5.93; S, 6.79 Found (%): C, 61.11; H, 4.57; Cl, 7.33; N, 5.93; S, 6.63
Ic-62	mp 195-198 °C; ^{1}H-NMR (d_6-DMSO) δ 1.88 (m, 1H), 2.24 (m, 1H), 3.13-3.59 (m, 4H), 3.77 (dd, J = 7.2, 9.3 Hz,1H), 4.89 (s, 2H), 7.09 (dd, J = 1.8, 8.7 Hz, 1H), 7.18 (s, 1H), 7.34 (d, J = 8.7 Hz, 1H), 7.43 (d, J = 1.8 Hz, 1H), 8.06 (d, J 9.0 Hz, 2H), 8.35 (d, J = 9.0 Hz, 2H), 12.97 (brs, 1H); IR (Nujol) 3108, 3068, 1738, 1606, 1530, 1472, 1414, 1401, 1376, 1348, 1320, 1303, 1261, 1238; 1227, 1200, 1179, 1165, 1134, 1103 cm^{-1}; Elemental analysis($C_{20}H_{18}ClN_3O_6S$) Calcd. (%): C, 51.78; H, 3.91; Cl, 7.64; N, 9.06; S, 6.91 Found (%): C,51.59; H, 3.81; Cl, 7.34; N, 8.87; S, 6.84
Ic-63	^{1}H-NMR (d_6-DMSO) δ 1.83 (m, 1H); 2.22 (m, 1H), 3.12-3.83 (m, 5H), 4.83, 4.87 (each s, total 2H), 7.06-7:19 (m, 2H), 7.31-7.43 (m, 2H), 7.89-8.16 (m, 4H); IR (KBr) 3413, 3226, 3091, 2233, 1728, 1611, 1568, 1472, 1437, 1399, 1344, 1282, 1218, 1161, 1107 cm^{-1}.

Table 51

Compound No.	Physical properties
Ic-64	Mp 205-206 °C; ^{1}H-NMR, (d_6-DMSO) δ 1.92 (m, 1H), 2.19 (m, 1H), 3.10 (m, 1H), 3.34-3.51 (m, 2H), 3.69-3.79 (m, 2H), 4.90 (d, J = 18.3 Hz, 1H), 4.96 (d, J = 18.3 Hz, 1H), 7.03 (dd, J = 1.2, 7.8 Hz, 1H), 7.09 (t, J = 7.8 Hz, 1H), 7.23 (s, 1H), 7.33 (dd, J = 1.2, 7.8 Hz, 1H), 7-39-7.45 (m, 2H), 7.85-7.91 (m, 2H), 13.03 (br, 1H); IR (Nujol) 2662, 1723, 1589, 1491, 1476, 1447, 1350, 1332, 1248, 1236, 1182, 1162, 1098, 1029 cm^{-1}; Elemental analysis ($C_{20}H_{18}ClFN_2O_4S$) Calcd. (%): C, 54.98; H, 4.15; Cl, 8.11; F, 4.35; N, 6.41; S, 7.34 Found (%): C, 55.04; H, 4.13; Cl, 7.79; F, 4.33; N, 6.42; S,7.32
Ic-65	mp 170-171 °C; ^{1}H-NMR (d_6-DMSO) δ 1.93 (m, 1H), 2.21 (m, 1H), 3.14 (m, 1H), 3.37-3.54 (m, 2H), 3.72-3.83 (m, 2H), 4.91 (d, J = 18.6 Hz, 1H), 4.98 (d, J = 18.6 Hz, 1H), 7.03 (dd, J = 1.2, 7.8 Hz, 1H), 7.09 (t, J = 7.8 Hz, 1H), 7.24 (s, 1H), 7.26 (dd, J = 3.9, 5.1 Hz, 1H), 7.34 (dd, J = 1.2, 8.1 Hz, 1H), 7.70 (dd, J = 1.5, 3.9 Hz, 1H), 8.01 (dd, J = 1.5, 5.1 Hz, 1H), 13.02 (br, 1H); IR (Nujol) 3204, 1755, 1728, 1554, 1453, 1401, 1338, 1326, 1196, 1146, 1033 cm^{-1}; Elemental analysis ($C_{18}H_{17}ClN_2O_4S_2$) Calcd. (%): C, 50.88; H, 4.03; Cl, 8.34; N, 6.59; S, 15.09 Found (%): C, 50.87; H, 3.97; Cl, 8.10; N, 6.52; S, 14.89
Ic-66	mp 169-171 °C; ^{1}H-NMR (d_6-DMSO) δ 0.88 (t, J = 7.2 Hz, 3H), 1.32-1.45 (m, 2H), 1.59-1.69 (m, 2H), 2.08 (m, 1H), 2.35 (m, 1H), 2,99-3.14 (m, 2H), 3.21 (dd, J = 8.4, 9.3 Hz, 1H), 3.38-3.54 (m, 2H), 3.88 (dd, J = 6.9, 9.6 Hz, 1H), 4.04 (m, 2H), 5.00 (s, 2H), 7.06-7.14 (m, 2H), 7.37 (dd, J = 1.2, 7.5 Hz, 1H), 7.44 (s, 1H), 13.06 (br, 1H); IR (Nujol) 2660, 1715, 1555, 1480, 1451, 1404, 1327, 1246, 1182, 1140, 1096, 1041 cm^{-1}; Elemental analysis ($C_{18}H_{23}ClN_2O_4S$) Calcd. (%): C, 54.20; H, 5.81; Cl, 8.89; N, 7.02; S, 8.04 Found (%): C, 54.05; H, 5.76; Cl, 8.72; N, 7.00; S ,8.03
Ic-67	mp 170-173 °C; ^{1}H-NMR (d_6-DMSO) δ 1.81(m, 1H), 2.23 (m, 1H), 3.11-3.49 (m, 4H), 3.70 (dd, J = 7.2, 9.6 Hz, 1H), 4.23 (s, 2H), 4.94 (s, 2H), 7.06 (d, J = 3.9 Hz, 1H), 7.13 (dd, 2.1, 8.7 Hz, 1H), 7.18 (s, 1H), 7.21-7.36 (m, 5H), 7.40 (d, J = 8.7 Hz, 1H), 7.50 (d, J = 2.1 Hz, 1H), 7.57 (d, J = 3.9 Hz, 1H), 13.00 (brs, 1H); IR (Nujol) 3084, 3027, 2665, 2570, 1731, 1601, 1571, 1554, 1527, 1494; 1472, 1442, 1415, 1380, 1344, 1244, 1198, 1156, 1113 cm^{-1}; Elemental analysis ($C_{25}H_{23}ClN_2O_4S_2$) Calcd. (%): C, 58.30; H, 4.50; Cl, 6.88; N, 5.44; S, 12.45 Found (%): C, 58.15; H, 4.39; Cl, 6.64; N, 5.39; S, 12.35

Table 52

Compound No.	Physical properties
Ic-68	mp 207-210°C; ^{1}H-NMR (d_6-DMSO) δ 1.85 (m, 1H), 2.20 (m, 1H), 3.17-3.57 (m, 3H), 3.88 (m, 1H), 4.13 (m, 1H), 4.88 (s, 2H), 7.09 (dd, J = 1.8, 8.7 Hz, 1H), 7.14 (s, 1H), 7.31 (d, J = 1.8 Hz, 1H), 7.34 (d, J = 8.7 Hz, 1H), 7.70 (dd, J = 4.2, 8.1 Hz, 1H), 7.76 (dd, J = 7.5, 8.1 Hz, 1H), 8.31 (dd, J = 1.5, 8.1 Hz, 1H), 8.42 (dd, J = 1.5, 7.5 Hz, 1H), 8.56 (dd, J = 1.5, 8.1 Hz, 1H), 9.05 (dd, J = 1.5, 4.2 Hz, 1H), 12.94 (brs, 1H); IR (Nujol) 3539, 3214, 3032, 2725, 2614, 1768, 1747, 1726, 1611, 1596, 1561, 1493, 1470, 1419, 1378, 1362, 1338, 1265, 1223, 1211, 1198, 1159, 1140, 1131, 1101 cm^{-1}; Elemental analysis($C_{23}H_{20}ClN_3O_4S \cdot 0.5H_2O$) Calcd. (%): C, 57.68; H, 4.42; Cl, 7.40; N, 8.77; S, 6.69 Found (%): C, 57.77; H, 4.32; Cl, 7.18; N, 8.76; S, 6.70
Ic-69	mp 166-170 °C; ^{1}H-NMR (d_6-DMSO) δ 1.80 (m, 1H), 2.22 (m, 1H), 3.09-3.51 (m, 4H), 3.73 (dd, J = 7.2, 9.3Hz, 1H), 4.93 (s, 2H), 7.12 (dd, J = 1.8, 8.7 Hz, 1H), 7.16 (s, 1H), 7.38 (d, J = 8.7 Hz, 1H), 7.46 (dd, J = 1.2, 5.1 Hz, 1H), 7.48 (d, J = 1.8 Hz, 1H), 7.82 (dd, J = 3.0, 5.1 Hz, 1H), 8.33 (dd, J = 1.2, 3.0 Hz, 1H), 12.95 (brs, 1H); IR (Nujol) 3112, 3087, 2669, 1743, 1710, 1667, 1469, 1431, 1387, 1363, 1342, 1303, 1247, 1220, 1202, 1155, 1106 cm^{-1}; Elemental analysis ($C_{18}H_{17}ClN_2O_4S_2$) Calcd. (%): C, 50.88; H, 4.03; Cl, 8.34; N, 6.59; S, 15.09 Found (%): C, 50.76; H, 3.92; Cl, 8.14; N, 6.53; S, 15.08

Table 52 (continued)

Compound No.	Physical properties
Ic-70	mp 222-226°C; ^{1}H-NMR (d_6-DMSO) δ 1.85 (m, 1H), 2.22 (m, 1H), 3.13-3.60(m, 4H), 3.82 (dd, J = 7.2, 9.3 Hz, 1H), 4.85 (s, 2H), 7.06 (s, 1H), 7.09 (dd, J = 2.1, 8.7 Hz, 1H), 7.33 (d, J = 8.7 Hz, 1H), 7.39 (d, J = 2.1 Hz, 1H), 7.47-7.58 (m, 2H), 8.15 (m, 1H), 8.27 (m, 1H), 8.63 (s, 1H), 12.86 (brs, 1H); IR (Nujol) 3275, 3079, 1764, 1734, 1485, 1471, 1454, 1421, 1405, 1386, 1342, 1320,1304,1260, 1241, 1221, 1186, 1159, 1158, 1147, 1114 cm^{-1}; Elemental analysis ($C_{22}H_{19}ClN_2O_4S_2$) Calcd. (%): C, 55.63; H, 4.03; Cl, 7.46; N, 5.90; S, 13.50 Found (%): C, 55.46; H, 4.12; Cl, 7.17; N, 5.76; S, 13.27
Ic-71	mp 166.5-168°C; ^{1}H-NMR (d_6-DMSO) δ 1.95 (m, 1H), 2.30 (m, 1H), 3.10-3.61(m, 4H), 3.78 (m, 1H), 4.93 (s, 2H), 7.12 (dd, J = 1.8, 8.7 Hz, 1H), 7.19-7.32 (m, 2H), 7.38 (d, J = 8.7 Hz, 1H), 7.48-7.59 (m, 2H), 7.90 (m, 1H), 13.00 (brs, 1H); IR (Nujol) 3092, 3056, 2731, 2658, 2550, 1722, 1696, 1604, 1473, 1426, 1403, 1384, 1340, 1272, 1233, 1206, 1196, 1163, 1120, 1103 cm^{-1}.
Ic-72	Mp 223-225°C; ^{1}H-NMR (d_6-DMSO) δ 1.99 (m, 1H), 2.37 (m, 1H), 2.94 (s, 3H), 3.16 (t, J = 9.0 Hz, 1H), 3.25-3.68 (m, 3H), 3.80 (dd, J = 7.5, 9.0 Hz, 1H), 4.98 (s, 2H), 7.13 (dd, J = 2.1, 8.7 Hz, 1H), 7.34 (s, 1H), 7.40 (d, J = 8.7 Hz, 1H), 7.70 (d, J = 2.1 Hz, 1H), 13.00 (br, 1H); IR (Nujol) 3275, 1764, 1744, 1473, 1426, 1398, 1384, 1381, 1361, 1338, 1305, 1253, 1222, 1202, 1175, 1150 cm^{-1}; Elemental analysis ($C_{15}H_{17}ClN_2O_4S$·0.2AcOEt) Calcd. (%): C, 50.68; H, 5.01; Cl, 9.47; N, 7.48; S, 8.56 Found (%): C, 50.48; H, 4.83; Cl, 9.49; N, 7.68; S, 8.52

Table 53

Compound No.	Physical properties
Ic-73	mp 196-198°C; ^{1}H-NMR (d_6-DMSO) δ 1.76 (m, 1H), 2.19 (m, 1H), 3.07 (m, 1H), 3.15-3.48 (m, 3H), 3.67 (dd, J = 7.5, 9.3 Hz, 1H); 3.85 (s, 3H), 4.90 (s, 2H), 7.08-7.16 (m, 2H), 7.13 (d, J = 9.0 Hz, 2H), 7.37 (d, J = 9.0 Hz, 1H), 7.44 (d, J = 1.8, 1H), 7.77 (d, J = 9.0Hz, 2H), 12.98 (br, 1H); IR (Nujol) 3083, 3050, 2667, 2572, 1728, 1593, 1574, 1497, 1473, 1444, 1415, 1381, 1340, 1307, 1258, 1245, 1194, 1157, 1110 cm^{-1}.
Ic-74	mp 195-199°C; ^{1}H-NMR (d_6-DMSO) δ 1.98(m, 1H), 2.33 (m, 1H), 2.94 (s, 3H), 3.16 (t, J = 9.0 Hz, 1H), 3.25-3.68 (m, 3H), 3.80 (dd, J = 7.5, 9.0 Hz, 1H), 4.98 (s, 2H), 7.13 (dd, J = 2.1, 8.7 Hz, 1H), 7.34 (s, 1H), 7.40 (d, J = 8.7 Hz, 1H), 7.70 (d, J = 2.1 Hz, 1H), 13.00 (brs, 1H); IR (Nujol) 3054, 3028, 2645, 2541, 1716, 1616, 1576, 1494, 1470, 1448, 1408, 1381, 1336, 1301, 1261, 1228, 1192, 1146, 1111 cm^{-1}; Elemental analysis ($C_{22}H_{22}ClN_2O_4S$·0.2AcOEt) Calcd. (%): C, 59.20; H, 4.92; Cl, 7.66; N, 6.06; S, 6.93 Found (%): C, 59.32; H, 4.76; Cl, 7.41; N, 6.19; S, 6.94
Ic-75	mp 190-193°C; ^{1}H-NMR (d_6-DMSO) δ 1.83 (m, 1H), 2.24 (m, 1H), 3.16 (m, 1H), 3.24-3.57 (m, 3H), 3.78 (dd, J = 7.2, 9.6 Hz, 1H), 4.88 (d, J = 18.2 Hz, 1H), 4.94 (d, J = 18.2 Hz, 1H), 7.11 (dd, J = 1.8, 8.7 Hz, 1H), 7.18 (s, 1H), 7.37 (d, J = 8.7 Hz, 1H), 7.51 (d, J = 1.8 Hz, 1H), 7.63 (m, 1H), 8.24 (m, 1H), 8.87 (dd, J = 1.8, 4.8 Hz, 1H), 9.00 (d, J = 1.8 Hz, 1H), 12.99 (brs, 1H); IR (Nujol) 3124, 3083, 3056, 2726, 2594, 2516, 1928, 1843, 1778, 1732, 1612, 1589, 1567, 1553, 1473, 1416, 1357, 1335, 1325, 1273, 1254, 1232, 1210, 1191,1173, 1166, 1116 cm^{-1}; Elemental analysis ($C_{19}H_{18}ClN_3O_4S$) Calcd. (%): C, 54.35; H, 4.32; Cl, 8.44; N, 10.01; S, 7.64 Found (%): C, 54.29; H, 4.31; Cl, 8.20; N, 9.95; S, 7.43
Ic-76	mp 105-107 °C; ^{1}H-NMR (d_6-DMSO) δ 1.94-2.20 (m, 2H), 2.29 (s, 3H), 3.30-3.60 (m, 4H), 3.66 (m, 1H), 4.88 (s, 2H), 6.80-7.08 (m, 3H), 7.34 (d, J = 7.8 Hz, 1H), 7.38 (dd, J = 3.6, 4.8 Hz, 1H), 7.80 (dd, J = 1.2, 3.6 Hz, 1H), 8.14 (dd, J = 1.2, 5.1 Hz, 1H); IR (Nujol) 2924, 1748, 1693, 1611, 1467, 1376, 1335, 1292, 1156 cm^{-1}.
Ic-77	mp 125-126 °C; ^{1}H-NMR (d_6-DMSO) δ 1.07 (t, J = 7.2 Hz, 3H), 1.38-1.52 (m, 2H), 1.64 (m, 2H), 2.13 (m, 1H), 2.31 (m, 1H), 2.31 (s, 3H), 3.13-3.28 (m, 2H), 3.22-3.80 (m, 5H), 4.92 (s, 2H), 6.94 (m, 2H), 7.35 (d, J = 7.5 Hz, 1H), 7.55 (d, J = 7.5 Hz, 1H); IR (Nujol) 3243, 3053, 2924, 1755, 1567, 1418, 1321, 1298, 1275, 1180, 1143 cm^{-1}; Elemental analysis ($C_{19}H_{26}N_2O_4S$) Calcd. (%): C, 60.29; H, 6.92; N, 7.40; S, 8.47 Found (%): C, 59.80; H, 6.86; N, 7.29; S, 8.51

Table 54

Compound No.	Physical properties
Ic-78	mp 140-142°C; ^{1}H-NMR (d_6-DMSO) δ 1.84 (m, 1H), 2.28 (m, 1H), 3.15-3.57 (m, 4H), 3.75 (m, 1H), 4.93 (s, 2H), 7.13 (dd, J = 1.8, 8.7 Hz, 1H), 7.22 (s, 1H), 7.33 (d, J = 4.2 Hz, 1H), 7.39 (d, J = 8.7 Hz, 1H), 7.53 (d, J = 1.8 Hz, 1H), 7.62 (d, J = 4.2 Hz, 1H), 12.90 (brs, 1H); IR (Nujol) 3091, 2670, 2577, 1726, 1567, 1513, 1471, 1445, 1414, 1380, 1357, 1332, 1310, 1266, 1249, 1199, 1180, 1155, 1112 cm^{-1}; Elemental analysis ($C_{18}H_{16}Cl_2N_2O_4S_2$·0.05AcOEt) Calcd. (%): C, 47.13; H, 3.56; Cl, 15.29; N, 6.04; S, 13.83 Found (%): C, 46.95; H, 3.47; Cl, 15.10; N, 6.11; S, 14.02
Ic-79	mp 220-221 °C; ^{1}H-NMR (d_6-DMSO) δ 1.76 (m, 1H), 2.19 (m, 1H), 3.06 (t, J = 9.3 Hz, 1H), 3.22-3.46 (m, 3H), 3.65 (dd, J = 7.5, 9.3 Hz, 1H), 4.91 (s, 2H), 6.92-6-96 (m, 2H), 7.11 (dd, J = 2.1, 8.4 Hz, 1H), 7.13 (s, 1H), 7.37 (d, J = 8.4. Hz, 1H), 7.47 (d, J = 2.1 Hz, 1H), 7.64-7.69 (m, 2H), 10.48 (br, 1H), 12.97 (br, 1H); IR (Nujol) 3409, 1741, 1712, 1603, 1586, 1500, 1472, 1440, 1319, 1245, 1151, 1094, 1028 cm^{-1}; Elemental analysis ($C_{20}H_{19}ClN_2O_5S$) Calcd. (%): C, 55.24; H, 4.40; Cl, 8.15; N, 6.44; S, 7.37 Found (%): C, 55.21; H, 4.52; Cl, 7.62; N, 6.20; S,7.14
Ic-80	^{1}H-NMR ($CDCl_3$) δ 1.84-1.89 (m, 2H), 2.04-2.17 (m, 3H), 3.49-3.54 (m, 3H), 4.88 (m, 1H), 5.12 (m, 1H), 7.09-7.45 (m, 5H), 7.85-8.08 (m, 3H), 8.30 (m, 1H); IR (KBr) 3387, 1739, 1647, 1591, 1526, 1493, 1467, 1428, 1389, 1343, 1292, 1236, 1152, 1092, 1066, 1011 cm^{-1}; Elemental analysis ($C_{21}H_{19}FN_2O_5S$·1.0H_2O) Calcd. (%): C, 56.24; H, 4.72; N, 6.25;F, 4.24; S, 7.15 Found (%): C, 56.18; H, 4.56; N, 6.29;F, 4.11, S, 7.06
Ic-81	^{1}H-NMR ($CDCl_3$) δ 1.43-1.68 (m, 4H), 2.88 (m, 1H), 3.09 (m, 1H), 3.34-3.44 (m, 2H), 3.85 (s, 3H), 3.91 (m, 1H), 4.85 (s, 2H), 6.93-6.98 (m, 3H), 720-7.26 (m, 3H), 7.80-7.83 (m, 3H); IR (KBr) 2945, 1728, 1596, 1497, 1468, 1331, 1260, 1153, 1092, 1024 cm^{-1}; Elemental analysis ($C_{22}H_{24}N_2O_5S$·0.5H_2O) Calcd. (%): C, 60.40; H, 5.76; N, 6.40; S, 7.33 Found (%): C, 60.42; H, 5.78; N, 6.27; S, 6.97
Ic-82	^{1}H-NMR ($CDCl_3$) δ 1.86-2.20 (m, 4H), 3.45-3.55 (m, 2H), 4.91 (s, 2H), 5.06 (m, 1H), 7.04-7.28 (m, 4H), 7.74 (m,1H), 7.88 (m, 1H), 8.00 (dd, J = 2.4, 6.9 Hz, 2H), 8.10 (s, 1H); IR (KBr) 3433, 2929, 1738, 1626, 1590, 1528, 1493, 1394, 1344, 1293, 1233, 1153, 1092, 1062, 1010 cm^{-1};
Ic-83	^{1}H-NMR ($CDCl_3$) δ 1.86-2.20 (m, 4H), 3.45-3.55 (m, 2H), 4.91 (s, 2H), 5.06 (m, 1H), 7.04-7.28 (m, 4H), 7.74 (m,1H), 7.88 (m, 1H), 8.00 (dd, J = 2.4, 6.9 Hz, 2H), 8.10 (s, 1H); IR (KBr) 3433, 2929, 1738, 1626, 1590, 1528, 1493, 1394, 1344, 1293, 1233, 1153, 1092, 1062, 1010 cm^{-1}; $[\alpha]_D^{22}$ +29.0±0.7° (c=1.001, MeOH)
Ic-84	^{1}H-NMR ($CDCl_3$) δ 1.433-1.72 (m, 4H), 2.89 (dd, J = 9.3 and 14.4 Hz, 1H), 3.10 (m, 1H), 3.27 (dd, J = 3.9 and 14.4 Hz, 1H), 3.41 (m, 1H), 3.87 (m, 1H), 4.84 (s, 2H), 6.95-7.02 (m, 2H), 7.11-7.26 (m, 3H), 7.40 (dd, J = 2.4 and 9.6 Hz, 1H), 7.85-7.91(m, 2H); IR ($CHCl_3$) 1729, 1593, 1493, 1456, 1348, 1292, 1164, 1154, 1092 cm^{-1}; $[\alpha]_D^{22}$ +109.6±1.5 (c=1.003, MeOH)

Table 55

Compound No.	Physical properties
Ic-85	^{1}H-NMR ($CDCl_3$) δ 1.43-1.66 (m, 4H), 2.87 (dd, J = 6.0 and 14.1 Hz, 1H), 3.11 (m, 1H), 3.26 (dd, J = 3.3 and 14.1 Hz, 1), 3.82 (m, 1H), 3.86 (s, 3H), 4.83 (s, 2H), 6.95-7.01 (m, 4H), 7.11 (dd, J = 3.9 and 8.7 Hz, 1H), 7.40 (dd, J = 2.4 and 9.3 Hz, 1H), 7.73-7.83 (m, 2H); IR ($CHCl_3$) 1730, 1626, 1597, 1578, 1497, 1487, 1457, 1338, 1304, 1260, 1155, 1094, 1030 cm^{-1}; $[\alpha]_D^{22}$ +124.6±1.6 (c=1.002, MeOH)
Id-2	mp 220-222 °C; ^{1}H-NMR ($CDCl_3$) δ 2.84 (t, J = 5.7 Hz, 2H), 3.57 (t, J = 5.7 Hz, 2H), 4.42 (s, 2H), 4.67 (s, 2H), 7.05-7.25 (m, 5H), 7.35-7.43 (m, 1H), 7.84-7.92 (m, 2H),; IR ($CHCl_3$) 3428, 3048, 2927, 1727, 1595, 1494, 1467, 1345, 1240, 1169, 1103 cm^{-1}; Elemental analysis ($C_{19}H_{17}FN_2O_4S$) Calcd. (%): C, 58.75; H, 4.41; F, 4.89; N, 7.21; S, 8.26 Found (%): C, 58.58; H, 4.37; F, 4.69; N, 7.13; S, 8.08

Table 55 (continued)

Compound No.	Physical properties
Ie-2	mp 139-141 °C; ^{1}H-NMR ($CDCl_3$) δ 4.95 (s, 2H), 7.02-7.11 (m, 3H), 7.18-7.25 (m,2H), 7.34 (m, 1H), 7.46 (t, J = 7.7Hz, 1H), 7.68-7.73 (m, 2H), 7.80 (d, J = 1.8 Hz, 1H), 7.99 (d, J = 7.5 Hz, 1H); IR (Nujol) 3300, 3245, 3047, 1776, 1736, 1688, 1590, 1493, 1466, 1335, 1285, 1163, 1152 cm^{-1}; Elemental analysis ($C_{20}H_{15}FN_2O_4S \cdot 0.6CH_3CO_2C_2H_5$) Calcd. (%): C, 59.62; H, 4.42; F, 4.21; N, 6.21; S, 7.11 Found (%): C, 59.45; H, 4.19; F, 4.14; N, 6.51; S, 7.02
Ie-5	mp 188-191 °C; ^{1}H-NMR ($CDCl_3$) δ 3.28 (d, J = 1.5 Hz, 3H), 5.02 (s,2H), 7.09-7.33 (m, 6H), 7.49 (t, J = 7.8 Hz, 1H), 7.57-7.61 (m, 2H), 7.73 (d, J = 1.5 Hz, 1H), 7.97 (d, J = 8.1 Hz, 1H); IR (Nujol) 3102, 3049, 2728, 1727, 1628, 1591, 1491, 1292, 1228, 1210, 1173, 1150 cm^{-1}; Elemental analysis ($C_{21}H_{17}FN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 60.63; H, 4.22; F, 4.57; N, 6.73; S, 7.71 Found (%): C, 60.52; H, 4.13; F, 4.46; N, 6.82; S, 7.63
Ie-8	mp 212-213 °C; ^{1}H-NMR (d_6-DMSO) δ 4.89 (s, 2H), 5.16 (s, 2H), 7.06 (dd, J = 8.4, 2.1 Hz, 1H), 7.13-7.32 (m, 6H), 7.41-7.52 (m, 5H), 7.73-7.77 (m, 2H), 7.84 (d, J = 1.8 Hz, 1H), 8.04 (d, J = 7.8 Hz, 1H), 13.05 (br s, 1H); IR (Nujol) 3063, 3035, 2658, 1705, 1630, 1591, 1232, 1214, 1162 cm^{-1}; Elemental analysis ($C_{27}H_{21}FN_2O_4S$) Calcd. (%): C, 66.38; H, 4.33; F, 3.89; N, 5.73; S, 6.56 Found (%): C, 66.33; H, 4.26; F, 3.79; N, 5.80; S, 6.53
Ie-10	mp 171-175 °C; ^{1}H-NMR (d_6-DMSO) δ 5.15 (s, 2H), 6.98-7.07 (m, 2H); 7.32-7.47 (m, 4H), 7.74-7.81 (m, 3H), 8.05-8.10 (m, 2H), 10.10 (s, 1H); IR (Nujol) 3621, 3313, 3107, 3070, 2727, 1737, 1702, 1636, 1603, 1592, 1490, 1330, 1164, 1146 cm^{-1}.
Ie-11	mp 183-187 °C; ^{1}H-NMR (d_6-DMSO) δ 2.13 (s, 3H), 5.14 (s, 2H), 7.00-7.95 (m, 10H), 9.61 (s, 1H); IR (Nujol) 3517, 3236, 3105, 3068, 2732, 1735, 1635; 1607, 1591, 1494, 1408, 1335, 1270 cm^{-1}; Elemental analysis($C_{21}H_{17}FN_2O_4S \cdot 0.4H_2O$) Calcd. (%): C, 60.10; H, 4.28; F, 4.53; N, 6.68; S, 7.64 Found (%): C, 60.28; H, 4.47; F, 4.42 N, 6.54; S, 7.52

Table 56

Compound No.	Physical properties
Ie-12	mp 201-203 °C; ^{1}H-NMR ($CDCl_3$) δ 3.26 (s, 3H), 4.95 (s, 2H), 6.95-7.02 (m, 2H), 7.09-7.20 (m, 4H), 7.56-7.61 (m, 2H), 7.72 (m, 1H), 7.89 (m, 1H); IR (Nujol) 3106, 3067, 2744, 2657, 2558, 1734, 1635, 1605, 1592, 1495, 1483, 1340, 1236 cm^{-1}; Elemental analysis ($C_{21}H_{16}F_2N_2O_4S \cdot 0.2$AcOEt) Calcd. (%): C, 58.44; H, 3.96; F, 8.48; N, 6.25; S, 7.16 Found (%): C, 58.49; H, 3.72; F, 8.33 N, 6.37; S, 7.16
Ie-13	mp 215-218 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 4.82 (s, 2H), 4.86 (s, 2H), 6.92-7.02 (m, 3H), 7.14-7.24 (m, 9H), 7.60-7.86 (m, 3H); IR (Nujol) 3066, 3036, 2656, 1708, 1635, 1605, 1591, 1492, 1483, 1406, 1338, 1232 cm^{-1}; Elemental analysis ($C_{27}H_{20}F_2N_2O_4S \cdot 0.2$AcOEt) Calcd. (%): C, 63.70; H, 4.15; F, 7.25; N, 5.34; S, 6.12 Found (%): C, 63.79; H, 4.04; F, 6.99; N, 5.31; S, 6.11
Ie-14	mp 219-225 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 2.56 (s, 3H), 3.24 (s, 3H), 4.95 (s, 2H), 7.09-7.23 (m, 5H), 7.31 (m, 1H), 7.44 (m, 1H), 7.73-7.77 (m, 3H); IR (Nujol) 2742, 2656, 2560, 1727, 1711, 1632, 1605, 1590, 1495, 1483, 1412, 1339, 1275 cm^{-1}; Elemental analysis ($C_{22}H_{19}FN_2O_4S \cdot 0.2$AcOEt) Calcd. (%): C, 61.67; H, 4.68; F, 4.28; N, 6.31; S, 7.22 Found (%): C, 61.82; H, 4.59; F, 4.00; N, 6.31; S, 7.14
Ie-15	mp 194-197 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 2.13 (s, 3H), 4.36 (d, J = 13.5 Hz, 1H), 4.90 (s, 2H), 5.09 (d, J = 13.5 Hz, 1H), 7.07 (s, 1H), 7.13-7.24 (m, 10H), 7.31 (m, 1H), 7.45 (t, J = 7.2 Hz, 1H), 7.73-7.78 (m, 2H); IR (Nujol) 3060, 3032, 2739, 2644, 2557, 1715, 1633, 1604, 1593, 1494, 1478, 1414, 1342, 1240 cm^{-1}; Elemental analysis ($C_{28}H_{23}FN_2O_4S \cdot 0.2$AcOEt) Calcd. (%): C, 66.50; H, 4.77; F, 3.65; N, 5.39; S, 6.16 Found (%): C, 66.74; H, 4.76; F, 3.39; N, 5.37; S, 6.04
Ie-16	mp 164-165 °C; ^{1}H-NMR (d_6-DMSO) δ 5.16 (s, 2H), 7.08 (dd, J = 1.8, 9.0 Hz, 1H), 7.52-7.45 (m, 4H), 7.54 (dd, J = 4.2, 8.7 Hz, 1H), 7.75-7.79 (m, 2H), 7.86 (d, J = 2.1 Hz, 1H), 7.95 (dd, J = 2.4, 9.3 Hz, 1H), 10.09 (s, 1H); IR (Nujol) 3301, 3191, 3108, 1778, 1691, 1590, 1496, 1474, 1337, 1286 cm^{-1}.

Table 56 (continued)

Compound No.	Physical properties
Ie-17	mp 187-188 °C; ^{1}H-NMR ($CDCl_3$) δ 3.26 (s, 3H), 4.96 (s, 2H), 7.08-7.21 (m, 6H), 7.55-7.61 (m, 3H), 7.66 (d, J = 0.9 Hz, 1H); IR (Nujol) 2747, 2650, 2566, 2483, 1720, 1593, 1492, 1414, 1348, 1295, 1254 cm^{-1}; Elemental analysis ($C_{21}H_{16}F_2N_2O_4S$·0.2AcOEt) Calcd. (%): C, 58.44; H, 3.96; F, 8.48; N, 6.25; S, 7.16 Found (%): C, 58.55; H, 3.77; F, 8.40; N, 6.23; S, 7.34
Ie-18	mp 189-191 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 4.82 (s, 2H), 4.89 (s, 2H), 7.01 (dd, J = 1.8, 8.7 Hz, 1H), 7.14-7.27 (m, 10H), 7.56 (dd, J = 2.4, 9.0 Hz, 1H), 7.59 (d, J = 1.8 Hz, 1H), 7.67-7.71 (m, 2H); IR (Nujol) 3089, 3074, 3033, 2742, 2653, 2558, 1710, 1591, 1343, 1296 cm^{-1}; Elemental analysis ($C_{27}H_{20}F_2N_2O_4S$·0.1AcOEt) Calcd. (%): C, 63.86; H, 4.07; F, 7.37; N, 5.44; S, 6.22 Found (%): C, 63.84; H, 3.95; F, 7.14; N, 5.31; S, 6.33

Table 57

Compound No.	Physical properties
Ie-19	Mp 189-191 °C; ^{1}H-NMR (d_6-DMSO) δ 5.19 (s, 2H), 6.99 (m, 1H), 7.21 (dd, J = 2.1, 9.0 Hz, 1H), 7.33-7.46 (m, 4H), 7.51 (d, J = 9.0 Hz, 1H), 7.75-7.79 (m, 3H), 10.15 (s, 1H); IR (Nujol) 3262, 3103, 3061, 2661, 2558, 1715, 1640, 1611, 1590, 1484,1406, 1331, 1294 cm^{-1}; Elemental analysis ($C_{20}H_{14}F_2N_2O_4S$·0.1AcOEt) Calcd. (%): C, 57.62; H, 3.51; F, 8.94; N, 6.59; S, 7.54 Found (%): C, 57.43; H, 3.26; F, 8.65; N, 6.46; S, 7.34
Ie-20	Mp 214-217 °C; ^{1}H-NMR ($CDCl_3$) δ 3.27 (s, 3H), 5.00 (s, 2H), 6.92 (dd, J = 8.1, 9.9 Hz, 1H), 7.06-7.17 (m, 3H), 7.23 (d, J = 8.7 Hz, 1H), 7.32 (dd, J = 2.1, 8.7 Hz, 1H), 7.39 (m, 1H), 7.56-7.63 (m, 2H), 7.70 (d, J = 1.8 Hz, 1H); IR (Nujol) 3087, 2748, 2651, 2568, 2486, 1723, 1637, 1592, 1493, 1308, 1236 cm^{-1}; Elemental analysis ($C_{21}H_{16}F_2N_2O_4S$·0.1AcOEt) Calcd. (%): C, 58.52; H, 3.86; F, 8.65; N, 6.38; S, 7.30 Found (%): C, 58.64; H, 3.61; F, 8.37; N, 6.39; S, 7.21
Ie-21	Mp 209-213 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 4.82 (s, 2H), 4.91 (s, 2H), 6.90 (dd, J = 8.1, 9.9 Hz, 1H), 7.08-7.13 (m, 2H), 7.15-7.28 (m, 8H), 7.38 (m, 1H), 7.65 (d, J = 1.8 Hz, 1H), 7.68-7.73 (m, 2H); IR (Nujol) 3063, 3033, 2659, 1708, 1641, 1610, 1590, 1492, 1241 cm^{-1}; Elemental analysis ($C_{27}H_{20}F_2N_2O_4S$·0.1AcOEt) Calcd. (%): C, 63.86; H, 4.07; F, 7.37; N, 5.44; S, 6.22 Found (%): C, 63.77; H, 3.90; F, 7.21; N, 5.45; S, 6.18
Ie-22	mp 153-156 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 5.13 (s, 2H), 6.92 (dd, J = 1.8, 10.4 Hz, 1H), 7.04-7.12 (m, 2H), 7.25 (td, J = 0.6, 15.0 Hz, 1H), 7.32 (d, J = 8.4 Hz, 1H), 7.49 (td, J = 1.2, 7.7 Hz, 1H), 7.55 (d, J = 1.8 Hz, 1H), 7.72-7.77 (m, 2H), 7.96 (d, J = 7.5 Hz, 1H); IR (Nujol) 3236, 3109, 3073, ,3050, 2725, 1761, 1732, 1641, 1610, 1591, 1497, 1375,1288 cm^{-1}; Elemental analysis ($C_{20}H_{14}F_2N_2O_4S$-0.2AeOEt) Calcd. (%): C, 57.56; H, 3.62; F, 8.75; N, 6.45; S, 7.39 Found (%): C, 57.27; H, 3.39; F, 8.82; N, 6.58; S, 7.49
Ie-23	^{1}H-NMR ($CDCl_3$) δ 3.25 (s, 3H), 5.22 (s, 2H), 6.91 (dd, J = 2.1, 12.9 Hz, 1H), 7.09-7.16 (m, 2H), 7.28-7.33 (m, 2H), 7.48-7.54 (m, 2H), 7.56-7.62 (m, 2H), 7.94 (d, J = 7.8 Hz, 1H); IR (Nujol) 3505, 3103, 3052, 2729, 2648, 1728, 1639, 1589, 1494, 1297, 1238 cm^{-1}; Elemental analysis ($C_{21}H_{16}F_2N_2O_4S$·0.3H_2O) Calcd. (%): C, 57.87; H, 3.84; F, 8.72; N, 6.43; S, 7.36 Found (%): C, 57.99; H, 3.79; F, 8.55; N, 6.43; S, 7.07
Ie-24	mp 199-201 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 4.80 (s, 2H), 5.13 (s, 2H), 6.76 (dd, J = 2.1, 13.2 Hz, 1H), 7.17-7.28 (m, 8H), 7.32 (d, J = 8.4 Hz, 1H), 7.44 (d, J = 2.1 Hz, 1H), 7.49 (m, 1H), 7.67-7.74 (m, 2H), 7.89 (d, J = 7.5 Hz, 1H); IR (Nujol) 3087, 3062, 3032, 2644, 2560, 2470, 1714, 1638, 1585, 1493, 1300, 1249 cm^{-1}; Elemental analysis ($C_{27}H_{20}F_2N_2O_4S$·0.1AcOEt) Calcd. (%): C, 63.86; H, 4.07; F, 7.37; N, 5.44; S, 6.22 Found (%): C, 64.07; H, 3.90; F, 7.17; N, 5.52; S, 6.10

Table 58

Compound No.	Physical properties
Ie-25	mp 204-206 °C; ^{1}H-NMR ($CDCl_3$) δ 3.27 (s, 3H), 5.22 (s, 2H), 7.09-7.22 (m, 6H), 7.56-7.61 (m, 2H), 7.71-7.74 (m, 2H); IR (Nujol) 3327, 3085, 3046, 2680, 1774, 1637, 1592, 1578, 1339, 1242 cm^{-1}; Elemental analysis ($C_{21}H_{16}F_2N_2O_4S$·0.1AcOEt) Calcd. (%): C, 58.52; H, 3.86; F, 8.65; N, 6.38; S, 7.30 Found (%): C, 58.60; H, 3.70; F, 8.51; N, 6.44; S, 7.46
Ie-26	mp 194-197 °C; ^{1}H-NMR ($CDCl_3$) δ 4.81 (s, 2H), 5.13 (s, 2H), 7.00 (dd, J = 2.1, 8.7 Hz, 1H), 7.07-7.25 (m, 11H), 7.62-7.69 (m, 3H); IR (Nujol) 3093, 3066, 3040, 3023, 2657, 2561, 1722, 1593, 1581, 1493, 1294, 1236 cm^{-1}; Elemental analysis ($C_{27}H_{20}F_2N_2O_4S$) Calcd. (%): C, 64.02; H, 3.98; F, 7.50; N, 5.53; S, 6.33 Found (%): C, 64.00; H, 3.98; F, 7.26; N, 5.49; S, 6.13
Ie-27	mp 190-191 °C; ^{1}H-NMR ($CDCl_3$+CD_3OD) δ 4.92 (s, 2H), 7.03-7.09 (m, 2H), 7.13-7.23 (m, 3H), 7.54 (dd, J = 1.8, 8.7 Hz, 1H), 7.68-7.73 (m, 3H), 8.10 (d, J = 1.8 Hz, 1H); IR (Nujol) 3263, 3102, 3060, 2657, 1715, 1591, 1487, 1406, 1335, 1286 cm^{-1}.
Ie-28	mp 200-202 °C; ^{1}H-NMR ($CDCl_3$+CD3OD) δ 3.28 (s, 3H), 4.95 (s, 2H), 7.11-7.29 (m, 5H), 7.54-7.61 (m, 3H), 7.69 (d, J = 1.8 Hz, 1H), 8.09 (d, J = 2.1 Hz); IR (Nujol) 3066, 2744, 2658, 2565, 1731, 1454, 1444, 1293, 1247, 1224 cm^{-1}; Elemental analysis ($C_{21}H_{16}BrFN_2O_4S$·0.4AcOEt) Calcd. (%): C, 51.55; H, 3.68; Br, 15.17; F, 3.61; N; 5.32; S, 6.09 Found (%): C, 51.74; H, 3.13; Br, 14.82; F, 3.66; N, 5.60; S, 6.28
If-1	^{1}H-NMR ($CDCl_3$) δ 1.56 (s, 6H), 2.43 (s, 3H), 3.20 (d, J = 5.7 Hz, 2H), 4.21 (t, J = 5.7 Hz, 1H), 4.82 (s, 2H), 6.85-6.98 (m, 3H), 7.13-7.15 (m, 2H), 7.35 (d, J = 8.1 Hz, 1H), 7.49-7.53 (m, 2H); IR (K-Br) 3505, 1728, 1594, 1495, 1478, 1468, 1406, 1340, 1292, 1166, 1154, 1092, 1076 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$·0.6H_2O) Calcd. (%): C, 58.75; H, 5.68; N, 6.53; F, 4.43; S, 7.47 Found (%): C, 58.83; H, 5.73; N, 6.32; F, 4.29, S, 7.24
If-2	^{1}H-NMR ($CDCl_3$) δ 1.68 (s, 6H), 2.28 (s, 3H), 2.52 (s, 3H), 3.40 (s, 2H), 4.83 (s, 2H), 7.05 (m, 1H), 7.13-7.18 (m, 4H), 7.71-7.77 (m, 3H); IR ($CHCl_3$) 2976, 2930, 1729, 1594, 1495, 1479, 1467, 1393, 1342, 1293, 1166, 1155, 1089, 1015 cm^{-1}; Elemental analysis ($C_{22}H_{25}FN_2O_4S$·0.2H_2O) Calcd. (%): C, 60.59; H, 5.87; N, 6.42; F, 4.36; S, 7.35 Found (%): C, 60.57; H, 6.01; N, 6.31; F, 4.26, S, 7.15
If-3	^{1}H-NMR ($CDCl_3$) δ 1.58 (s, 6H), 2.10 (s, 3H), 3.71 (s, 2H), 3.96 (s, 2H), 4.56 (s, 2H), 6.47 (d,J = 7.2 Hz, 2H), 6.95-7.15 (m, 8H), 7.56 (d, J = 8.4 Hz, 1H), 7.72-7.77 (m,2H); IR ($CHCl_3$) 1729, 1594, 1495, 1479, 1467, 1342, 1292, 1239, 1165, 1154, 1091, 1056 cm^{-1}; Elemental analysis ($C_{28}H_{29}FN_2O_4S$·0.2MeOH) Calcd. (%): C, 65.77; H, 5.83; N, 5.44; F, 3.69; S, 6.23 Found (%): C, 66.15; H, 5.98; N, 5.23; F, 3.40, S, 5.87

Table 59

Compound No.	Physical properties
If-4	^{1}H-NMR ($CDCl_3$) δ 1.38 (d, J = 6.6 Hz, 3H), 2.29 (s, 3H), 3.07-3.36 (m, 3H), 4.29 (d, J = 9.0 Hz, 1H), 4.81 (d, J = 5.1 Hz, 2H), 6.91-7.27 (m, 6H), 7.54-7.59 (m, 2H); IR ($CHCl_3$) 2976, 2930, 1729, 1594, 1495, 1479, 1467, 1393, 1342, 1293, 1166, 1155, 1089, 1015 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S$·1.2MeOH) Calcd. (%): C, 57.49; H, 5.87; N, 6.32; F, 4.29; S, 7.24 Found (%): C, 57.55; H, 5.65; N, 6.14; F, 4.22, S, 7.23
If-5	^{1}H-NMR ($CDCl_3$) δ 1.48 (d, J = 6.9 Hz, 3H), 2.35 (s, 3H), 2.57 (s, 3H), 3.02 (m, 1H), 3.36 (m, 1H), 3.65 (m, 1H), 4.82 (s, 2H), 7.04-7.17 (m, 5H), 7.58 (d, J = 8.7 Hz, 1H), 7.68-7.73 (m, 2H); IR ($CHCl_3$) 2976, 2930, 1729, 1594, 1495, 1479, 1467, 1393, 1342, 1293, 1166, 1155, 1089, 1015 cm^{-1}
If-6	^{1}H-NMR ($CDCl_3$) δ 1.31 (d, J = 6.6 Hz, 3H), 2.17 (s, 3H), 3.15-3.23 (m, 2H), 3.70 (q, J = 6.6 Hz, 1H), 4.17 (s, 2H), 4.76 (s, 2H), 6.96-7.23 (m, 10H), 7.40 (d, J = 7.8 Hz, 1H), 7.69-7.74 (m, 2H); IR ($CHCl_3$) 2974, 2932, 2876, 1731, 1594, 1496, 1468, 1409, 1339, 1292, 1240, 1165, 1153, 1089, 1022 cm^{-1}; Elemental analysis ($C_{27}H_{27}FN_2O_4S$·1.1MeOH) Calcd. (%): C, 63.70; H, 5.97; N, 5.29; F, 3.59; S, 6.05 Found (%): C, 64.02; H, 5.78; N, 5.20; F, 3.42, S, 5.70

Table 59 (continued)

Compound No.	Physical properties
If-7	^{1}H-NMR ($CDCl_3$) 5 1.73-1.85 (m, 4H), 2.02-2.23 (m, 4H), 3.30 (s, 2H), 4.86 (s, 1H), 6.91 (s, 1H), 7.04-7.21 (m, 5H), 7.60 (d, J = 8.1 Hz, 1H), 7.70-7.75 (m, 2H); IR ($CHCl_3$) 2961, 2874, 1594, 1494, 1468, 1340, 1292, 1240, 1155, 1089 cm^{-1}; Elemental analysis ($C_{23}H_{25}FN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 61.65; H, 5.71; N, 6.25; F, 4.24; S, 7.16 Found (%): C, 61.74; H, 5.93; N, 5.96; F, 3.93, S, 6.95
If-8	^{1}H-NMR ($CDCl_3$) δ 1.65-1.80 (m, 4H), 2.00-2.09 (m, 4H), 3.64 (s, 2H), 3.80 (s, 2H), 4.58 (s, 2H), 6.47 (s, 1H), 6.54 (d, J = 7.8 Hz, 2H), 6.97-7.15 (m, 7H), 7.37-7.45 (m, 2H), 7.67-7.72 (m, 2H); IR ($CHCl_3$) 2960, 2874, 1731, 1592, 1495, 1468, 1339, 1292, 1239, 1165, 1154, 1092, 1022 cm^{-1}.
If-9	mp 117-120 °C; ^{1}H-NMR ($CDCl_3$) δ 3.50-3.69 (m, 2H), 4.34 (t, J = 7.5 Hz, 1H), 4.58 (br t, J = 6.0 Hz, 1H), 4. 80 (d, J = 18.3 Hz, 1H), 4.81 (d, J = 18.3 Hz, 1H), 6.84 (s, 1H), 7.01 (m, 1H), 7.08 (t, J = 9.0 Hz, 2H), 7.17-7.30 (m, 8H), 7.72 (dd, J = 5.1 9.0 Hz, 2H); IR (Nujol) 3351, 3295, 3063, 1727, 1706, 1614, 1592, 1494, 1468, 1406, 1331, 1241, 1165, 1152 cm^{-1}; Elemental analysis ($C_{24}H_{21}FN_2O_4S \cdot 0.4AcOEt$) Calcd. (%): C, 63.04; H, 5.00; N, 5.74; F, 3.90; S, 6.57 Found (%): C, 62.73; H, 4.75; N, 5.77; F, 3.91; S, 6.58

Table 60

Compound No.	Physical properties
If-10	mp 167-170 °C; ^{1}H-NMR ($CDCl_3$) δ 2.61 (s, 3H), 3.36 (dd, J = 7.8, 13.5 Hz, 1H), 3.93 (dd, J = 7.8, 13.5 Hz, 1H), 4.55 (t, J = 7.8 Hz, 1H), 4.87 (s, 2H), 7.06 (m, 1H), 7.10 (s, 1H), 7.13 (t, J = 9.0 Hz, 2H), 7.20-7.31 (m, 7H), 7.43 (br d, J = 8.1 Hz, 1H), 7.70 (dd, J =5.1, 9.0 Hz, 2H); IR (Nujol) 3429, 3030, 1722, 1704, 1592, 1493, 1469, 1406, 1332, 1237, 1150, 1087 cm^{-1}; Elemental analysis ($C_{25}H_{23}FN_2O_4S$) Calcd. (%): C, 64.36; H, 4.97; N, 6.00; F, 4.07; S, 6.87 Found (%): C, 64.28; H, 4.93; N, 5.91; F, 3.85; S, 6.73
If-11	^{1}H-NMR ($CDCl_3$) δ 2.00-2.41 (m, 6H), 3.30 (d, J = 6.0 Hz, 2H), 4.21 (t, J = 5.7 Hz, 1H), 4.84 (s, 2H), 6.82-6.98 (m, 4H), 7.13-7.27 (m, 3H), 7.45-7.50 (m, 2H); IR ($CHCl_3$) 2984, 2934, 2875, 1731, 1594, 1496, 1468, 1408, 1333, 1292, 1240, 1167, 1154 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S \cdot 0.4H_2O$) Calcd. (%): C, 59.53; H, 5.19; N, 6.61; F, 4.48; S, 7.57 Found (%): C, 59.49; H, 5.23; N, 6.35; F, 4.20, S, 7.34
If-12	^{1}H-NMR ($CDCl_3$) δ 1.91-1.95 (m, 2H), 2.25-2.42 (m, 4H), 3.74 (s, 2H), 3.92 (s, 2H), 4.70 (s, 2H), 6.53 (s, 1H), 6.67 (d, J = 8.1 Hz, 2H), 6.97-7.38 (m, 9H), 7.62-7.67 (m, 2H); IR ($CHCl_3$) 2932, 1731, 1593, 1495, 1468, 1333, 1292, 1239, 1163, 1153, 1089 cm^{-1}; Elemental analysis ($C_{28}H_{27}FN_2O_4S \cdot 0.8H_2O$) Calcd. (%): C, 64.55; H, 5.53; N, 5.38; F, 3.65; S, 6.15 Found (%): C, 64.61; H, 5.27; N, 5.08; F, 3.35, S, 5.87
If-13	^{1}H-NMR ($CDCl_3$) δ 1.43 (s, 6H), 3.17 (d, J = 5.7 Hz, 2H), 4.20 (t, J = 6.0 Hz, 1H), 4.83 (s, 2H), 6.85 (s, 1H), 6.90-6.97 (m, 3H), 7.21 (d, J = 3.6 Hz, 2H), 7.32 (d, J = 8.4 Hz, 1H), 7.49-7.54 (m, 2H); IR ($CHCl_3$) 2971, 2933, 2878, 1731, 1594, 1496, 1467, 1408, 1386, 1331, 1292, 1239, 1194, 1167, 1154, 1092, 1076 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S \cdot 0.5H_2O$) Calcd. (%): C, 58.10; H, 5.36; N, 6.78; F, 4.59; S, 7.76 Found (%): C, 58.05; H, 5.31; N, 6.55; F, 4.34, S, 7.58
If-14	^{1}H-NMR ($CDCl_3$) δ 1.54 (s, 3H), 2.26 (s, 3H), 3.37 (s, 2H), 4.86 (s, 2H), 6.89 (s, 1H), 7.07-7.22 (m, 5H), 7.69-7.77 (m, 3H); IR ($CHCl_3$) 2974, 2929, 1731, 1594, 1495, 1480, 1467, 1340, 1292, 1240, 1166, 1155, 1089, 1020 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 59.50; H, 5.61; N, 6.61; F, 4.48; S, 7.56 Found (%): C, 59.59; H, 5.62; N, 6.39; F, 4.26, S, 7.42
If-15	^{1}H-NMR ($CDCl_3$) δ 1.47 (s, 3H), 3.67 (s, 2H), 3.96 (s, 2H), 4.61 (s, 2H), 6.51-6.54 (m, 3H), 6.95-7.15 (m, 7H), 7.37 (d, J = 4.8 Hz, 1H), 7.48 (d, J = 8.4 Hz, 1H), 7.71-7.76 (m, 2H); IR ($CHCl_3$) 2972, 2931, 1731, 1594, 1495, 1468, 1339, 1292, 1239, 1165, 1154, 1091, 1056 cm^{-1}.

Table 61

Compound No.	Physical properties
If-16	^{1}H-NMR ($CDCl_3$) δ 2.91 (t, J = 6.3 Hz, 2H), 3.34-3.49 (m, 2H), 4.63 (t, J = 6.0 Hz, 1H), 4.80 (s, 2H), 6.92-7.15 (m, 5H), 7.48-7.53 (m, 2H); IR (KBr) 3285, 2232, 1729, 1627, 1582, 1488, 1414, 1324, 1250, 1159, 1092, 1047 cm^{-1}; Elemental analysis ($C_{16}H_{15}FN_2O_4S_2 \cdot 0.3H_2O$) Calcd. (%): C, 49.55; H, 4.05; N, 7.22; F, 4.90; S, 16.54 Found (%): C, 49.89; H, 3.98; N, 6.98; F, 4.54, S, 16.12
If-17	^{1}H-NMR ($CDCl_3$) δ 1.42 (s, 6H), 3.12 (s, 3H), 3.45 (br, 1H), 4.79 (s, 2H), 6.90-7.24 (m, 6H), 7.51-7.56 (m, 2H); IR (KBr) 3283, 2966, 2926, 1736, 1590, 1494, 1474, 1409, 1337, 1320, 1293, 1242, 1167, 1153 cm^{-1}; Elemental analysis ($C_{20}H_{20}ClFN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 54.07; H, 4.67, N, 6.30; Cl, 7.98; F, 4.28; S, 7.22 Found (%): C, 54.06; H, 4.65; N, 6.26; Cl, 7.79; F, 4.13, S,7.12
If-18	^{1}H-NMR ($CDCl_3$) δ 1.52 (s, 6H), 2.22 (s, 3H), 3.32 (s, 2H), 4.83 (s, 2H), 6.92 (s, 1H), 7.14-7.21 (m, 4H), 7.63 (s, 1H), 7.74-7.78 (m, 2H); IR ($CHCl_3$) 2974, 2929, 1731, 1594, 1495, 1474, 1341, 1292, 1240, 1167, 1155, 1089, 1020 cm^{-1}; Elemental analysis ($C_{21}H_{22}ClFN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 55.03; H, 4.97; N, 6.11; Cl, 7.74; F, 4.15; S, 7.00 Found (%): C, 55.36; H, 5.13; N, 5.90; Cl, 7.34; F, 3.93; S, 7.00
If-19	^{1}H-NMR ($CDCl_3$) δ 1.44 (s, 6H), 3.63 (s, 2H), 3.95 (s, 2H), 4.59 (s, 2H), 6.43 (d, J = 7.8 Hz, 2H), 6.57 (s, 1H), 6.94-7.17 (m, 5H), 7.33-7.38 (m, 3H), 7.75-7.80 (m, 2H); IR ($CHCl_3$) 1732, 1594, 1495, 1475, 1341, 1292, 1165, 1154, 1091, 1056 cm^{-1}.
If-20	^{1}H-NMR ($CDCl_3$) δ 3.05-3.10 (m, 2H), 3.51-3.56 (m, 2H), 4.44 (s, 2H), 5.00 (s, 2H), 7.07-7.13 (m, 3H), 7.24-7.44 (m, 8H), 7.70-7.82 (m, 2H); IR (KBr) 1736, 1622, 1589, 1509, 1492, 1455, 1320, 1230, 1162, 1148, 1096 cm^{-1};Elemental analysis ($C_{24}H_{22}FN_3O_4S$) Calcd. (%): C, 61.66; H, 4.74; N, 8.99; F, 4.06; S, 6.86 Found (%): C, 61.53; H, 4.72; N, 8.91; F, 3.91, S, 6.53.
Ig-1	mp 217-219 °C; ^{1}H-NMR (d_6-DMSO) δ 2.36-2.79 (m, 6H), 4.80 (s, 2H), 6.71 (dd, J = 2.1, 8.4 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.17 (d, J = 8.7 Hz, 1H), 7.31-7.37 (m, 2H), 7.69-7.74 (m, 2H), 9.83 (s, 1H), 13.00 (br, 1H); IR (Nujol) 2926, 1725, 1592, 1492, 1476, 1347, 1237, 1149 cm^{-1}; IR (Nujol) 3207, 2925, 2853, 1738, 1587, 1464, 1150 cm^{-1}; Elemental analysis ($C_{19}H_{17}FN_2O_4S$) Calcd. (%): C, 58.75; H, 4.41; F, 4.89; N, 7.21; S, 8.26 Found (%): C, 58.71; H, 4.39; F, 4.65; N, 7.07; S, 8.03
Ig-2	mp 223-226°C; ^{1}H-NMR (d_6-DMSO) δ 2.80-2.88 (m, 2H), 2.94-3.00 (m, 2H), 3.69 (s, 2H); 4.85 (s, 2H), 6.75 (dd, J = 1.8, 8.4 Hz, 1H), 7.12 (d, J = 1.8 Hz, 1H), 7.23 (d, J = 8.4 Hz, 1H), 7.29-7.39 (m, 2H), 7.68-7.77 (m, 2H), 9.96 (br s, 1H); IR (Nujol) 3228, 3105, 3070, 3047, 2924, 2854, 1734, 1590, 1467, 1332, 1226, 1182, 1167, 1151 cm^{-1}; Elemental analysis ($C_{19}H_{17}FN_2O_4S_2 \cdot 0.3AcOEt$) Calcd. (%): C, 54.28; H, 4.18; F, 4.43; N, 6.53; S, 14.94 Found (%): C, 54.05; H, 3.98; F, 4.29; N, 6.55; S, 14.92

Table 62

Compound No.	Physical properties
Ig-3	mp 214-217°C; ^{1}H-NMR (d_6-DMSO) δ 2.81-2.92 (m, 2H), 2.93-3.03 (m, 2H), 3.17 (s, 3H), 3.70 (s, 2H), 4.92 (s, 2H), 6.72 (dd, J = 2.1, 8.7 Hz, 1H), 7.12 (d, J = 2.1 Hz, 1H), 7.33 (d, J = 8.7 Hz, 1H), 7.38-7.48 (m, 2H), 7.56-7.64 (m, 2H), 13.08 (br, 1H); IR (Nujol) 3103, 2923, 2742, 2656, 2554, 1724, 1591, 1478, 1342, 1239, 1169, 1147 cm^{-1}
Ig-4	mp 218-220 °C; ^{1}H-NMR (d_6-DMSO) δ 2.05-2.18 (m, 2H), 2.43 (t, J = 6.3 Hz, 2H), 2.90 (t, J = 6.0 Hz, 2H), 3.18 (s; 3H), 5.09 (s, 2H), 6.91 (dd, J = 2.1, 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.49 (d, J = 8.7 Hz, 1H), 7.57-7.62 (m, 2H), 7.66 (d, J = 2.1 Hz, 1H); IR (Nujol) 3501, 3335, 2925, 2854, 1714. 1631, 1592, 1473, 1454, 1343, 1265, 1239, 1172, 1152 cm^{-1}; Elemental analysis ($C_{21}H_{19}FN_2O_5S \cdot H_2O$) Calcd. (%): C, 56.24; H, 4.72; F, 4.24; N, 6.25; S, 7.15 Found (%): C, 56.18; H, 4.72; F, 4.14; N, 6.15; S, 7.07

Table 62 (continued)

Compound No.	Physical properties
Ig-5	mp 220-222 °C; ^{1}H-NMR (d_6-DMSO) δ 0.94 (t, J = 7.5 Hz, 2H), 1.40-1.57 (m, 1H), 1.72-2.00 (m, 2H), 2.19-2.40 (m, 2H), 2.80-3.06 (m, 2H), 3.18 (s, 3H), 5.08 (s, 2H), 6.90 (dd, J = 2.1, 8.7 Hz, 1H); 7.38-7.45 (m, 2H), 7.48 (d, J = 8.7 Hz, 1H), 7.57-7.62 (m, 2H), 7.68 (d, J = 2.1 Hz, 1H), 13.30 (br, 1H); IR (Nujol) 2924, 2854, 1733, 1593, 1534, 1477, 1464, 1353, 1206, 1172 cm^{-1}; Elemental analysis ($C_{23}H_{23}FN_2O_5S$) Calcd. (%): C, 60.25; H, 5.06; F, 4.14; N, 6.11; S, 6.99 Found (%): C, 60.04; H, 5.15; F, 3.90; N, 6.07; S, 6.78
Ig-6	mp 128-130°C; ^{1}H-NMR (d_6-DMSO) δ 1.22 (t, J = 6.0 Hz, 3H), 2.67 (br t, 2H), 3.72 (t, J = 6.0 Hz, 2H), 4.09 (q, J = 7.2 Hz, 2H), 4.47 (s, 2H), 4.84 (s, 2H), 6.77 (dd, J = 1.8, 8.4 Hz, 1H), 7.07 (s, 1H), 7.26 (d, J = 9.0 Hz, 1H), 7.31-7.37 (m, 2H), 7.70-7.75 (m, 2H), 9.88 (s, 1H), 13.00 (br 1H); IR (Nujol) 3187, 2925, 2854, 1764, 1678, 1585, 1468, 1448, 1269, 1235, 1171, 1158 cm^{-1}.
Ig-7	mp 200-202°C; ^{1}H-NMR (d_6-DMSO) δ 1.22 (t, J = 7.2 Hz, 3H), 2.71 (br t, 2H), 3.18 (s, 3H), 3.74 (br t, 2H), 4.09 (q, J = 7.2 Hz, 2H), 4.49 (s, 2H), 4.92 (s, 2H), 6.79 (dd, J = 1.8, 9.0 Hz, 1H), 7.10 (br, 1H), 7.35 (d, J = 8.4 Hz, 1H), 7.39-7.45 (m, 2H), 7.56-7.62 (m, 2H), 13.00 (br 1H); IR (Nujol) 2925, 2854, 1697, 1677, 1476, 1340, 1238, 1147 cm^{-1}; Elemental analysis ($C_{23}H_{24}FN_3O_6S \cdot 0.4H_2O$) Calcd. (%): C, 55.61; H, 5.03; F, 3.82; N, 8.46; S, 6.46 Found (%): C, 55.58; H, 5.10; F, 3.71; N, 8.39; S, 6.41
Ig-8	mp 229-232°C; ^{1}H-NMR (d_6-DMSO) δ 1.22 (t, J = 6.9 Hz, 3H), 2.67 (br t, 2H), 3.72 (t, J = 6.0 Hz, 2H), 3.77 (s, 3H), 4.06 (q, J = 7.2 Hz, 2H), 4.47 (s, 2H), 4.85 (s, 2H), 6.69 (dd, J = 2.1, 8.7 Hz, 1H), 7.07 (d, J = 2.1 Hz, 1H), 6.99-7.03 (m, 2H), 7.23 (d, J = 8.7 Hz, 1H), 7.59-7.63 (m, 2H); IR (Nujol) 3309, 3218, 2925, 2853, 1741, 1644, 1598, 1491, 1259, 1247, 1155 cm^{-1}.

Table 63

Compound No.	Physical properties
Ig-9	mp 232-234°C; ^{1}H-NMR (d_6-DMSO) δ 1.22 (t, J = 6.9 Hz, 3H), 2.71 (br t, 2H), 3.13 (s, 3H), 3.74 (t, J = 5.7 Hz, 2H), 3.85 (s, 3H), 4.09 (q, J = 6.9 Hz, 2H), 4.49 (s, 2H), 4.92 (s, 2H), 6.79 (dd, J = 1.8, 8.7 Hz, 1H), 7.08-7.11 (m, 3H), 7.34 (d, J = 8.7 Hz, 1H), 7.43-7.47 (m, 2H); IR (Nujol) 3143, 2925, 2854, 1722, 1690, 1598, 1497, 1472, 1229, 1163 cm^{-1}; Elemental analysis ($C_{24}H_{27}N_3O_7S$) Calcd. (%): C, 57.47; H, 5.43; N, 8.38; S, 6.39 Found (%): C, 57.48; H, 5.41; N, 8.28; S, 6.23
Ig-10	mp 245-250°C; ^{1}H-NMR (d_6-DMSO at 80°C) δ 2.11 (s, 3H), 2.78 (br, 2H), 3.14 (s, 3H), 3.80 (br, 2H), 3.85 (s, 3H), 4.55 (s, 2H), 4.85 (s, 2H), 6.80 (br d, J = 8.7 Hz, 1H), 7.05-7.08 (m, 3H), 7.28 (d, J = 8.7 Hz, 1H), 7.47-7.51 (m, 2H); IR (Nujol) 2923, 2853, 1737, 1596, 1474, 1340, 1259, 1162 cm^{-1}.
Ig-11	mp 209-211 °C; ^{1}H-NMR (d_6-DMSO) δ 1.60-1.90 (m, 6H), 2.60-2.76 (m, 4H), 4.87 (s, 2H), 6.69 (dd, J = 1.8, 8.7 Hz, 1H), 7.05 (d, J = 1.8 Hz, 1H), 7.17 (d, J = 8.7 Hz, 1H), 7.31-7.38 (m, 2H), 7.70-7.75 (m, 2H), 9.80 (s, 1H), 12.90 (br, 1H); IR (Nujol) 3220, 2922, 2854, 1733, 1593, 1482, 1323, 1194, 1149 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; F, 4.56; N, 6.73; S, 7.70 Found (%): C, 60.48; H, 4.92; F, 4.32; N, 6.68; S, 7.56
Ig-12	mp 157-160 °C; ^{1}H-NMR ($CDCl_3$) δ 1.70-1.93 (m, 6H), 2.66-2.79 (m, 4H), 3.22 (s, 3H), 4.83 (s, 2H), 6.80 (dd, J = 1.8, 8.7 Hz, 1H), 7.03 (d, J = 8.7 Hz, 1H), 7.08-7.16 (m, 3H), 7.57-7.63 (m, 2H); IR (Nujol) 2923, 2853, 1725, 1592, 1480, 1346, 1235, 1148 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$) Calcd. (%): C, 61.38; H, 5.39; F, 4.41; N, 6.51; S, 7.45 Found (%): C, 60.98; H, 5.42; F, 4.10; N, 6.41; S, 7.43
Ig- 13	mp 155-157 °C; ^{1}H-NMR ($CDCl_3$) δ 1.60-1.92 (m, 6H), 2.60-2.74 (m, 4H), 4.76 (s, 4H), 6.66 (dd, J = 1.8, 8.7 Hz, 1H), 6.93 (d, J = 8.4 Hz, 1H), 7.04 (d, J = 1.8 Hz, 1H), 7.09-7.28 (m, 7H), 7.65-7.70 (m, 2H); IR (Nujol) 2923, 2854, 1726, 1593, 1479, 1346, 1241, 1167, 1153 cm^{-1}; Elemental analysis ($C_{28}H_{27}FN_2O_4S$) Calcd. (%): C, 66.39; H, 5.37; F, 3.75; N, 5.53; S, 6.33 Found (%): C, 66.39; H, 5.40; F, 3.53; N, 5.51; S, 6.09

Table 63 (continued)

Compound No.	Physical properties
Ig-14	mp 144-150 °C; ^{1}H-NMR (d_6-DMSO) δ 1.61-1.76(m, 4H), 1.78-1.90 (m, 2H), 2.60-2.76 (m, 4H), 3.13 (s, 3H), 3.84 (s, 3H), 4.93 (s, 2H), 6.64 (dd, J = 1.8, 8.4 Hz, 1H), 7.02 (d, J = 1.8 Hz, 1H), 7.07-7.10 (m, 2H), 7.35 (d, J = 8.4 Hz, 1H), 7.45-7.49 (m, 2H); IR (Nujol) 2926, 2853, 1727, 1596, 1496, 1477, 1353, 1256, 1243, 1162, 1151 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_5S$) Calcd. (%): C, 62.42; H, 5.92; N, 6.33; S, 7.25 Found (%): C, 62.72; H, 5.83; N, 6.18; S, 7.08

Table 64

Compound No.	Physical properties
Ig-15	mp 151-155 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (t, J = 6.9 Hz, 3H), 1.61-1.76 (m, 4H), 1.78-1.90 (m, 2H), 2.62-2.76 (m, 4H), 3.60 (q, J = 6.9 Hz, 2H), 4.94 (s, 2H), 6.58 (dd, J = 1.8, 8.4 Hz, 1H), 7.01 (d, J = 1.8 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.38-7.45 (m, 2H), 7.63-7.68 (m, 2H); IR (Nujol) 2924, 2854, 1728, 1592, 1478, 1345, 1233, 1170, 1143 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_5S$) Calcd. (%): C, 62.14; H, 5.67; F, 4.27; N, 6.30; S, 7.21 Found (%): C, 61.99; H, 5.39; F, 4.08; N, 6.31; S, 7.04
Ig-16	mp 186-189 °C; ^{1}H-NMR (d_6-DMSO) δ 1.60-1.76 (m, 4H), 1.78-1.90 (m, 2H), 2.60-2.80 (m, 4H), 3.10 (s, 3H), 4.94 (s, 2H), 6.64 (dd, J = 1.8, 8.7 Hz, 1H), 6.85-6.89 (m, 2H), 7.03 (d, J = 1.8 Hz, 1H), 7.25 (d, J = 8.7 Hz, 1H), 7.33-7.37 (m, 2H), 10.47 (br s, 1H), 12.96 (br s, 1H); IR (Nujol) 3400, 2924, 2853, 1722, 1600, 1586, 1498, 1476, 1444, 1321, 1251, 1144 cm^{-1}; Elemental analysis ($C_{22}H_{24}N_2O_5S \cdot 0.3H_2O$) Calcd. (%): C, 60.90; H, 5.71; N, 6.46; S, 7.39 Found (%): C, 60.85; H, 5.38; N, 6.25; S, 7.18
Ig-17	mp 264-266 °C; ^{1}H-NMR (d_6-DMSO) δ 1.96-2.07 (m, 2H), 2.97 (t, J = 6.3 Hz, 2H), 3.13-3.20 (m, 2H), 3.17 (s, 3H), 5.02 (s, 2H), 6.82 (dd, J = 2.1, 8.7 Hz, 1H), 7.37-7.45 (m, 3H), 7.55-7.64 (m, 3H), 7.96 (d, J = 2.1 Hz, 1H); IR (Nujol) 3521, 3381, 2924, 2854, 1713, 1614, 1592, 1528, 1476, 1445, 1339, 1254 cm^{-1}; Elemental analysis ($C_{21}H_{20}FN_3O_5S \cdot H_2O$) Calcd. (%): C, 54.42; H, 4.78; F, 4.10; N, 9.07; S, 6.92 Found (%): C, 54.43; H, 4.82; F, 3.96; N, 8.83; S, 6.67
Ig-18	mp 193-197 °C; ^{1}H-NMR (d_6-DMSO) δ 1.32-1.68 (m, 8H), 2.63-2.79 (m, 4H), 4.85 (s, 2H), 6.73 (dd, J = 1.8, 8.7 Hz, 1H), 7.00 (d, J = 1.8 Hz, 1H), 7.17 (d, J = 8.7 Hz, 1H), 7.31-7.37 (m, 2H), 7.70-7.75 (m, 2H), 9.79 (s, 1H); IR (Nujol) 3280, 2924, 2853, 1703, 1594, 1475, 1331, 1291, 1244, 1167, 1153 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$) Calcd. (%): C, 61.38; H, 5.39; F, 4.41; N, 6.51; S, 7.47 Found (%): C, 61.21; H, 5.31; F, 4.29; N, 6.42; S, 7.47
Ig-19	mp 175-177 °C; ^{1}H-NMR (d_6-DMSO) δ 1.35-1.71 (m, 8H), 2.66-2.82 (m, 4H), 3.18 (s, 3H), 4.92 (s, 2H), 6.71 (dd, J = 2.1; 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.37-7.43 (m, 3H), 7.57-7.62 (m, 2H); IR (Nujol) 2925, 2851, 1729, 1590, 1478, 1347, 1243, 1162, 1150 cm^{-1}; Elemental analysis ($C_{23}H_{25}FN_2O_4S$) Calcd. (%): C, 62.14; H, 5.67; F, 4.27; N, 6.30; S, 7.21 Found (%): C, 62.01; H, 5.72; F, 4.01; N, 6.15; S, 7.08
Ig-20	mp 188-192 °C; ^{1}H-NMR (d_6-DMSO)) δ 1.32- 1.70 (m, 8H), 2.60-2.78 (m, 4H), 4.81 (s, 2H), 4.86 (s, 2H), 6.66 (dd, J = 1.8, 8.7 Hz, 1H), 6.90 (d, J = 2.1 Hz, 1H), 7.15-7.29 (m, 6H), 7.43-7.46 (m, 2H), 7.70-7.75 (m, 2H); IR (Nujol) 2924, 2853, 1713, 1596, 1474, 1343, 1164, 1153 cm^{-1}; Elemental analysis ($C_{29}H_{29}FN_2O_4S$) Calcd. (%): C, 66.90; H, 5.61; F, 3.65; N, 5.38; S, 6.16 Found (%): C, 66.87; H, 5.59; F, 3.52; N, 5.37; S, 6.01

Table 65

Compound No.	Physical properties
Ig-21	mp 165-175 °C; ^{1}H-NMR (d_6-DMSO) δ 2.38-2.79 (m, 6H), 3.16 (s, 3H), 4.88 (s, 2H), 6.67 (dd, J = 2.1, 8.4 Hz, 1H), 6.99 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.56-7.61 (m, 2H); IR (Nujol) 2924, 2855, 1730, 1592, 1469, 1343, 1242, 1234, 1150 cm^{-1}; Elemental analysis ($C_{20}H_{19}FN_2O_4S$) Calcd. (%): C, 59.69; H, 4.76; F, 4.72; N, 6.96; S, 7.97 Found (%): C, 59.73; H, 4.72; F, 4.69; N, 6.90; S, 7.90

Table 65 (continued)

Compound No.	Physical properties
Ig-22	mp 261-265°C; ^{1}H-NMR (d_6-DMSO) δ 2.80-3.15 (m, 4H), 3.88 (d, J = 15.3 Hz, 1H), 4.09 (d, J = 15.3 Hz, 1H), 4.90 (s, 2H), 6.75 (dd, J = 1.8, 8.7 Hz, 1H), 7.15 (d, J = 1.8 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.29-7.39(m, 2H), 7.67-7.76 (m, 2H), 9.89 (br s, 1H), 13.04 (br, 1H); IR (Nujol) 3560, 3316, 3166, 3102, 3069, 2924, 2724, 2599, 2506, 1896, 1717, 1590, 1466, 1242, 1227, 1166, 1155 cm^{-1}
Ig-23	mp 239-244°C; ^{1}H-NMR (d_6-DMSO) δ 2.90-3.15 (m, 4H), 3.17 (s, 3H), 3.90 (d, J = 15.3 Hz, 1H), 4.10 (d, J = 15.3 Hz, 1H), 4.97 (s, 2H), 6.75 (dd, J = 1.8, 8.7 Hz, 1H), 7.20 (d, J = 1.8 Hz, 1H), 7.35 (d, J = 8.7 Hz, 1H), 7.40-7.47 (m, 2H), 7.55-7.64 (m, 2H), 13.13 (br, 1H); IR (Nujol) 1718, 1590, 1479, 1348, 1234, 1152 cm^{-1}; Elemental analysis($C_{20}H_{19}FN_2O_5S_2$) Calcd. (%): C, 53.32; H, 4.25; F, 4.22; N, 6.22; S, 14.24 Found (%): C, 53.15; H, 4.47; F, 4.20; N, 6.19; S, 14.23
Ig-24	mp 251-254 °C; ^{1}H-NMR (d_6-DMSO) δ 1.96-2.05 (m, 2H), 2.93 (t, J = 6.3 Hz, 2H), 3.11-3.20 (m, 2H), 3.76 (s, 3H), 4.94 (s, 2H), 6.92 (dd, J = 2.1, 8.7 Hz, 1H), 6.98-7.03 (m, 2H), 7.27 (d, J = 8.7 Hz, 1H), 7.50 (t, J = 5.1 Hz, 1H), 7.58-7.63 (m, 2H), 8.00 (d, J = 2.1 Hz, 1H), 9.73 (s, 1H); IR (Nujol) 3429, 3171, 2924, 2853, 1745, 1595, 1577, 1481, 1450, 1269, 1154 cm^{-1}; Elemental analysis ($C_{21}H_{21}N_3O_6S\cdot H_2O$) Calcd. (%): C, 54.65; H, 5.02; N, 9.11; S, 6.95 Found (%): C, 54.58; H, 4.58; N, 9.05; S, 7.00
Ig-25	mp 251-254 °C; ^{1}H-NMR (d_6-DMSO) δ 1.96-2.05 (m, 2H), 2.97 (t, J = 6.3 Hz, 2H), 3.12 (s, 3H), 3.12-3.21 (m, 2H), 3.84 (s, 3H), 5.01 (s, 2H), 6.81 (dd, J = 2.1, 9.0 Hz, 1H), 7.05-7.11 (m, 2H), 7.37 (d, J = 9.0 Hz, 1H), 7.44-7.49 (m, 2H), 7.57 (t, J = 4.8 Hz, 1H), 7.99 (d, J = 2.1 Hz, 1H), 13.2 (br, 1H); IR (Nujol) 3451, 3316, 2925, 2854, 1747, 1721, 1612, 1596, 1534, 1475, 1444, 1339, 1258 cm^{-1}; Elemental analysis ($C_{22}H_{23}N_3O_6S\cdot 1.1H_2O$) Calcd. (%): C, 55.36; H, 5.32; N, 8.80; S, 6.72 Found (%): C, 55.21; H, 5.10; N, 8.85; S, 6.84
Ig-26	dp 217-219 °C; ^{1}H-NMR (d_6-DMSO) δ 1.84-2.00 (m, 2H), 2.64-2.81 (m, 4H), 3.16 (s, 3H), 4.98 (s, 2H), 6.75 (dd, J = 2.1, 8.7 Hz, 1H), 7.35-7.61 (m, 5H), 7.74 (d, J = 2.1 Hz, 1H), 10.39 (s, 1H), 13.0 (br, 1H); IR (Nujol) 3400, 2925, 2854, 1705, 1605, 1590, 1476, 1459, 1418, 1377, 1316, 1231, 1170, 1157 cm^{-1}; Elemental analysis ($C_{21}H_{20}FN_3O_5S$) Calcd. (%): C, 56.62; H, 4.53; F, 4.26; N, 9.43; S, 7.20 Found (%): C, 56.59; H, 4.39; F, 4.37; N, 9.26; S, 7.12

Table 66

Compound No.	Physical properties
Ig-27	dp 203-208 °C; ^{1}H-NMR (d_6-DMSO) δ 1.84-1.97 (m, 2H), 2.60-2.78 (m, 4H), 3.18 (s, 3H), 3.76 (s, 3H), 4.99 (s, 2H), 6.85 (dd, J = 2.1, 8.7 Hz, 1H), 7.38-7.66 (m, 6H), 7.74 (d, J = 2.1 Hz, 1H), 13.0 (br, 1H); IR (Nujol) 3179, 2925, 2854, 1736, 1592, 1471, 1376, 1345, 1172, 1149 cm^{-1}; Elemental analysis ($C_{22}H_{22}FN_3O_5S$) Calcd. (%): C, 57.51; H, 4.83; F, 4.13; N, 9.14; S, 6.98 Found (%): C, 57.40; H, 4.65; F, 4.18; N, 8.95; S, 7.03
Ih-1	mp 218-222 °C; ^{1}H-NMR (d_6-DMSO) δ 1.65-1.88 (m, 4H), 2.50-2.60 (m, 4H), 4.79 (s, 2H), 6.72 (dd, J = 1.2, 9.0 Hz, 1H), 7.03 (d, J = 1.2 Hz, 1H), 7.18 (d, J = 8.4 Hz, 1H), 7.31-7.37 (m, 2H), 7.69-7.75 (m, 2H), 9.80 (s, 1H), 12.90 (br, 1H); IR (Nujol) 3221, 2925, 2854, 1737, 1587, 1478, 1403, 1231 cm^{-1}.
Ih-2	mp 179-182 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.50-2.64 (m, 4H), 3.17 (s, 3H), 4.86 (s, 2H), 6.69 (dd, J = 2.1, 8.4 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.39-7.49 (m, 2H), 7.58-7.63 (m, 2H); IR (Nujol) 2926, 1725, 1592, 1492, 1476, 1347, 1237, 1149 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; F, 4.56; N, 6.73; S, 7.70 Found (%): C, 60.38; H, 5.07; F, 4.44; N, 6.73; S, 7.71
Ih-3	mp 198-202 °C; ^{1}H-NMR (d_6-DMSO) δ 1.64-1.86 (m, 4H), 2.44-2.60 (m, 4H), 4.80 (s, 4H), 6.64 (dd, J = 1.8, 8.7 Hz, 1H), 6.93 (d, J = 1.8 Hz, 1H), 7.16-7.30 (m, 6H), 7.40-7.49 (m, 2H), 7.68-7.78 (m, 2H); IR (Nujol) 2924, 2854, 1727, 1594, 1494, 1475, 1346, 1243 cm^{-1}; Elemental analysis ($C_{27}H_{25}FN_2O_4S$) Calcd. (%): C, 65.84; H, 5.12; F, 3.86; N, 5.69; S, 6.51 Found (%): C, 65.53; H, 5.11; F, 3.73; N, 5.63; S, 6.30

Table 66 (continued)

Compound No.	Physical properties
Ih-4	mp 180-183 °C; ^{1}H-NMR (d_6-DMSO) δ 1.07 (d, J = 6.9 Hz, 3H), 1.44 (m, 1H), 1.74-1.98 (m, 2H), 2.10 (m, 1H), 2.52-2.70 (m, 3H), 4.78 (s, 2H), 6.72 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 1.5 Hz, 1H), 7.17 (d, J = 8.4 Hz, 1H), 7.30-7.40 (m, 2H), 7.68-7.78 (m, 2H), 9.80 (br s, 1H), 12.91 (br, 1H); IR (Nujol) 3217, 2953, 2853, 2721, 1733, 1567, 1418, 1321, 1298, 1180, 1143 cm^{-1}; Elemental analysis ($C_{21}H_{21}FN_2O_4S$) Calcd. (%): C, 60.56; H, 5.08; F, 4.56; N, 6.73; S, 7.70 Found (%): C, 60.35; H, 5.09; F, 4.41; N, 6.66; S, 7.67
Ih-5	mp 100-101 °C; ^{1}H-NMR (d_6-DMSO) δ 1.07 (d, J = 6.9 Hz, 3H), 1.46 (m, 1H), 1.74-1.94 (m, 2H); 2.10 (m, 1H), 2.40-2.75 (m; 3H), 3.17 (s, 3H), 4.83 (s, 2H), 6.67 (dd, J = 2.1, 8.4 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.17 (d, J = 9.0 Hz, 1H), 7.38-7.48 (m, 2H), 7.55-7.63 (m, 2H), 12.90 (br, 1H); IR (Nujol) 3103, 3068, 2854, 2726, 1726, 1619, 1475, 1346, 1293, 1235, 1171 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$·0.2AcOEt) Calcd. (%): C, 61.11; H, 5.53; F, 4.24; N, 6.25; S, 7.16 Found (%): C, 60.90; H, 5.44; F, 4.01; N, 6.43; S, 7.38

Table 67

Compound No.	Physical properties
Ih-6	mp 176-178 °C; ^{1}H-NMR (d_6-DMSO) δ 1.06 (d, J = 6.9 Hz, 3H), 1.41 (m, 1H), 1.70-1.84 (m, 2H), 2.08 (m, 1H), 2.42-2.70 (m, 3H), 4.79 (s, 4H), 6.64 (dd, J = 2.1, 8.4 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.17 (d, J = 8.4 Hz, 1H), 7.14-7.30 (m, 5H), 7.40-7.50 (m, 2H), 7.66-7.76 (m, 2H), 12.99 (br, 1H); IR (Nujol) 3106, 2854, 1717, 1594, 1494, 1291, 1251, 1235, 1188, 1165, 1154 cm^{-1}; Elemental analysis ($C_{28}H_{27}FN_2O_4S$) Calcd. (%): C, 66.39; H, 5.37; F, 3.75; N, 5.53; S, 6.33 Found (%): C, 66.19; H, 5.36; F, 3.52; N, 5.43; S, 6.33
Ih-7	mp 205-210 °C; ^{1}H-NMR (d_6-DMSO) δ 1.85-1.91 (m, 4H), 2.40-2.75 (m, 4H), 3.20 (s, 3H), 4.86 (s, 2H), 6.61 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.22 (dd, J = 3.6, 5.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.45 (dd, J = 1.5, 3.6 Hz, 1H), 8.00 (dd, J = 1.5, 5.1 Hz, 1H), 12.85 (br, 1H); IR (Nujol) 3099, 3085, 2924, 2741, 2653, 2552, 1722, 1578, 1476, 1348, 1310, 1240, cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_4S_2$·0.2AcOEt) Calcd. (%): C, 56.42; H, 4.98; N, 6.93; S, 15.85 Found (%): C, 56.30; H, 5.24; N, 6.50; S, 14.88
Ih-8	mp 134-136 °C; ^{1}H-NMR (d_6-DMSO) δ 0.86 (t, J = 7.2 Hz, 3H), 1.30-1.46 (m, 2H), 1.58-1.70 (m, 2H), 1.72-1.90 (m, 4H), 2.54-2.66 (m, 4H), 3.03-3.12 (m, 2H), 3.26 (s, 3H), 4.87 (s, 2H), 7.07 (dd, J = 2.1, 8.7 Hz, 1H), 7.32 (d, J = 8.7 Hz, 1H), 7.38 (d, J = 2.1 Hz, 1H), 12.98 (br, 1H); IR (Nujol) 3042, 2923, 2739, 2650, 2549, 1723, 1583, 1411, 1385, 1323, 1234, 1213, 1136 cm^{-1}; Elemental analysis ($C_{19}H_{26}N_2O_4S$) Calcd. (%): C, 60.29; H, 6.92; N, 7.40; S, 8.46 Found (%): C, 60.41; H, 6.77; N, 7.37; S, 8.16
Ih-9	mp 222-225 °C; ^{1}H-NMR (d_6-DMSO) δ 1.66-1.88 (m, 4H), 2.40-2.64 (m, 4H), 4.81 (s, 4H), 6.68 (dd, J = 2.1, 8.7-Hz, 1H), 6.97 (d, J = 2.1 Hz, 1H), 7.12 (m, 7H), 7.57 (dd, J = 1.2, 3.6 Hz, 1H), 8.02 (dd, J = 1.2, 5.1 Hz, 1H), 12.97 (br, 1H); IR (Nujol) 3122, 2923, 2737, 2652, 2558, 1722, 1584, 1403, 1349, 1155 cm^{-1}.
Ih-10	mp 143-145 °C; ^{1}H-NMR (d_6-DMSO) δ 0.90 (t, J = 7.5 Hz, 3H), 1.34-1.50 (m, 2H), 1.66-1.89 (m, 6H), 2.50-2.60 (m, 4H), 3.11-3.22 (m, 2H), 4.81 (s, 2H), 4.88 (s, 2H), 6.99 (dd, J = 2.1, 8.7 Hz, 1H), 7.14-7.23 (m, 6H), 7.30 (d, J = 2.1 Hz, 1H), 12.99 (br, 1H); IR (Nujol) 3030, 2853, 2728, 2647, 1725, 1582, 1408, 1385, 1296, 1134 cm^{-1}; Elemental analysis ($C_{25}H_{30}N_2O_4S$) Calcd. (%): C, 66.05; H, 6.65; N, 6.16; S, 7.00 Found (%): C, 65.67; H, 6.40; N, 6.21; S, 6.95
Ih-11	mp 218-220 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.46-2.66 (m, 4H), 4.80 (s, 2H), 6.77 (dd, J = 1.8, 8.7 Hz, 1H), 7.06-7.10 (m, 2H), 7.20 (d, J = 8.7 Hz, 1H), 7.38 (dd, J = 2.1, 4.2 Hz, 1H), 7.82 (dd, J = 2.1, 5.4 Hz, 1H), 9.90 (br s, 1H), 12.96 (br, 1H); IR (Nujol) 3099, 2854, 2741, 2653, 2552, 1722, 1578, 1508, 1439, 1385, 1348, 1310, 1240, 1151 cm^{-1}; Elemental analysis ($C_{18}H_{18}N_2O_4S_2$·0.2AcOEt) Calcd. (%): C, 55.33; H, 4.84; N, 6.86; S, 15.71 Found (%): C, 55.14; H, 4.61; N, 7.05; S, 15.77

Table 68

Compound No.	Physical properties
Ih-12	mp 174-176 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.40-2.64 (m, 4H), 3.12 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.99 (d, J = 1.8 Hz, 1H), 7.08 (d, J = 9.0 Hz, 2H), 7.25 (d, J = 8.7 Hz, 1H), 7.45 (d, J = 9.0 Hz, 2H), 12.97 (br, 1H); IR (Nujol) 2925, 2746, 2662, 2563, 1727, 1709, 1595, 1474, 1380, 1350, 1246, 1149 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$) Calcd. (%): C, 61.67; H, 5.65; N, 6.54; S, 7.48 Found (%): C, 61.40; H, 5.69; N, 6.44; S, 7.22
Ih-13	mp 225-228 °C; ^{1}H-NMR (d_6-DMSO) δ 1.66-1.96 (m, 4H), 2.44-2.60 (m, 4H), 4.77 (s, 2H), 6.72 (dd, J = 2.1, 8.7 Hz, 1H), 6.99 (d, J = 9.0 Hz, 2H), 7.00 (d, J = 2.1 Hz, 1H), 7.14 (d, J = 8.7 Hz, 1H), 7.47 (d, J = 9.0 Hz, 2H), 9.51 (br s, 1H), 12.99 (br, 1H); IR (Nujol) 3456, 3289, 2924, 1720, 1590, 1284, 1260, 1145 cm^{-1}.
Ih-14	mp 189-194 °C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.86 (m, 4H), 2.44-2.60 (m, 4H), 3.76 (s, 3H), 4.78 (s, 2H), 6.73 (dd, J = 1.8, 8.7 Hz, 1H), 6.97 (m, 3H), 7.08 (d, J = 9.0 Hz, 2H), 7.60 (d, J = 8.7 Hz, 1H), 9.52 (br s, 1H), 12.92 (br, 1H); IR (Nujol) 3296, 3203, 2924, 1723, 1403, 1295, 1145 cm^{-1}; Elemental analysis ($C_{21}H_{22}N_2O_5S$·0.3AcOEt) Calcd. (%): C, 60.85; H, 5.35; N, 6.76; S, 7.74 Found (%): C, 60.47; H, 5.58; N, 6.35; S, 7.27
Ih-15	mp 114-118 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.44-2.66 (m, 4H), 3.10 (s, 3H), 4.84 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.87 (d, J = 9.0 Hz, 2H), 6.97 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 8.7 Hz, 1H), 7.34 (d, J = 9.0 Hz, 2H), 12.99 (br, 1H); IR (Nujol) 3376, 2924, 1728, 1586, 1499, 1376, 1329, 1283, 1226, 1147 cm^{-1}.
Ih-16	mp 182-185 °C; ^{1}H-NMR (d_6-DMSO) δ 0.98 (t, J = 9.9 Hz, 3H), 1.35-1.64 (m, 4H), 1.90-2.18 (m, 2H), 2.50-2.70 (m, 3H), 4.80 (s, 2H), 6.72 (dd, J = 2.1, 8.7 Hz, 1H), 7.04 (d, J = 1.8 Hz, 1H), 7.18 (d, J = 8.7 Hz, 1H), 7.32-7.38 (m, 2H), 7.70-7.75 (m, 2H), 9.83 (s, 1H); IR (Nujol) 3287, 2956, 2922, 2853, 1722, 1590, 1492, 1469, 1404, 1254, 1163, 1148 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$) Calcd. (%): C, 61.38; H, 5.39; F, 4.41; N, 6.51; S, 7.45 Found (%): C, 61.25; H, 5.36; F, 4.30; N,6.43; S, 7.19
Ih-17	mp 186-188 °C; ^{1}H-NMR (d_6-DMSO) δ 0.98 (t, J = 6.9 Hz, 3H), 1.37-1.64 (m, 4H), 1.92-2.18 (m, 2H), 2.50-2.70 (m, 3H), 3.77 (s, 3H), 4.79 (s, 2H), 6.74 (dd, J = 1.8, 8.7 Hz, 1H), 7.00-7.17 (m, 4H), 7.59-7.63.(m, 2H), 9.65 (s, 1H); IR (Nujol) 3223, 2924, 2853, 1727, 1594, 1260, 1143 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_5S$) Calcd. (%): C, 62.42; H, 5.93; N, 6.33; S, 7.11 Found (%): C, 62.21; H, 5.88; N,6.27; S, 7.11
Ih-18	mp 153-155 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (t, J = 7.2 Hz, 3H), 1.35-1.68 (m, 4H), 1.90-2.18 (m, 2H), 2.54-2.72 (m, 3H), 3.17 (s, 3H), 4.85 (s, 2H), 6.69 (dd, J = 2.1, 8.4 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.4 Hz, 1H), 7.38-7.45 (m, 2H), 7.57-7.62 (m, 2H); IR (Nujol) 2924, 1719, 1592, 1476, 1345, 1237, 1146 cm^{-1}; Elemental analysis ($C_{23}H_{25}FN_2O_4S$) Calcd. (%): C, 62.14; H, 5.67; F, 4.27; N, 6.30; S, 7.21 Found (%): C, 62.38; H, 5.84; F, 4.00; N,6.10; S, 6.83

Table 69

Compound No.	Physical properties
Ih-19	mp 119-122 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (t, J = 6.9 Hz, 3H), 1.37-1.70 (m, 4H), 1.92-2.18 (m, 2H), 2.50-2.74 (m, 3H), 3.13 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.69 (dd, J = 2.1, 8.4 Hz, 1H), 6.99 (d, J = 2.1 Hz, 1H), 7.07-7.10 (m, 2H), 7.24 (d, J = 8.4 Hz, 1H), 7.44-7.48 (m, 2H); IR (Nujol) 2925, 1719, 1597, 1579, 1476, 1342, 1245, 1150cm^{-1}; Elemental analysis ($C_{24}H_{28}N_2O_5S$) Calcd. (%): C, 63.14; H, 6.18; N, 6.14; S, 7.02 Found (%): C, 63.30; H, 6.38; N, 5.94; S, 6.61
Ih-20	mp 193.200°C; ^{1}H-NMR (d_6-DMSO) δ 1.65-1.86 (m, 4H), 2.46-2.60 (m, 4H), 4.78 (s, 2H), 6.73 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.16 (d, J = 8.7 Hz, 1H), 7.45- 7.60 (m, 3H), 7.65-7.72 (m, 2H), 9.78 (s, 1H), 12.93(brs, 1H); IR (Nujol) 3206, 1765, 1735, 1584, 1478, 1459, 1448, 1435, 1398, 1366, 1349, 1334, 1309, 1282, 1265, 1236, 1204, 1147 cm^{-1}; Elemental analysis ($C_{20}H_{20}N_2O_4S$) Calcd. (%): C, 62.48; H, 5.24; N, 7.29; S, 8.34 Found (%): C, 62.29; H, 5.23; N, 7.18; S, 8.24

Table 69 (continued)

Compound No.	Physical properties
Ih-21	mp 182-190°C; ^{1}H-NMR (d_6-DMSO) δ 1.67-1.87 (m, 4H), 2.30 (s, 3H), 2.46-2.60 (m, 4H), 4.78 (s, 2H), 6.73 (dd, J = 2.1, 8.7 Hz, 1H), 7.04 (d, J = 2.1 Hz, 1H), 7.15 (d, J = 8.7 Hz, 1H), 7.28 (d, J = 8.4 Hz, 2H), 7.56 (d, J = 8.4 Hz, 2H), 9.71 (s, 1H), 12.93 (br s, 1H); IR (Nujol) 3222, 3114, 3062, 1756, 1738, 1596, 1478, 1456, 1417, 1404, 1381, 1365, 1346, 1322, 1303, 1291, 1280, 1260, 1194, 1146 cm^{-1}; Elemental analysis ($C_{21}H_{22}N_2O_4S$) Calcd. (%): C, 63.30; H, 5.56; N, 7.03; S, 8.05 Found (%): C, 63.21; H, 5.53; N, 6.99; S, 7.98
Ih-22	mp 199-205°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.88 (m, 4H), 2.44-2.64 (m, 4H), 3.16 (s, 3H), 4.86 (s, 2H), 6.67 (dd, J = 2.1, 8.7 Hz, 1H), 6.97 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.51-7.62 (m, 4H), 7.70 (m, 1H), 12.99 (br s, 1H); IR (Nujol) 3063, 2743, 2653, 2552, 2454, 1721, 1738, 1615, 1579, 1476, 1440, 1425, 1411, 1387, 1364, 1345, 1332, 1309 1290, 1266, 1240, 1213, 1190, 1173 1157 cm^{-1}; Elemental analysis ($C_{21}H_{22}N_2O_4S$) Calcd. (%): C, 63.30; H, 5.56; N, 7.03; S, 8.05 Found (%): C, 63.16; H, 5.48; N, 6.95 S, 7.83
Ih-23	mp 182-188°C;^{1}H-NMR (d_6-DMSO) δ 1.68-1.89 (m, 4H), 2.40 (s, 3H), 2.45-2.64 (m, 4H), 3.14 (s, 3H), 4.86 (s, 2H), 6.66 (dd, J = 2.1, 8.7 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 8.7 Hz, 1H), 7.38 (d, J = 8.4 Hz, 2H), 7.43 (d, J = 8.4 Hz, 2H), 12.97 (br s, 1H); IR (Nujol) 2655, 2553, 1712, 1619, 1597, 1477, 1407, 1383, 1365, 1343, 1306, 1290, 1267, 1243, 1213, 1185, 1168, 1148, 1121, 1110 cm^{-1}; Elemental analysis ($C_{22}H_{24}N_2O_4S$) Calcd. (%): C, 64.06; H, 5.86; N, 6.79; S, 7.77 Found (%): C, 64.14; H, 5.84; N, 6.73; S, 7.61

Table 70

Compound No.	Physical properties
Ih-24	Mp 240-250°C; ^{1}H-NMR (d_6-DMSO) δ 1.71-1.90 (m, 4H), 2.54-2.66 (m, 4H), 3.25 (s, 3H), 4.47 (s, 2H), 4.88 (s, 2H), 6.99 (dd, J = 1.8, 8.4 Hz, 1H), 7.18 (d, J = 1.8 Hz, 1H), 7.31 (d, J = 8.4 Hz, 1H), 7.34-7.42 (m, 5H), 12.99 (br s, 1H); IR (Nujol) 2657, 2557, 1710, 1620, 1603, 1582, 1496, 1479, 1456, 1440, 1412, 1383, 1340, 1311, 1289, 1269, 1250, 1217, 1190, 1173, 1160, 1140 cm^{-1}; Elemental analysis ($C_{22}H_{24}N_2O_4S$·0.2AcOEt) Calcd. (%): C, 63.67; H, 6.00; N, 6.51; S, 7.45 Found (%): C, 63.75; H, 5.96; N, 6.51; S, 7.38
Ih-25	mp 218-226°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.90 (m, 4H), 2.50-2.65 (m, 4H), 3.25 (s, 3H), 4.86 (s, 2H), 7.02 (dd; J =1.8, 8.4 Hz, 1H), 7.24 (d, J = 15.3 Hz, 1H), 7.29-7.47 (m, 5H), 7.37 (d, J = 15.3 Hz, 1H), 7.69-7.77 (m, 2H), 12.95 (br s, 1H); 1R (Nujol) 3057, 2662, 2568, 1721, 1616, 1578, 1478, 1451, 1410, 1385, 1338, 1307, 1290, 1253, 1212, 1179, 1166, 1154, 1133 cm^{-1}; Elemental analysis ($C_{23}H_{24}N_2O_4S$·0.2AcOEt) Calcd. (%): C, 64.65; H, 5.84; N, 6.34; S, 7.25 Found (%): C, 6.45; H, 5.80; N, 6.36; S, 7.26
Ih-26	mp 114-118 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.44-2.66 (m, 4H), 3.10 (s, 3H), 4.84 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.87 (d, J = 9.0 Hz, 2H), 6.97 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 8.7 Hz, 1H), 7.34 (d, J = 9.0 Hz, 2H), 12.99 (br, 1H); IR (Nujol) 3376, 2924, 1728, 1586, 1499, 1376, 1329, 1283, 1226, 1147 cm^{-1}
Ih-27	mp 165-168 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (s, 6H), 1:52-1.62 (m, 2H), 2.31 (s, 2H), 2.50-2.60 (m, 2H), 4.80 (s, 2H), 6.71 (dd, J = 1.8, 8.7 Hz, 1H), 7.00 (d, J = 1.8 Hz, 1H), 7.25 (d, J = 8.7 Hz, 1H), 7.30-7.39 (m, 2H), 7.68-7.76 (m, 2H), 9. 82 (br s, 1H), 12.91 (br, 1H); IR (Nujol) 3252, 2925, 1752, 1590, 1467, 1291, 1233, 1146 cm^{-1}; Elemental analysis ($C_{22}H_{23}N_2FO_4S$) Calcd. (%): C, 61.38; H, 5.39; F, 4.41; N, 6.51; S, 7.49 Found (%): C, 61.42; H, 5.57; F, 4.20; N, 6.70; S, 7.17
Ih-28	mp 122-125 °C; ^{1}H-NMR (d_6-DMSO) δ 0.98 (s, 6H), 1.54-1.64 (m, 2H), 2.33 (s, 2H), 2.52-2.60 (m, 2H), 4.82 (s, 2H), 6.77 (dd, J = 1.8, 8.7 Hz, 1H), 7.04-7.10 (m, 2H), 7.21 (d, J = 8.7 Hz, 1H), 7.40 (dd, J = 1.5; 3.6 Hz, 1H), 7.82 (dd, J = 1.5, 4.8 Hz, 1H), 9.93 (br s, 1H), 12.90 (br, 1H); IR (Nujol) 3250, 3112, 2923, 2666, 1709, 1474, 1411, 1251, 1159 cm^{-1}

Table 70 (continued)

Compound No.	Physical properties
Ih-29	mp 155-160°C; ^{1}H-NMR (d_6-DMSO) δ 1.72-1.93 (m, 4H), 2.54-2.66 (m, 4H), 4.30 (s, 2H), 4.86 (s, 2H), 6.96 (dd, J = 2.1, 9.0 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 7.25-7.40 (m, 6H), 9.40 (s, 1H), 12.95 (br s, 1H); IR (Nujol) 3568, 3438, 3349, 3195, 3060, 2728, 2537, 1728, 1713, 1625, 1583, 1469, 1456, 1438, 1427, 1411, 1378, 1350, 1318, 1279, 1257, 1243, 1217, 1192, 1172, 1146, 1130 cm^{-1}; Elemental analysis ($C_{21}H_{22}N_2O_4S$) Calcd. (%): C, 63.30; H, 5.56; N, 7.03; S, 8.05 Found (%): C, 63.00; H, 5.75; N, 6.91; S, 7.88

Table 71

Compound No.	Physical properties
Ih-30	Mp 208-213°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.88 (m, 4H), 2.50-2.62 (m, 4H), 4.80 (s, 2H), 6.92 (dd, J = 1.8, 8.7 Hz, 1H), 7.15 (d, J = 15.3 Hz, 1H), 7.20 (d, J = 1.8 Hz, 1H), 7.23 (d, J = 8.7 Hz, 1H), 7.27 (d, J = 15.3 Hz, 1H), 7.33-7.42 (m, 3H), 7.58-7.66 (m, 2H), 9.53 (s, 1H), 12.92 (brs, 1H); IR (Nujol) 3279, 3259, 3241, 3044, 3023, 2652, 2550, 2362, 1729, 1713, 1618, 1589, 1576, 1490, 1469, 1449, 1430, 1412, 1403; 1384, 1351, 1318, 1308, 1275, 1260, 1237, 1214; 1198, 1175, 1136 cm^{-1}; Elemental analysis ($C_{22}H_{22}N_2O_4S$) Calcd. (%): C, 64.37; H, 5.40; N, 6.82; S, 7.81 Found (%): C, 64.28; H, 5.50; N, 6.78; S, 7.56
Ih-31	mp 112-115 °C; ^{1}H-NMR (d_6-DMSO) δ 0.99 (s, 6H), 1.54-1.66 (m, 2H), 2.34 (s, 2H), 2.56-2.66 (m, 2H), 3.16 (s, 3H), 4.87 (s, 2H), 6.67 (dd, J = 2.1, 8.7 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.36-7.46 (m, 2H), 7.57-7.66 (m, 2H), 12.95 (br, 1H); IR (Nujol) 2915, 1725, 1709, 1475, 1345, 1308, 1291, 1239, 1166 cm^{-1}.
Ih-32	mp 180-184 °C; ^{1}H-NMR (d_6-DMSO) δ 0.99 (s, 6H), 1.56-1.64 (m, 2H), 2.33 (s, 2H), 2.54-2.66 (m, 2H), 3.21 (s, 3H), 3.84 (s, 3H), 4.87 (s, 2H), 6.67 (dd, J = 2.1, 8.7 Hz, 1H), 6.97 (d, J = 1.5 Hz, 1H), 7.08 (d, J = 9.0 Hz, 2H), 7.26 (d, J = 9.0 Hz, 1H), 7.46 (d, J = 9.0 Hz, 2H), 12.97 (br, 1H); IR (Nujol) 3084, 2923, 2675, 2563, 1734, 1712, 1584, 1474, 1347, 1253, 1159 cm^{-1}; Elemental analysis ($C_{24}H_{28}N_2O_5S$) Calcd. (%): C, 63.14; H, 6.18; N, 6.14; S, 7.05 Found (%): C, 62.92; H, 5.98; N, 6.09; S, 6.76
Ih-33	mp 174-180 °C; ^{1}H-NMR (d_6-DMSO) δ 0.99 (s, 6H), 1.57-1.65 (m, 2H), 2.35 (s, 2H), 2.54-2.65 (m, 2H), 3.20 (s, 3H), 4.87 (s, 2H), 6.71 (dd, J = 2.1, 9.0 Hz, 1H), 7.03 (d, J = 2.1 Hz, 1H), 7.21-7.32 (m, 2H), 7.45 (dd, J = 1.5, 3.6 Hz, 1H), 8.00 (dd, J = 1.2, 2.1 Hz, 1H), 12.98 (br, 1H); IR (Nujol) 2923, 2745, 2657, 2560, 1731, 1597, 1579, 1474, 1335, 1308, 1265, 1240; 1147 cm^{-1}; Elemental analysis ($C_{21}H_{24}N_2O_4S_2 \cdot 0.2$AcOEt) Calcd. (%): C, 58.31; H, 5.59; N, 6.48; S, 14.83 Found (%): C, 58.16; H, 5.73; N, 6.22; S, 14.25
Ih-34	mp 146-149 °C; ^{1}H-NMR (d_6-DMSO) δ 1.90-2.18 (m, 2H), 2.57-3.02 (m, 5H), 4.84 (s, 2H), 6.75 (dd, J = 2.1, 8.7 Hz, 1H), 7.22-7.39 (m, 8H), 7.69-7.73 (m, 2H), 9.84 (s, 1H), 13.00 (br, 1H); IR (Nujol) 3208, 2925, 2854, 1729, 1592, 1495, 1286, 1240, 1197, 1156, 1146 cm^{-1}; Elemental analysis ($C_{26}H_{23}FN_2O_4S \cdot 0.3H_2O$) Calcd. (%): C, 64.53; H, 4.92; F, 3.93; N, 5.79; S, 6.63 Found (%): C, 64.52; H, 4.55; F, 3.82; N,5.75; S, 6.48
Ih-35	mp 152-155 °C; ^{1}H-NMR (d_6-DMSO) δ 1.90-2.19 (m, 2H), 2.53-3.02 (m, 5H), 3.76 (s, 3H), 4:83 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.98-7.38 (m, 9H), 7.59-7.62 (m, 2H), 9.66 (s, 1H), 13.00 (br, 1H); IR (Nujol) 3305, 2924, 2853, 1716, 1594, 1474, 1258, 1152 cm^{-1}; Elemental analysis ($C_{27}H_{26}N_2O_5S \cdot 0.3H_2O$) Calcd. (%): C, 65.53; H, 5.41; N, 5.65; S, 6.47 Found (%): C, 65.53; H, 5.28; N,5.38; S, 6.12

Table 72

Compound No.	Physical properties
Ih-36	mp 204-206 °C; ^{1}H-NMR (d_6-DMSO) δ 1.95-2.18 (m, 2H), 2.54-3.02 (m, 5H), 3.16 (s, 3H), 4.90 (s, 2H), 6.72 (dd, J = 2.1, 9.0 Hz, 1H), 7.04 (d, J = 2.1 Hz, 1H), 7.20-7.61 (m, 10H); IR (Nujol) 2925, 2854, 1726, 1589, 1476, 1346, 1336, 1254, 1224, 1148 cm^{-1}; Elemental analysis ($C_{27}H_{25}FN_2O_4S$) Calcd. (%): C, 65.84; H, 5.12; F, 3.86; N, 5.69; S, 6.513 Found (%): C, 65.542; H, 4.94; F, 3.87; N,5.61; S, 6.48
Ih-37	mp 121-124 °C; ^{1}H-NMR (d_6-DMSO) δ 1.94-2.19 (m, 2H), 2.52-3.03 (m, 5H), 3.12 (s, 3H), 3.81 (s, 3H), 4.89 (s, 2H), 6.72 (d, J = 8.7 Hz, 1H), 6.99 (s, 1H), 7.06-7.09 (m, 2H), 7.20-7.47 (m, 8H); IR (Nujol) 2924, 2853, 1741, 1689, 1596, 1480, 1458, 1340, 1259, 1197, 1180, 1162, 1150 cm^{-1}
Ih-38	mp 168-172 °C;^{1}H-NMR (d_6-DMSO) δ 0.81 (t, J = 7.8 Hz, 6H), 1.21-1.40 (m, 4H), 1.60 (t, J = 6.0 Hz, 2H), 2.28 (s, 2H), 2.50 (m, 2H), 3.76 (s, 3H), 4.78. (s, 2H), 6.73 (dd, J = 2.1, 8.7 Hz, 1H), 6.97-7.03 (m, 3H), 7.15 (d, J = 8.7 Hz, 1H), 7.57-7.62 (m, 2H), 9.62 (s, 1H), 12.95 (br, 1H); IR (Nujol) 3303, 2924, 2853, 1719, 1598, 1498, 1486, 1469, 1404, 1254, 1155 cm^{-1}; Elemental analysis ($C_{25}H_{30}N_2O_5S$) Calcd. (%): C, 63.81; H, 6.43; N, 5.95; S, 6.65 Found (%): C, 63.54; H, 6.31; N,5.90; S, 6.65
Ih-39	mp 188-197°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.88 (m, 4H), 2.46-2.62 (m, 4H), 4.79 (s, 2H), 6.71 (dd, J = 1.8, 8.7 Hz, 1H), 7.03 (d, J = 1.8 Hz, 1H), 7.18 (d, J = 8.7 Hz, 1H), 7.58 (d, J = 8.7 Hz, 2H), 7.66 (d, J = 8.7 Hz, 2H), 9.87 (s, 1H), 12.90 (brs, 1H); IR (Nujol) 3208, 3084, 1755, 1736, 1581, 1474, 1419, 1404, 1381, 1365, 1348, 1333, 1384, 1322, 1304, 1279, 1261, 1198, 1154 cm^{-1}; Elemental analysis ($C_{20}H_{19}ClN_2O_4S$) Calcd. (%): C, 57.34; H, 4.57; Cl, 8.46; N, 6.69; S, 7.65 Found (%): C, 57.28; H, 4.75; Cl, 7.94; N, 6.86; S, 7.39
Ih-40	mp 170-175°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.87 (m, 4H), 2.43-2.60 (m, 4H), 4.79 (s, 2H), 6.73 (dd, J = 1.8, 8.7 Hz, 1H), 7.02 (d, J = 1.8 Hz, 1H), 7.14 (d, J = 8.7 Hz, 1H), 7.87 (d, J = 8.7 Hz, 2H), 7.92 (d, J = 8.7 Hz, 2H), 10.03 (s, 1H), 12.91 (brs, 1H); IR (Nujol) 3216, 3046, 1754, 1738, 1605, 1593, 1472, 1423, 1405, 1380, 1366, 1340, 1325, 1306, 1294, 1282, 1265, 1216, 1194, 1173, 1154, 1110 cm^{-1}; Elemental analysis ($C_{21}H_{19}F_3N_2O_4S$) Calcd. (%): C, 55.75; H, 4.23; F, 12.60; N, 6.19; S, 7.09 Found (%): C, 55.94; H, 4.46; F, 12.31; N, 6.46; S, 6.94
Ih-41	mp 162-165°C; ^{1}H-NMR. (d_6-DMSO) δ 1.68-1.89 (m, 4H), 2.46-2.65 (m, 4H), 3.17 (s, 3H), 4.86 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.53 (d, J = 8.7 Hz, 2H), 7.66 (d, J = 8.7 Hz, 2H), 12.90 (brs, 1H); IR (Nujol) 3095, 2743, 2656, 2556, 1729, 1711, 1584, 1476, 1444, 1427, 1411, 1385, 1346, 1310, 1280, 1267, 1242, 1213, 1189, 1173, 1156 cm^{-1}; Elemental analysis ($C_{21}H_{21}ClN_2O_4S$·0.05AcOEt) Calcd. (%): C, 58.22; H, 4.93; Cl, 8.11; N, 6.41; S, 7.33 Found (%): C, 58.32; H, 4.94; Cl, 7.85; N, 6.68; S, 7.30

Table 73

Compound No.	Physical properties
Ih-42	mp 181-188°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.88 (m, 4H), 2.44-2.64 (m, 4H), 3.21 (s, 3H), 4.87 (s, 2H), 6.70 (dd, J = 1.8, 8.7 Hz, 1H), 6.99 (d, J = 1.8 Hz, 1H), 7.29 (d, J = 8.7 Hz, 1H), 7.56 (d, J = 8.4 Hz, 2H), 7.97 (d, J = 8.4 Hz, 2H), 12.99 (brs, 1H); IR (Nujol) 3111, 3085, 3053, 2739, 2653, 2552, 1733, 1712, 1609, 1582, 1477, 1447, 1426, 1408, 1386, 1366, 1348, 1327, 1311, 1281, 1267, 1239, 1214, 1191, 1174, 1158, 1128, 1110 cm^{-1}; Elemental analysis ($C_{22}H_{21}F_3N_2O_4S$) Calcd. (%): C, 56.65; H, 4.54; F, 12.22; N, 6.01; S, 6.87 Found (%): C, 56.77; H, 4.62; F, 11.93; N, 6.30; S, 6.88
Ih-43	mp 227-232°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.88 (m, 4H), 2.46-2.64 (m, 4H), 3.18 (s, 3H), 4.86 (s, 2H), 6.71 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.11 (dd, J = 1.5, 5.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.77 (dd, J = 3.0, 5.1 Hz, 1H), 8.03 (dd, J = 1.5, 3.0 Hz, 1H), 12.99 (brs, 1H); IR (Nujol) 3120, 3086, 2742, 2651, 2552, 2455, 1721, 1615, 1577, 1499, 1475, 1439, 1425, 1410, 1386, 1362, 1342, 1309, 1267, 1240, 1209, 1190, 1174, 1152, 1101 cm^{-1}; Elemental analysis ($C_{19}H_{20}N_2O_4S_2$) Calcd. (%): C, 56.42 H, 4.98; N, 6.93; S, 15.85 Found (%): C, 56.15; H, 4.93; N, 6.87; S, 15.71

Table 73 (continued)

Compound No.	Physical properties
Ih-44	mp 164-168°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.88 (m, 4H), 2.44-2.64 (m, 4H), 3.21 (s, 3H), 4.87 (s, 2H), 6.70 (dd, J = 1.8, 8.7 Hz, 1H), 6.99 (d, J = 1.8 Hz, 1H), 7.29 (d, J = 8.7 Hz, 1H), 7.56 (d, J = 8.4 Hz, 2H), 7.97 (d, J = 8.4 Hz, 2H), 12.99 (brs, 1H); IR (Nujol) 3016, 2745, 2658, 2560, 1721, 1591, 1581,1477, 1446, 1427, 1414, 1389, 1351, 1334, 1310, 1293, 1264, 1246, 1212, 1190, 1162, 1102 cm^{-1}; Elemental analysis ($C_{22}H_{21}F_3N_2O_5S$) Calcd. (%): C, 54.77; H, 4.39; F, 11.81; N, 5.81; S, 6.65 Found (%): C, 54.69; H, 4.28; F, 11.56; N, 5.82; S, 6.59
Ih-45	mp 97-100 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.5 Hz, 6H), 1.21-1.40 (m, 4H), 1.63 (t, J = 6.0 Hz, 2H), 2.31 (s, 2H), 2.55 (br t, 2H), 3.17 (s, 3H), 4.85 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.38-7.62 (m, 4H); IR (Nujol) 2956, 2854, 1738, 1699, 1591, 1495, 1475, 1331, 1236, 1196, 1151 cm^{-1}.
Ih-46	mp 103-105 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.2 Hz, 6H), 1.20-1.40 (m, 4H), 1.63 (br t, 2H), 2.30 (s, 2H), 2.55 (br t, 2H), 3.13 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.68 (dd, J = 2.1,8.7 Hz, 1H), 6.95 (d, J = 2.1 Hz, 1H), 7.07-7.10 (m, 2H), 7.24 (d, J = 8.7 Hz, 1H), 7.44-7.48 (m, 2H); IR (Nujol) 2925, 2854, 1758, 17.39, 1683, 1599, 1474, 1338, 1264, 1182, 1164, 1153 cm^{-1}.
Ih-47	Mp 160-163 °C; ^{1}H-NMR (d_6-DMSO) δ 1.24-1.57 (m, 10H), 1.66 (t, J = 6.0 Hz, 2H), 2.36 (s, 2H), 2.53 (br t, 2H), 4.78 (s, 2H), 6.71 (dd, J = 2.1, 8.7 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.16 (d, J = 8.7 Hz, 1H), 7.31-7.37 (m, 2H), 7.70-7.75 (m, 2H), 9.79 (s, 1H), 12.9 (br, 1H); IR (Nujol) 3297, 3278, 2919, 2854, 1746, 1720, 1590, 1468, 1251, 1162, 1151 cm^{-1}.

Table 74

Compound No.	Physical properties
Ih-48	mp 201-204 °C; ^{1}H-NMR (d_6-DMSO) δ 1.24-1.59 (m, 10H), 1.66 (t, J = 6.0 Hz, 2H), 2.36 (s, 2H), 2.53 (br t, 2H), 3.77 (s, 3H), 4.78 (s, 2H), 6.73 (dd, J = 1.8, 8.7 Hz, 1H), 6.99-7.16 (m, 3H), 7.15 (d, J = 8.7 Hz, 1H), 7.59-7.63 (m, 2H), 9.62 (s, 1H), 12.5 (br, 1H); IR (Nujol) 3249, 2924, 2853, 1737, 1595, 1470, 1329, 1262, 1154 cm^{-1}.
Ih-49	mp 198-205°C; ^{1}H-NMR (d_6-DMSO) δ 1.68-1.86 (m, 4H), 2.46-2.60 (m, 4H), 4.80 (s, 2H), 6.76 (dd, J = 1.8, 8.7 Hz, 1H), 7.03 (d, J = 1.8 Hz, 1H), 7.18 (d, J = 8.7 Hz, 1H), 7.22 (dd, J = 1.5, 5.1 Hz, 1H), 7.66 (dd, J = 3.0, 5.1 Hz, 1H), 7.96 (dd, J = 1.5, 3.0 Hz, 1H), 9.71 (s, 1H), 12.93 (brs, 1H); IR (Nujol) 3205, 3103, 1735, 1478, 1459, 1435, 1401, 1365, 1349, 1333, 1312, 1281, 1264, 1206, 1146 cm^{-1}; Elemental analysis ($C_{18}H_{18}N_2O_4S_2$) Calcd. (%): C, 55.37; H, 4.65; N, 7.17; S, 16.42 Found (%): C, 55.22; H, 4.56; N, 7.04; S, 16.15
Ih-50	mp 177-181 °C; ^{1}H-NMR (d_6-DMSO) δ 0.91 (t, J = 6.9 Hz, 3H), 1.30-1.50 (m, 6H), 1.90-2.16 (m, 2H), 2.46-2.70 (m, 3H), 4.77 (s, 2H), 6.71 (dd, J = 2.1, 8.7 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.15 (d, J = 8.7 Hz, 1H), 7.30-7.38 (m, 2H), 7.67-7.75 (m, 2H), 9.81 (br s, 1H); IR (Nujol) 3294, 3102, 3069, 3032, 2919, 2667, 2573, 1722, 1590, 1470, 1403, 1341, 1293, 1254 cm^{-1}
Ih-51	mp 112-115 °C; ^{1}H-NMR (d_6-DMSO) δ 0.96 (s, 9H), 1.21-1.48 (m, 2H), 2.02-2.30 (m, 2H), 2.42-2.78 (m, 3H), 4.77 (s, 2H), 6.70 (dd, J = 1.8, 8.7 Hz, 1H), 7.05 (d, J = 1.8 Hz, 1H), 7.15 (d, J = 8.7 Hz, 1H), 7.30-7.40 (m, 2H), 7.68-7.77 (m, 2H), 9.80 (br s, 1H) ; IR (Nujol) 3249, 2923, 2662, 1711, 1591, 1495, 1477, 1414, 1339, 1295, 1241, 1194, 1156 cm^{-1}.
Ih-52	mp 168-171 °C; ^{1}H-NMR (d_6-DMSO) δ 0.92 (t, J = 6.6 Hz, 3H), 1.32-1.52 (m, 6H), 1.90-2.16 (m, 2H), 2.46-2.76 (m, 3H), 3.76 (s, 3H), 4.74 (s, 2H), 6.72 (dd, J = 2.1, 8.7 Hz, 1H), 6.96-7.06 (m, 3H), 7.13 (d, J = 8.7 Hz, 1H), 7.60 (d, J = 9.0 Hz, 2H), 9.61 (s, 1H) ; IR (Nujol) 3302, 3017, 2923, 2669, 2563, 1720, 1594, 1471, 1402, 1336, 1253, 1193 cm^{-1}
Ih-53	mp 179-181 °C; ^{1}H-NMR (d_6-DMSO) δ 0.96 (s, 9H), 1.21-1.50 (m, 2H), 2.00-2.30 (m, 2H), 2.40-2.78 (m, 3H), 3.76 (s, 3H), 4.77 (s, 2H), 6.72 (dd, J = 1.8, 8.7 Hz, 1H), 6.96-7.08 (m, 3H), 7.12 (d, J = 8.7 Hz, 1H), 7.59 (d, J = 8.7 Hz, 2H), 9.61 (br s, 1H) ; IR (Nujol) 3665, 3297, 2923, 2666, 2570, 1718, 1594, 1470, 1403, 1254, 1194 cm^{-1}.

Table 74 (continued)

Compound No.	Physical properties
Ih-54	mp 151-158°C; ^{1}H-NMR (d_6-DMSO) δ 1.67-1.86 (m, 4H), 2.44-2.61 (m, 4H), 4.79 (s, 2H), 6.73 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.19 (d, J = 8.7 Hz, 1H), 7.51 (d, J = 8.7 Hz, 2H), 7.78 (d, J = 8.7 Hz, 2H), 9.89 (s, 1H), 12.93 (brs, 1H); IR (Nujol) 3287, 3104, 3038, 1720, 1592, 1487,1473, 1411, 1399, 1376, 1366, 1355, 1336, 1292, 1247, 1209, 1170, 1152 cm^{-1}.

Table 75

Compound No.	Physical properties
Ih-55	mp 155-158 °C; ^{1}H-NMR (d_6-DMSO) δ 1.23-1.53 (m, 10H), 1.68 (t, J = 6.0 Hz, 2H), 2.39 (s, 2H), 2.56 (br t, 2H), 3.17 (s, 3H), 4.86 (s, 2H), 6.68 (dd, J = 2.1, 9.0 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 9.0 Hz, 1H), 7.38-7.63 (m, 4H); IR (Nujol) 2917, 2854, 1725, 1590, 1478, 1342, 1233, 1146 cm^{-1}; Elemental analysis ($C_{26}H_{29}FN_2O_4S$) Calcd. (%): C, 64.44; H, 6.03; F, 3.92; N, 5.78; S, 6.62 Found (%): C, 64.12; H, 6.16; F, 3.59; N, 5.52; S, 6.18
Ih-56	mp 132-135 °C; ^{1}H-NMR (d_6-DMSO) δ 1.22-1.54(m, 10H), 1.66 (t, J = 6.0 Hz, 2H), 2.38 (s, 2H), 2.56 (br t, 2H), 3.13 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.07-7.11 (m, 2H), 7.25 (d, J = 8.7 Hz, 1H), 7.45-7.49 (m, 2H); IR (Nujol) 2917, 2854, 1725, 1597, 1496, 1477, 1338, 1255, 1236, 1148 cm^{-1}
Ih-57	mp 161-163 °C; ^{1}H-NMR (d_6-DMSO) δ 0.92 (t, J = 6.9 Hz, 3H), 1.22-1.50 (m, 5H), 1.62-1.78 (m, 1H), 1.90-2.18 (m, 2H), 2.46-2.74 (m, 3H), 3.17 (s, 3H), 4.84 (s, 2H), 6.69 (dd, J = 2.1, 8.7 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.38-7.48 (m, 2H), 7.56-7.64 (m, 2H) ; IR (Nujol) 2924, 2746, 2657, 2561, 1716, 1591, 1476, 1347, 1307, 1289, 1242, 1148 cm^{-1}; Elemental analysis ($C_{24}H_{27}N_2FO_4S$) Calcd. (%): C, 62.86; H, 5.93; F, 4.14; N, 6.11; S, 6.99 Found (%): C, 62.92; H, 6.09; F, 3.93; N, 6.20; S, 6.69
Ih-58	mp 162-164 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (s, 9H), 1.28-1.58 (m, 2H), 2.02-2.30 (m, 2H), 2.42-2.80 (m, 3H), 3.17 (s, 3H), 4.84 (s, 2H), 6.67 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 8.7 Hz, 1H), 7.36-7.46 (m, 2H), 7.56-7.64 (m, 2H), 12.98 (br, 1H) ; IR (Nujol) 3100, 3080, 3049, 2924, 2743, 2633, 1590, 1437, 1412, 1344, 1235, 1169, 1145 cm^{-1}
In-59	mp 152-155 °C; ^{1}H-NMR (d_6-DMSO) δ 0.92 (t, J = 6.9 Hz, 3H), 1.30-1.54 (m, 6H), 1.90-2.16 (m, 2H), 2.46-2.76 (m, 3H), 3.12 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.97 (d, J = 2.1 Hz, 1H), 7.09 (d, J = 7.5 Hz, 1H), 7.24 (d, J = 8.7 Hz, 2H), 7.45 (d, J = 8.7 Hz, 2H), 13.00 (br s, 1H); IR (Nujol) 2952, 2752, 2665, 2569, 1729, 1598, 1576, 1499, 1476, 1345, 1308, 1246, 1153cm^{-1}; Elemental analysis ($C_{25}H_{30}N_2O_5S$) Calcd. (%): C, 63.81; H, 6.43; N, 5.95; S, 6.81 Found (%): C, 63.72; H, 6.38; N, 6.00; S, 6.68
Ih-60	mp 140-144°C; ^{1}H-NMR (d_6-DMSO) δ 0.97(s, 9H), 1.24-1.50 (m, 2H), 2.00-2.30 (m, 2H), 2.40-2.78 (m, 3H), 3.13 (s, 3H), 3.84 (s, 3H), 4.84 (s, 2H), 6.68 (dd, J = 2.1, 8.4 Hz, 1H), 6.99 (d, J = 2.1 Hz, 1H), 7.09 (d, J = 9.0 Hz, 2H), 7.22 (d, J = 8.4 Hz, 1H), 7.46 (d, J = 8.7 Hz, 2H), 13.00 (br, 1H) ; IR (Nujol) 2924, 2746, 2641, 2559, 1717, 1596, 1578, 1476, 1341, 1241, cm^{-1}; Elemental analysis ($C_{26}H_{32}N_2O_5S$) Calcd. (%): C, 64.44; H, 6.66; N, 5.78; S, 6.66 Found (%): C, 64.23; H, 6.78; N, 5.90; S, 6.36

Table 76

Compound No.	Physical properties
Ih-61	mp 169-171 °C; ^{1}H-NMR (d_6-DMSO) δ 0.89 (t, J = 6.9 Hz, 3H), 1.20-2.19 (m, 12H), 2.57-2.76 (m, 3H), 3.17 (s, 3H), 4.85 (s, 2H), 6.70 (dd, J = 2.1, 8.4 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.4 Hz, 1H), 7.38-7.46 (m, 2H), 7.57-7.62 (m, 2H), 13.00 (br s, 1H); IR (Nujol) 2920, 2854, 1720, 1591, 1476, 1346, 1240, 1146 cm^{-1}; Elemental analysis ($C_{26}H_{31}FN_2O_4S$) Calcd. (%): C, 64.18; H, 6.42; F, 3.90; N, 5.76; S, 6.59 Found (%): C, 64.20; H, 6.46; F, 3.66; N, 5.80; S, 6.52

Table 76 (continued)

Compound No.	Physical properties
Ih-62	mp 157-160 °C; ^{1}H-NMR (d_6-DMSO) δ 0.89 (t, J = 6.9 Hz, 3H), 1.26-2.19 (m, 12H), 2.54-2.76 (m, 3H), 3.13 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.69 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.06-7.12 (m, 2H), 7.25 (d, J = 8.7 Hz, 1H), 7.43-7.48 (m, 2H), 13.00 (br s, 1H); IR (Nujol) 2924, 2854, 1721, 1597, 1496, 1476, 1338, 1256, 1242, 1149 cm^{-1}; Elemental analysis ($C_{27}H_{34}N_2O_5S$) Calcd. (%): C, 65.04; H, 6.87; N, 5.62; S, 6.43 Found (%): C, 64.92; H, 6.88; N, 5.62; S, 6.42
Ih-63	mp 183-189 °C; ^{1}H-NMR (d_6-DMSO) δ 0.95 (t, J = 7.2 Hz, 3H), 1.74-1.84 (m, 4H), 2.51-2.60 (m, 4H), 3.55 (q, J = 7.2 Hz, 2H), 3.84 (s, 3H), 4.86 (s, 2H), 6.62 (dd, J = 2.1, 8.7 Hz, 1H), 6.95 (d, J = 2.1 Hz, 1H), 7.06-7.11 (m, 2H), 7.27 (d, J = 8.7 Hz, 1H), 7.49-7.54 (m, 2H), 13.04 (br, 1H); IR (Nujol) 3201, 3114, 1767, 1748, 1593, 1579, 1493, 1477, 1458, 1427, 1377, 1324, 1308, 1257, 1189, 1154, 1084, 1065, 1057 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_5S$) Calcd. (%): C, 62.42; H, 5.92; N, 6.33; S, 7.25 Found (%): C, 62.36; H, 5.91; N, 6.32; S, 7.07
Ih-64	mp 146-150 °C; ^{1}H-NMR (d_6-DMSO) δ 0.84 (t, J = 7.2 Hz, 3H), 1.25-1.36 (m, 2H), 1.70-1.88 (m, 4H), 2.50-2.61 (m, 4H), 3.44 (t, J = 6.9 Hz, 2H), 3.84 (s, 3H), 4.85 (s, 2H), 6.62 (dd, J = 2.1, 8.7 Hz, 1H), 6.94 (d, J = 2.1 Hz, 1H), 7.06-7.11(m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.47-7.52 (m, 2H), 12.92 (br, 1H); IR (Nujol) 2744, 2654, 2557, 1741, 1720, 1596, 1580, 1494, 1475, 1440, 1414, 1375, 1342, 1306, 1255, 1240, 1176, 1166, 1148, 1107, 1092, 1072, 1058, 1033 cm^{-1}; Elemental analysis ($C_{24}H_{28}N_2O_5S \cdot 0.4AcOEt$) Calcd. (%): C, 62.52; H, 6.39; N, 5.70; S, 6.52 Found (%): C, 62.58; H, 6.44; N, 5.76; S, 6.30
Ih-65	mp 200-210 °C; ^{1}H-NMR (d_6-DMSO) δ 0.86 (d, J = 6.6 Hz, 6H), 1.41 (m, 1H), 1.70-1.88 (m; 4H), 2.50-2.64 (m, 4H), 3.32 (d, J = 6.6 Hz, 2H), 3.84 (s, 3H), 4.85 (s, 2H), 6.64 (dd, J = 2.1, 8.7 Hz, 1H), 6.95 (d, J = 2.1 Hz, 1H), 7.05-7.10 (m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.45-7.50 (m, 2H); IR (Nujol) 3150, 2575, 2421, 1738, 1715, 1621, 1596, 1579, 1497, 1476, 1467, 1426, 1376, 1366, 1320, 1307, 1265, 1211, 1180, 1146, 1090, 1069, 1055 cm^{-1}; Elemental analysis ($C_{25}H_{30}N_2O_5S$) Calcd. (%): C, 63.81; H, 6.43; N, 5.95; S, 6.81 Found (%): C, 63.72; H, 6.32; N, 5.89; S, 6.55

Table 77

Compound No.	Physical properties
Ih-66	mp 184-193 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (d, J = 6.9 Hz, 6H), 1.72-1.89 (m, 4H), 2.51-2.65 (m, 4H), 3.85 (s, 3H), 4.47 (m,1H), 4.87 (s, 2H), 6.63 (dd, J = 2.1, 8.7 Hz, 1H), 6.95 (d, J = 2.1 Hz, 1H), 7.08-7.13(m, 2H), 7.29 (d, J = 8.7 Hz, 1H), 7.62-7.67 (m, 2H); IR (Nujol) 3261, 1750, 1713, 1596, 1577, 1498, 1476, 1378, 1364, 1338, 1318, 1303, 1265, 1217, 1183, 1146, 1112, 1086 cm^{-1}.
Ih-67	mp 183-186 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (t, J = 7.2 Hz, 3H), 1.70-1.90 (m, 4H), 2.53-2.64 (m, 4H), 3.60 (q, J = 7.2 Hz, 2H), 4.86 (s, 2H), 6.63 (dd, J = 1.8, 8.7 Hz, 1H), 6.95 (d, J = 1.8 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.62-7.68 (m, 2H); IR (Nujol) 2925, 2854, 1725, 1711, 1592, 1476, 1341, 1243, 1182, 1172, 1148 cm^{-1}; Elemental analysis ($C_{22}H_{23}N_2O_4S$) Calcd. (%): C, 61.38; H, 5.39, F, 4.41; N, 6.51; S, 7.45 Found (%): C, 61.12; H, 5.55; F, 4.14; N, 6.33; S, 7.08
Ih-68	mp 182-184 °C; ^{1}H-NMR (d_6-DMSO) δ 0.85 (t, J = 7.5 Hz, 3H), 1.24-1.41 (m, 2H), 1.70-1.90 (m, 4H), 2.52-2.64 (m, 4H), 3.51 (t, J = 6.3 Hz, 2H), 4.86 (s, 2H), 6.64 (dd, J = 1.8, 8.7 Hz, 1H), 6.95 (d, J = 1.8 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.62-7.66 (m, 2H); IR (Nujol) 2925, 2854, 1727, 1709, 1592, 1492, 1475, 1341, 1291, 1241, 1172, 1146 cm^{-1}; Elemental analysis ($C_{23}H_{25}N_2O_4S$) Calcd. (%): C, 62.14; H, 5.67, F, 4.27; N, 6.30; S, 7.21 Found (%): C, 61.94; H, 5.70; F, 4.07; N, 6.32; S, 6.96
Ih-69	mp 165-168, °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.52-2.64 (m, 4H), 4.22 (d, J = 5.7 Hz, 2H), 4.85 (s, 2H), 4.99-5.13 (m, 2H), 5.62-5.80 (m, 1H), 6.66 (dd, J = 2.1, 8.7 Hz, 1H), 6.97 (d, J = 2.1 Hz, 1H), 7.24 (d, J = 8.7 Hz, 1H), 7.39-7.46 (m, 2H), 7.64-7.69 (m, 2H); IR (Nujol) 2925, 2853, 1727, 1709, 1592, 1493, 1477, 1344, 1243; 1166, 1154 cm^{-1}; Elemental analysis ($C_{23}H_{23}FN_2O_4S$) Calcd. (%): C, 62.43; H, 5.24; F, 4.29; N, 6.30; S, 7.25 Found (%): C, 62.22; H, 5.27; F, 4.12; N, 6.32; S, 6.99

Table 77 (continued)

Compound No.	Physical properties
Ih-70	mp 199-204 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.52-2.64 (m, 4H), 3.20 (br t, 1H), 4.49 (d, J = 2.4 Hz, 2H), 4.87 (s, 2H), 6.77 (dd, J = 1.8, 8.4 Hz, 1H), 7.08 (d, J = 1.8 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.39-7.46 (m, 2H), 7.68-7.73 (m, 2H); IR (Nujol) 3292, 2925, 2854, 1724, 1592, 1477, 1337, 1238, 1155 cm^{-1}; Elemental analysis ($C_{23}H_{21}FN_2O_4S$) Calcd. (%): C, 62.71; H, 4.81; F, 4.31; N, 6.36; S, 7.28 Found (%): C, 62.55; H, 4.91; F, 4.10; N, 6.32; S,7.21
Ih-71	mp 164-167 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.52-2.64 (m, 4H), 4.55 (d, J = 9.0 Hz, 2H), 4.86 (s, 2H), 6.70 (dd, J = 2.1, 8.7 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.29 (d, J = 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.67-7.73 (m, 2H); IR (Nujol) 2920, 2854, 1724, 1710, 1593, 1495, 1478, 1344, 1240, 1167, 1155 cm^{-1}.

Table 78

Compound No.	Physical properties
Ih-72	mp 143-147 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.90 (m, 4H), 2.52-2.64 (m, 4H), 3.33-3.50 (m, 2H), 3.61 (t, J = 6.3 Hz, 2H), 4.73 (br s, 1H), 4.86 (s, 2H), 6.65 (dd, J = 2.1, 8.7 Hz, 1H), 6.99 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.63-7.69 (m, 2H); IR (Nujol) 3610, 3439, 2925, 2854, 1724, 1710, 1591, 1493, 1476, 1341, 1238, 1166, 1153 cm^{-1}
Ih-73	mp 184-189 °C; ^{1}H-NMR (d_6-DMSO) δ 0.05-0.10 (m, 2H), 0.31-0.37 (m, 2H), 1.70-1.91 (m, 4H), 2.52-2.60 (m, 4H), 3.45 (d, J = 6.9 Hz, 2H), 4.86 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 6.99 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.37-7.44 (m, 2H), 7.62-7.68 (m, 2H); IR (Nujol) 2923, 2854, 1725, 1712, 1592, 1492, 1470, 1343, 1241, 1164, 1151 cm^{-1}; Elemental analysis ($C_{24}H_{25}FN_2O_4S$) Calcd. (%): C, 63.14; H, 5.52; F, 4.16; N, 6.14; S, 7.02 Found (%): C, 63.05; H, 5.54; F, 3.95; N, 6.13; S, 6.88
Ih-74	mp 139-144 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.88 (m, 4H), 2.49-2.63 (m, 4H), 3.84 (s, 3H), 4.18 (d, 6.3 Hz, 2H), 4.84 (s, 2H), 4.98 (dd, J = 1.5, 10.2 Hz, 2H), 5.08 (dd, J = 1.5, 17.1 Hz, 1H), 5.70 (m, 1H), 6.63 (dd, J = 2.1, 8.7 Hz, 1H), 6.96 (d, J = 2.1 Hz, 1H), 7.06-7.11 (m, 2H), 7.23 (d, J = 8.7 Hz, 1H), 7.50-7.55 (m, 2H), 13.00 (br, 1H); IR (Nujol) 2753, 2651, 2570, 1719, 1597, 1578, 1496, 1477, 1464, 1444, 1417, 1377, 1341, 1308, 1252, 1179, 1155, 1092, 1060, 1022 cm^{-1}; Elemental analysis ($C_{24}H_{26}N_2O_5S$) Calcd. (%): C, 63.42; H, 5.77; N, 6.16; S, 7.05 Found (%): C, 63.26; H, 5.54; N, 6.19; S, 6.82
Ih-75	mp 134-138 °C; ^{1}H-NMR (d_6-DMSO) δ 1.44 (s, 3H), 1.54 (s, 3H), 1.70-1.86 (m, 4H), 2.49-2.63 (m, 4H), 3.84 (s, 3H), 4.31 (d, 6.9 Hz, 2H), 4.85 (s, 2H), 5.04 (m, 1H), 6.64 (dd, J = 2.1, 8.7 Hz, 1H), 6.94 (d, J = 2.1 Hz, 1H), 7.06-7.11(m, 2H), 7.23 (d, J = 8.7 Hz, 1H), 7.49-7.54 (m, 2H), 13.00 (br, 1H); IR (Nujol) 2742, 2655, 2558, 1721, 1596, 1580, 1496, 1476, 1465, 1441, 1413, 1388, 1376, 1364, 1338, 1306, 1256, 1242, 1213, 1177, 1155, 1112, 1094, 1080, 1036 cm^{-1}; Elemental analysis ($C_{26}H_{30}N_2O_5S$) Calcd. (%): C, 64.71; H, 6.27; N, 5.80; S, 6.64 Found (%): C, 64.68; H, 6.04; N, 5.82; S, 6.36
Ih-76	mp 193-202 °C; ^{1}H-NMR (d_6-DMSO) δ 1.72-1.88 (m, 4H), 2.49-2.64 (m, 4H), 3.16 (t, J = 2.4 Hz, 1H), 3.84 (s, 3H), 4.44 (d, 2.4 Hz, 2H), 4.86 (s, 2H), 6.74 (dd, J = 2.1, 8.7 Hz, 1H), 7.07 (d, J = 2.1 Hz, 1H), 7.05-7.10(m, 2H), 7.27 (d, J = 8.7 Hz, 1H), 7.53-7.58 (m, 2H), 13.02 (br, 1H); IR (Nujol) 3281, 3030, 2746, 2657, 2562, 1721, 1597, 1580, 1499, 1477, 1462, 1438, 1426, 1413, 1388, 1329, 1306, 1265, 1245, 1154, 1098, 1034 cm^{-1}; Elemental analysis ($C_{24}H_{24}N_2O_5S$) Calcd. (%): C, 63.70; H, 5.35; N, 6.19; S, 7.09 Found (%): C, 63.61; H, 5.36; N, 6.29; S, 6.89

Table 79

Compound No.	Physical properties
Ih-77	mp 176-189 °C; ^{1}H-NMR (d_6-DMSO) δ 0.02-0.08 (m, 2H), 0.30-0.36 (m, 2H), 0.77 (m, 1H), 1.70-1.88 (m, 4H), 2.49-2.64 (m, 4H), 3.41 (d, J = 6.9 Hz, 2H), 3.84 (s, 3H), 4.86 (s, 2H), 6.67 (dd, J = 2.1, 8.7 Hz, 1H), 6.99 (d, J = 2.1 Hz, 1H), 7.05-7.10 (m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.49-7.54 (m, 2H), 12.96 (br, 1H); IR (Nujol) 3146, 1739, 1594, 1579, 1496, 1477, 1442, 1426, 1397, 1377, 1339, 1319, 1303, 1263, 1216, 1187, 1146, 1089, 1065, 1047 cm^{-1}; Elemental analysis ($C_{25}H_{28}N_2O_5S$) Calcd. (%): C, 64.08; H, 6.02; N, 5.98; S, 6.84 Found (%): C, 63.97; H, 6.09; N, 6.05; S, 6.63
Ih-78	mp 167-177 °C; ^{1}H-NMR (d_6-DMSO) δ 1.72-1.89 (m, 4H), 2.49-2.65 (m, 4H), 3.34 (t, J = 6.6 Hz, 2H), 3.56 (t, J = 6.6 Hz, 2H), 3.84 (s, 3H), 4.68 (br, 1H), 4.85 (s, 2H), 6.64 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.06-7.11 (m, 2H), 7.25 (d, J = 8.7 Hz, 1H), 7.50-7.54 (m, 2H), 12.96 (br, 1H); IR (Nujol) 3554, 3230, 1770, 1747, 1593, 1578, 1495, 1478, 1458, 1396, 1377, 1325, 1301, 1261, 1221, 1185, 1156, 1088, 1060 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_6S$) Calcd. (%): C, 60.25; H, 5.72; N, 6.11; S, 6.99 Found (%): C, 60.09; H, 5.60; N, 6.07; S, 6.87
Ih-79	mp 185-197 °C; ^{1}H-NMR (d_6-DMSO) δ 0.85-1.25 (m, 6H), 1.52-1.90 (m, 9H), 2.49-2.63 (m, 4H), 3.38 (d, J = 7.2 Hz, 2H), 4.86 (s, 2H), 6.66 (dd, J = 2.1, 8.7 Hz, 1H), 6.93 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.36-7.43 (m, 2H), 7.57-7.63 (m, 2H), 12.99 (br, 1H); IR (Nujol) 3110, 3052, 2735, 2656, 2548, 1734, 1710, 1593, 1492, 1472, 1423, 1407, 1384, 1343, 1289, 1234, 1169, 1153, 1099, 1091, 1063 cm^{-1}; Elemental analysis ($C_{27}H_{31}FN_2O_4S$) Calcd. (%): C, 65.04; H, 6.27; F, 3.81; N, 5.62; S, 6.43 Found (%): C, 64.81; H, 6.26; F, 3.69; N, 5.58; S, 6.32
Ih-80	mp 197-207 °C;^{1}H-NMR (d_6-DMSO) δ 0.84-1.20 (m, 6H), 1.52-1.88 (m, 9H), 2.49-2.63 (m, 4H), 3.34 (d, J = 6.9 Hz, 2H), 3.83 (s, 3H), 4.85 (s, 2H), 6.65 (dd, J = 1.8, 8.7 Hz, 1H), 6.93 (d, J = 1.8 Hz, 1H), 7.04-7.09 (m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.44-7.50 (m, 2H), 13.03 (br, 1H); IR (Nujol) 3159, 1740, 1580, 1499, 1475, 1445, 1387, 1376, 1365, 1349, 1321, 1306, 1265, 1198, 1161, 1142, 1091 cm^{-1}; Elemental analysis ($C_{28}H_{34}N_2O_5S$) Calcd. (%): C, 65.86; H, 6.71; N, 5.49; S, 6.28 Found (%): C, 65.79; H, 6.74; N, 5.52; S, 6.24
Ih-81	mp 177-180 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.88 (m, 4H), 2.49-2.63 (m, 4H), 3.82 (s, 3H), 4.85 (s, 2H), 5.02 (s, 2H), 6.70 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.35-7.43 (m, 2H), 7.68-7.75 (m, 2H), 12.99 (br, 1H); IR (Nujol) 3059, 3012, 2741, 2654, 2550, 1726, 1707, 1593, 1496, 1478, 1444, 1426, 1410, 1387, 1338, 1296, 1235, 1213, 1179, 1156, 1110, 1099, 1082, 1033 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_5S$) Calcd. (%): C, 59.18; H, 5.19; F, 4.26; N, 6.27; S, 7.18 Found (%): C, 59.08; H, 5.29; F, 4.05; N, 6.19; S, 6.96

Table 80

Compound No.	Physical properties
Ih-82	mp 153-157 °C; ^{1}H-NMR (d_6-DMSO) δ 1.70-1.88 (m, 4H), 2.49-2.63 (m, 4H), 3.31 (s, 3H), 3.83 (s, 3H), 4.84 (s, 2H), 4.99 (s, 2H), 6.69 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.03-7.08 (m, 2H), 7.25 (d, J = 8.7 Hz, 1H), 7.55-7.60 (m, 2H), 12.99 (br, 1H); IR (Nujol) 2747, 2656, 2561, 1726, 1597, 1579, 1498, 1476, 1442, 1414, 1386, 1338, 1307, 1260, 1242, 1178, 1157, 1140, 1113, 1097, 1066, 1037 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_6S$) Calcd. (%): C, 60.25; H, 5.72; N, 6.11; S, 6.99 Found (%): C, 60.14; H, 5.82; N, 6.09; S, 6.97
Ih-83	mp 189-193 °C; ^{1}H-NMR (d_6-DMSO) δ 1.09 (d, J = 6.3 Hz, 3H), 1.30-1.45 (m, 1H), 1.80-2.00 (m, 2H), 2.07-2.80 (m, 4H), 3.16 (s, 3H), 4.85 (s, 2H), 6.67 (dd, J = 2.1, 8.7 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.39-7.62 (m, 4H), 12.91 (br, 1H); IR (Nujol) 2923, 2854, 1730, 1592, 1476, 1346, 1237, 1150 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$) Calcd. (%): C, 61.38; H, 5.39; F, 4.41; N, 6.51; S, 7.45 Found (%): C, 61.34; H, 5.42; F, 4.21; N, 6.62; S, 7.30

Table 80 (continued)

Compound No.	Physical properties
Ih-84	mp 157-161 °C; ^{1}H-NMR (d_6-DMSO) δ 1.09 (d, J = 6.6 Hz, 3H), 1.30-1.45 (m, 1H), 1.80-2.00 (m, 2H), 2.10-2.80 (m, 4H), 3.12 (s, 3H), 3.84 (s, 3H), 4.85 (s, 2H), 6.67 (dd, J = 2.1, 9.0 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.07-7.27 (m, 2H), 7.45 (d, J = 9.0 Hz, 1H), 7.44-7.48 (m, 2H), 13.00 (br, 1H); IR (Nujol) 2924, 2853, 1724, 1595, 1475, 1341, 1248, 1151 cm^{-1}; Elemental analysis ($C_{22}H_{23}FN_2O_4S$) Calcd. (%): C, 62.42; H, 5.92; N, 6.33; S, 7.25 Found (%): C, 62.41; H, 5.93; N, 6.48; S, 7.19
Ii-1	^{1}H-NMR ($CDCl_3$) δ 5.17 (s, 2H), 7.07-7.45 (m, 7H), 7.58 (t, J = 2.1 Hz, 1H), 7.70 (m, 1H), 7.81-7.91 (m, 3H); IR (KBr) 3249, 1730, 1610, 1591, 1495, 1495, 1475, 1390, 1324, 1235, 1168, 1153, 1090, 1011 cm^{-1};Elemental analysis ($C_{21}H_{16}FN_3O_4S$·MeOH) Calcd. (%): C, 57.76; H, 4.41; N, 9.19; F, 4.15; S, 7.01 Found (%): C, 57.72; H, 4.07; N, 8.80; F, 4.10, S, 7.06
Ii-2	^{1}H-NMR ($CDCl_3$+CD_3OD) δ 4.87 (s, 2H), 6.99 (m, 1H), 7.08-7.42 (m, 9H), 7.73 (d, J = 7.8 Hz, 1H), 7.82 (m, 2H); IR (KBr) 3254, 1726, 1607, 1590, 1550, 1494, 1468, 1406, 1378, 1335, 1293, 1238, 1166, 1153, 1089 cm^{-1};Elemental analysis ($C_{22}H_{17}FN_2O_4S$·0.8MeOH) Calcd. (%): C, 60.84; H, 4.52; N, 6.22; F, 4.22; S, 7.12 Found (%): C, 60.52; H, 4.13; N, 6.19; F, 3.85; S, 6.99
Ii-3	^{1}H-NMR (CD_3OD) δ 3.33 (s, 3H), 5.08 (s, 2H), 7.02 (m, 1H), 7.17-7.51 (m, 8H), 7.64-7.76 (m, 4H); IR ($CHCl_3$) 3066, 2928, 1727, 1591, 1550, 1493, 1469, 1380, 1349, 1293, 1234, 1175, 1151, 1087 cm^{-1}.
Ij-1	^{1}H-NMR ($CDCl_3$) δ 1.55-2.30 (m, 6H), 3.34 (m, 1H), 3.82 (m, 1H), 4.77 (br, 1H), 4.82 (s, 2H), 6.75-7.25 (m, 6H), 7.45-7.91 (m, 3H); IR (KBr) 3275, 2955, 1731, 1592, 1494, 1469, 1328, 1292, 1237, 1152, 1092, 1014 cm^{-1};Elemental analysis ($C_{21}H_{21}FN_2O_4S$·1.1H_2O) Calcd. (%): C, 57.57; H, 5.38; N, 6.39; F, 4.34; S, 7.32 Found (%): C, 57.73; H, 5.08; N, 6.11; F, 4.04, S, 6.87

Table 81

Compound No.	Physical properties
Ij-2	^{1}H-NMR ($CDCl_3$) δ 1.59-2.18 (m, 6H), 2.70 (s, 3H), 3.25 (m, 1H), 4.56 (m, 1H), 4.83 (s, 2H), 6.80 (s, 1H), 7.07-7.22 (m, 6H), 7.51 (m, 1H), 7.75-7.87 (m, 2H); IR (KBr) 2952, 1729, 1591, 1493, 1469, 1335, 1292, 1233, 1152, 1087, 1013 cm^{-1}.
Ij-3	^{1}H-NMR ($CDCl_3$) δ 1.43-2.18 (s, 6H), 3.17 (s, 1H), 4.26-4.82 (m, 5H), 6.59-7.44 (m, 12H), 7.75-7.87 (m, 2H); IR (KBr) 3433, 2951, 1731, 1591, 1494, 1469, 1337, 1292, 1235, 1152, 1092 cm^{-1}.
Ik-1	mp 165-179 °C; ^{1}H-NMR (d_6-DMSO) δ 2.09 (s, 3H), 2.23 (s, 3H), 3.17 (d, J = 0.6 Hz, 3H), 4.90 (s, 2H), 6.68 (dd, J = 2.1, 8.7 Hz, 1H), 7.02 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.39-7.44 (m, 2H), 7.57-7.62 (m, 2H), 12.97 (br, 1H); IR (Nujol) 3211, 1766, 1739, 1590, 1492, 1481, 1461, 1418, 1377, 1326, 1291, 1264, 1238, 1177, 1137, 1095, 1082, 1063 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_4S$) Calcd. (%): C, 58.45; H, 4.91; F; 4.87; N, 7.18; S, 8.21 Found (%): C, 58.46; H, 4.76; F, 4.57; N, 7.12; S, 8.18
Ik-2	mp 206-208 °C(dec); ^{1}H-NMR (d_6-DMSO) δ 2.31 (s, 3H), 3.16 (s, 3H), 4.93 (s, 2H), 6.72 (dd, J = 2.1, 8.4 Hz, 1H), 7.09 (d, J = 2.1 Hz, 1H), 7.29 (d, J = 8.4 Hz, 1H), 7.38-7.44 (m, 2H), 7.55-7.60 (m, 2H); IR (Nujol) 3105, 3055, 2657, 2566, 1721, 1591, 1556, 1494, 1480, 1453, 1399, 1349, 1338, 1294, 1241, 1230, 1167, 1151, 1089, 1065 cm^{-1}; Elemental analysis ($C_{18}H_{17}FN_2O_4S$) Calcd. (%): C, 57.44; H, 4.55; F, 5.05; N, 7.44; S, 8.52 Found (%): C, 57.50; H, 4.44; F, 4.99; N, 7.39; S, 8.47
Ik-3	mp 172-178 °C; ^{1}H-NMR (d_6-DMSO) δ 1.02 (t, J = 7.5 Hz, 3H), 2.20 (s, 3H), 2.53 (q, J = 7.5 Hz, 2H), 4.83 (s, 2H), 6.74 (dd, J = 2.1, 8.7 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.18 (d, J = 8.7 Hz, 1H), 7.30-7.38 (m, 2H), 7.67-7.74 (m, 2H), 9.77 (s, 1H), 12.98 (br, 1H); IR (Nujol) 3254, 1726, 1589, 1487, 1410, 1377, 1333, 1289, 1246, 1233, 1167, 1088 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_4S$) Calcd. (%): C, 58.45; H, 4.91; F, 4.87; N, 7.18; S, 8.21 Found (%): C, 58.39; H, 4.88; F, 4.75; N, 7.21; S, 8.18

Table 81 (continued)

Compound No.	Physical properties
Ik-4	mp 165-171 °C; ^{1}H-NMR (d_6-DMSO) δ 1.19 (d, J = 6.9 Hz; 6H), 2.20 (s, 3H), 3.05 (septet, J = 6.9 Hz, 1H), 4.80 (s, 2H), 6.75 (dd, J = 1.8, 8.4 Hz, 1H), 7.01 (d, J = 1.8 Hz, 1H), 7.28 (d, J = 8.4 Hz, 1H), 7.31-7.39 (m, 2H), 7.67-7.73 (m, 2H), 9.72 (s, 1H), 13.00 (br, 1H); IR (Nujol) 3250, 3124, 1741, 1591, 1483, 1377, 1318, 1293, 1200, 1146, 1088 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S$) Calcd. (%): C,59.39; H, 5.23; F, 4.70; N, 6.93; S, 7.93 Found (%): C, 59.28; H, 5.19; F, 4.58; N, 6.93; S, 7.86
Ik-5	mp 161-167 °C; ^{1}H-NMR (d_6-DMSO) δ 1.02 (t, J = 7.5 Hz, 3H), 2.23 (s, 3H), 2.55 (q, J = 7.5 Hz, 2H), 3.18 (s, 3H), 4.91 (s, 2H), 6.75 (dd, J = 2.1, 8.7 Hz, 1H), 6.96 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.38-7.45 (m, 2H), 7.55-7.62 (m, 2H), 12.99 (br, 1H); IR (Nujol) 3185, 1766, 1478, 1328, 1180, 1143, 1087 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S$) Calcd. (%): C, 59.39; H, 5.23; F, 4.70; N, 6.93; S, 7.93 Found (%): C, 59.33; H, 5.16; F, 4.58; N, 6.93; S, 7.82

Table 82

Compound No.	Physical properties
Ik-6	Mp 210-217 °C; ^{1}H-NMR (d_6-DMSO) δ 1.18 (d, J = 7.2 Hz, 6H), 2.24 (s, 3H), 3.07 (septet, J = 7.2 Hz, 1H), 3.19 (s, 3H), 4.89 (s, 2H), 6.77 (dd, J = 2.1, 9.0 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 9.0 Hz, 1H), 7.36-7.44 (m, 2H), 7.56-7.62 (m, 2H), 12.99 (br, 1H); IR (Nujol) 3241, 1771, 1750, 1587, 1482, 1324, 1178, 1086 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$) Calcd. (%): C, 60.27; H, 5:54; F, 4.54; N, 6.69; S, 7.66 Found (%): C, 60.04; H, 5.61; F, 4.30; N, 6.49; S, 7.30
Ik-7	Mp 121-124 °C; ^{1}H-NMR (d_6-DMSO) δ 0.90 (t, J = 7.5 Hz, 3H), 1.43-1.55 (m, 2H), 2.10 (s, 3H), 2.64 (t, J = 7.5 Hz, 2H), 3.18 (s, 3H), 4.89 (s, 2H), 6.69 (dd, J = 2.1, 8.7 Hz, 1H), 7.05 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 8.7 Hz, 1H), 7.40-7.47 (m, 2H), 7.60-7.66 (m, 2H), 12.97 (br, 1H); IR (Nujol) 3232, 1766, 1747, 1480, 1327, 1183, 1143, 1088 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$) Calcd. (%): C, 60.27; H, 5.54; F, 4.54; N, 6.69; S, 7.66 Found (%): C, 60.17; H, 5.51; F, 4.45; N, 6.73; S, 7.53
Ik-8	Mp 175-177 °C; ^{1}H-NMR (d_6-DMSO) δ 1.16 (t, J = 7.2 Hz, 3H), 2.58 (q, J = 7.2 Hz, 2H), 3.18 (s, 3H), 4.92 (s, 2H), 6.80 (dd, J = 2.1, 9.0 Hz, 1H), 7.08 (d, J = 2.1 Hz, 1H), 7.14 (s, 1H), 7.29 (d, J = 9.0 Hz, 1H), 7.39-7.45 (m, 2H), 7.57-7.63 (m, 2H), 12.95 (br, 1H); IR (Nujol) 2655, 1730, 1711, 1591, 1481, 1389, 1345, 1251, 1177, 1156 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_4S$) Calcd. (%): C, 58.45; H, 4.91; F, 4.87; N, 7.18; S, 8.21 Found (%): C, 58.41; H, 4.94; F, 4.77; N, 7.03; S, 7.99
Ik-9	Mp 225-240 °C; ^{1}H-NMR (d_6-DMSO) δ 0.79 (t, J = 7.2 Hz, 3H), 1.34-1.46 (m, 2H), 2.18 (s, 3H), 2.48 (t, J = 7.2 Hz, 2H), 4.74 (s, 2H), 6.73 (dd, J = 2.1, 8.7 Hz, 1H), 6.94 (d, J = 2.1 Hz, 1H), 7.15 (d, J = 8.7 Hz, 1H), 7.29-7.37 (m, 2H), 7.66-7.72 (m, 2H), 9.73 (brs, 1H); IR (Nujol) 3265, 1754, 1712, 1590, 1484, 1462, 1377, 1332, 1290, 1236, 1200, 1158, 1087 cm^{-1}; Elemental analysis ($C_{20}H_{21}FN_2O_4S \cdot 0.2H_2O$) Calcd. (%): C, 58.87; H, 5.29; F, 4.66; N, 6.87; S, 7.86 Found (%): C, 58.75; H, 5.12; F, 4.46; N, 6.82; S, 7.82
Ik-10	Mp 160-174 °C; ^{1}H-NMR (d_6-DMSO) δ 0.81 (t, J = 7.2 Hz, 3H), 1.34-1.46 (m, 2H), 2.23 (s, 3H), 2.50 (t, J = 7.2 Hz, 2H), 3.19 (s, 3H), 4.91 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.90 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.36-7.43 (m, 2H), 7.55-7.60 (m, 2H), 12.98 (br, 1H); IR (Nujol) 3243, 1767, 1587, 1482, 1325, 1178, 1140, 1085 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$) Calcd. (%): C, 60.27; H, 5.54; F, 4.54; N, 6.69; S, 7.66 Found (%): C, 60.22; H, 5.57; F, 4.32; N, 6.62; S, 7.59

Table 83

Compound No.	Physical properties
Ik-11	Mp 165-173 °C; ^{1}H-NMR (d_6-DMSO) δ 0.90 (t, J = 7.5 Hz, 3H), 1.05 (t, J = 7.5 Hz, 3H), 1.39-1.49 (m, 2H), 2.53-2.62 (m, 4H), 4.81 (s, 2H), 6.75 (dd, J = 1.8, 8.7 Hz, 1H), 7.02 (d, J = 1.8 Hz, 1H), 7.13 (d, J = 8.7 Hz, 1H), 7.32-7.36 (m, 2H), 7.70-7.75 (m, 2H), 9.79 (s, 1H); IR (Nujol) 3270, 2666, 1709, 1594, 1494, 1479, 1466, 1427, 1408, 1379, 1361, 1329, 1290, 1239, 1195, 1163, 1091 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$) Calcd. (%): C, 60.27; H, 5.54; F, 4.54; N, 6.69; S, 7.66 Found (%): C, 60.10; H, 5.49; F, 4.43; N, 6.63; S, 7.63
Ik-12	mp 182-190 °C; ^{1}H-NMR (d_6-DMSO) δ 0.91 (t, J = 7.5 Hz, 3H), 1.09 (t, J = 7.5 Hz, 3H), 1.40-1.53 (m, 2H), 2.53-2.63 (m, 4H), 4.83 (s, 2H), 6.79 (dd, J = 2.1, 8.7 Hz, 1H), 7.05-7.08 (m, 1H), 7.12 (d, J = 2.1 Hz, 1H), 7.16 (d, J = 8.7 Hz, 1H), 7.39-7.41 (m, 1H), 7.82-7.84 (m, 1H), 9.90 (s, 1H); IR (Nujol) 3249, 3103, 3081, 2660, 1708, 1480, 1468, 1429, 1404, 1378, 1362, 1334, 1235, 1198, 1158, 1091, 1017 cm^{-1}; Elemental analysis ($C_{19}H_{22}N_2O_4S_2$) Calcd. (%): C, 56.14; H, 5.45; N, 6.89; S, 15.78 Found (%): C, 56.05; H, 5.45; N, 6.74; S, 15.56
Ik-13	mp 134-137 °C; ^{1}H-NMR (d_6-DMSO) δ 0.91 (t, J = 7.5 Hz, 3H), 1.04 (t, J = 7.5 Hz, 3H), 1.41-1.54 (m, 2H), 2.54-2.65 (m, 4H), 3.18 (s, 3H), 4.88 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.96 (d, J = 2.1 Hz, 1H), 7.23 (d, J = 8.7 Hz, 1H), 7.38-7.44 (m, 2H), 7.57-7.63 (m, 2H), 13.09 (br, 1H); IR (Nujol) 3063, 2659, 2558, 2464, 1706, 1592, 1493, 1476, 1430, 1418, 1378, 1343, 1322, 1291, 1234, 1194, 1168, 1149, 1085, 1064 cm^{-1}; Elemental analysis ($C_{22}H_{25}FN_2O_4S$) Calcd. (%): C, 61.09; H, 5.83; F, 4.39; N, 6.48; S, 7.41 Found (%): C, 61.05; H, 5.79; F, 4.25; N, 6.40; S, 7.45
Ik-14	mp 130-132 °C; ^{1}H-NMR (d_6-DMSO) δ 0.92 (t, J = 7.5 Hz, 3H), 1.07 (t, J = 7.5 Hz, 3H), 1.42-1.54 (m, 2H), 2.54-2.66 (m, 4H); 3.21 (s, 3H), 4.89 (s, 2H), 6.77 (dd, J = 2.1, 8.7 Hz, 1H), 7.04 (d, J = 2.1 Hz, 1H), 7.22 (d, J = 2.1 Hz, 1H), 7.22-7.25 (m, 2H), 7.47 (dd, J = 1.2, 3.6 Hz, 1H), 8.00 (dd, J = 1-2, 5.1 Hz, 1H), 12.99 (br, 1H); IR (Nujol) 3102, 3075, 2654, 2554, 1723, 1477, 1422, 1405, 1379, 1350, 1236, 1227, 1194, 1149, 1085, 1061, 1015 cm^{-1}; Elemental analysis ($C_{20}H_{24}N_2O_4S_2$) Calcd. (%): C, 57.12; H, 5.75; N, 6.66; S, 15.25 Found (%): C, 56.90; H, 5.74; N, 6.60; S, 15.17
Ik-15	mp 235-250 °C; ^{1}H-NMR (d_6-DMSO) δ 0.80 (t, J = 7.2 Hz, 3H), 1.34-1.47 (m, 2H), 2.18 (s, 3H), 2.48 (t, J = 7.2 Hz, 2H), 3.75 (s, 3H), 4.69 (s, 2H), 6.72 (dd, J = 2.1, 8.7 Hz, 1H), 6.95 (d, J = 2.1 Hz, 1H), 6.96-7.01 (m, 2H), 7.11 (d, J = 8.7 Hz, 1H), 7.52-7.57 (m, 2H), 9.52 (brs, 1H); IR (Nujol) 3254, 1744, 1596, 1485, 1460, 1375, 1260, 1170, 1092, 1028 cm^{-1}; Elemental analysis ($C_{21}H_{24}N_2O_5S \cdot 0.4H_2O$) Calcd. (%): C, 59.53; H, 5.90; N, 6.61; S, 7.57 Found (%): C, 59.61; H, 5.69; N, 6.61; S, 7.57

Table 84

Compound No.	Physical properties
Ik-16	mp 152-162 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.2 Hz, 3H), 1.34-1.46 (m, 2H), 2.23 (s, 3H), 2.49 (t, J = 7.2 Hz, 2H), 3.14 (s, 3H), 3.83 (s, 3H), 4.91 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.88 (d, J = 2.1 Hz, 1H), 7.04-7.09 (m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.42-7.47 (m, 2H), 12.97 (br, 1H); IR (Nujol) 3222, 1765, 1741, 1593, 1482, 1379, 1327, 1306, 1265, 1177, 1142, 1088, 1017 cm^{-1}; Elemental analysis ($C_{22}H_{26}N_2O_5S$) Calcd. (%): C, 61.38; H, 6.09; N, 6.51; S, 7.45 Found (%): C, 61.26; H, 6.12; N, 6.52; S, 7.51
Ik-17	mp 173-183 °C; ^{1}H-NMR (d_6-DMSO) δ 0.90 (t, J = 7.5 Hz, 3H), 1.05 (t, J = 7.5 Hz, 3H), 1.38-1.51 (m, 2H), 2.53-2.61 (m, 4H), 3.76 (s, 3H), 4.80 (s, 2H), 6.75 (dd, J = 1.8, 8.7 Hz; 1H), 6.99-7.02 (m, 2H), 7.04 (d, J = 1.8 Hz, 1H), 7.11 (d, J = 8.7 Hz, 1H), 7.59-7.62 (m, 2H), 9.61 (s, 1H); IR (Nujol) 3241, 3170, 3013, 1759, 1732, 1597, 1577, 1498, 1478, 1466, 1383, 1355, 1321, 1263, 1190, 1146, 1090, 1028 cm^{-1}; Elemental analysis ($C_{22}H_{26}N_2O_5S$) Calcd. (%): C, 61.38; H, 6.09; N, 6.51; S, 7.45 Found (%): C, 61.05; H, 6.05; N, 6.51; S, 7.32

Table 84 (continued)

Compound No.	Physical properties
Ik-18	mp 114-116 °C; ^{1}H-NMR (d_6-DMSO) δ 0.92 (t, J = 7.2 Hz, 3H), 1.04 (t, J = 7.2 Hz, 3H), 1.41-1.54 (m, 2H), 2.54-2.65 (m, 4H), 3.14 (s, 3H), 3.83 (s, 3H), 4.88 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.94 (d, J = 2.1 Hz, 1H), 7.07-7.09 (m, 2H), 7.21 (d, J = 8.7 Hz, 1H), 7.45-7.48 (m, 2H); IR (Nujol) 3314, 3100, 3067, 1767, 1742, 1596, 1579, 1497, 1480, 1465, 1377, 1342, 1318, 1302, 1263, 1168, 1138, 1088, 1060 cm^{-1}; Elemental analysis ($C_{21}H_{20}F_2N_2O_4S$·0.2AcOEt) Calcd. (%): C, 61.85; H, 6.46; N, 6.06; S, 6.94 Found (%): C, 61.66; H, 6.47; N, 6.08; S, 6.88
Ik-19	mp 162-169 °C; ^{1}H-NMR (d_6-DMSO) δ 2.29 (s, 3H), 3.13 (s, 3H), 3.91 (s, 2H), 4.95 (s, 2H), 6.78 (dd, J = 2.1, 8.7 Hz, 1H), 6.94 (d, J = 2.1Hz, 1H), 7.06-7.36 (m, 8H), 7.49-7.56 (m, 2H), 13.02 (br, 1H); IR (Nujol) 3149, 1739, 1590, 1476, 1415, 1376, 1346, 1165, 115,1 cm^{-1}; Elemental analysis ($C_{25}H_{23}FN_2O_4S$) Calcd. (%): C, 64.36; H, 4.97; F, 4.07; N, 6.00; S, 6.87 Found (%): C, 64.31; H, 4.88; F, 3.95; N, 5.97; S, 6.73
Ik-20	mp 192-197 °C; ^{1}H-NMR (d_6-DMSO) δ 2.29 (s, 3H), 3.08 (s, 3H), 3.83 (s, 3H), 3.92 (s, 2H), 4.94 (s, 2H), 6.75 (dd, J = 2.1, 8.7 Hz, 1H), 6.96-7.24 (m, 8H), 7.29 (d, J = 8.7 Hz, 1H), 7.40-7.44 (m, 2H), 13.02 (br, 1H); IR (Nujol) 1703, 1598, 1496, 1479, 1338, 1256, 1146, 1090, 1027 cm^{-1}; Elemental analysis ($C_{26}H_{26}N_2O_5S$) Calcd. (%): C, 65.25; H, 5.48; N, 5.85; S, 6.70 Found (%): C, 64.95; H, 5.49; N, 5.70; S, 6.35

Table 85

Compound No.	Physical properties
Ik-21	mp 140-147 °C; ^{1}H-NMR (d_6-DMSO) δ 0.80 (d, J = 6.6 Hz, 6H), 1.60 (septet, J = 6.6 Hz, 1H), 2.22 (s, 3H), 2.38 (d, J = 6.6 Hz, 2H), 3.19 (s, 3H), 4.92 (s, 2H), 6.78 (dd, J = 2.1, 8.7 Hz, 1H), 6.85 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 1H), 7.35-7.43 (m, 2H), 7.55-7.62 (m, 2H), 12.98 (br, 1H); IR (Nujol) 3253, 1766, 1587, 1481, 1324, 1177, 1139, 1085 cm^{-1}; Elemental analysis ($C_{22}H_{25}FN_2O_4S$) Calcd. (%): C, 61.09; H, 5.83; F, 4.39; N, 6.48; S, 7.41 Found (%): C, 60.97; H, 5.75; F, 4.23; N, 6.37; S, 7.32
Ik-22	mp 137-142 °C; ^{1}H-NMR (d_6-DMSO) δ 0.85 (t, J = 7.2 Hz, 3H), 1.14-1.40 (m, 4H), 2.19 (s, 3H), 2.50 (t-like, 2H), 4.84 (s, 2H), 6.76 (dd, J = 1.8, 8.7 Hz, 1H), 6.93 (d, J = 1.8 Hz, 1H), 7.19 (d, J = 8.7 Hz, 1H), 7.30-7.36 (m, 2H), 7.67-7.72 (m, 2H), 12.98 (br, 1H); IR (Nujol) 3255, 3106, 3041, 2652, 2550, 1714, 1592, 1484, 1466, 1407, 1378, 1351, 1332, 1290, 1233, 1199, 1169, 1159, 1091 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$) Calcd. (%): C, 60.27; H, 5.54; F, 4.54; N, 6.69; S, 7.66 Found (%): C, 60.22; H, 5.52; F, 4.39; N, 6.78; S, 7.56
Ik-23	mp 130-140 °C; ^{1}H-NMR (d_6-DMSO) δ 0.86 (t, J = 6.9 Hz, 3H), 1.15-1.42 (m, 4H), 2.18 (s, 3H), 2.50 (t-like, 2H), 3.76 (s, 3H), 4.82 (s, 2H), 6.75 (dd, J = 2.1, 9.0 Hz, 1H), 6.97 (d, J = 2.1 Hz, 1H), 6.97-7.02 (m, 2H), 7.16 (d, J = 9.0 Hz, 1H), 7.56-7.61 (m, 2H), 9.57 (s, 1H), 12.95 (br, 1H); IR (Nujol) 3248, 3076, 2651, 2553, 1714, 1598, 1578, 1499, 1484, 1465, 1410, 1379, 1328, 1301, 1261, 1232, 1181, 1157, 1093, 1029 cm^{-1}; Elemental analysis ($C_{22}H_{26}N_2O_5S$) Calcd. (%): C, 61.38; H, 6.09; N, 6.51; S, 7.45 Found (%): C, 61.21; H, 6.04; N, 6.48; S, 7.27
Ik-24	mp 125-129 °C; ^{1}H-NMR (d_6-DMSO) δ 0.86 (t, J = 6.9 Hz, 3H), 1.17-1.40 (m, 4H), 2.22 (s, 3H), 2.50 (t-like, 2H), 3.19 (s, 3H), 4.90 (s, 2H), 6.78 (dd, J = 2.1, 8.7 Hz, 1H), 6.87 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.36-7.43 (m, 2H), 7.55-7.61 (m, 2H), 13.03 (br, 1H); IR (Nujol) 3253, 3121, 3091, 3074, 1768, 1591, 1479, 1416, 1377, 1327, 1292, 1229, 1186, 1166, 1137, 1099, 1087, 1072, 1055 cm^{-1}; Elemental analysis ($C_{22}H_{25}FN_2O_4S$) Calcd. (%): C, 61.09; H, 5.83; F, 4.39; N, 6.48; S, 7.41 Found (%): C, 61.10; H, 5.72; F, 4.31; N, 6.46; S, 7.39
Ik-25	mp 140-145 °C; ^{1}H-NMR (d_6-DMSO) δ 0.86 (t, J = 7.2 Hz, 3H), 1.18-1.41 (m, 4H), 2.22 (s, 3H), 2.50 (t-like, 2H), 3-14 (s, 3H), 3.83 (s, 3H), 4.90 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.88 (d, J = 2.1 Hz, 1H), 7.04-7.09 (m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.42-7.47 (m, 2H), 12.98 (br, 1H); IR (Nujol) 3241, 3090, 3066, 3016, 1764, 1737, 1702, 1593, 1576, 1495, 1481, 1467, 1457, 1415, 1378, 1328, 1305, 1264, 1172, 1141, 1087, 1017 cm^{-1}; Elemental analysis ($C_{23}H_{28}N_2O_5S$) Calcd. (%): C, 62.14; H, 6.35; N, 6.30; S, 7.21 Found (%): C, 62.04; H, 6.23; N, 6.29; S, 7.09

Table 86

Compound No.	Physical properties
Ik-26	mp 139-147 °C; ^{1}H-NMR (d_6-DMSO) δ 0.79 (d, J = 6.6 Hz, 6H), 1.63 (m, 1H), 2.18 (s, 3H), 2.37 (d, J = 6.6 Hz, 2H), 3.76 (s, 3H), 4.84 (s, 2H), 6.75 (dd, J = 1.8, 8.7 Hz, 1H), 6.93 (d, J = 1.8 Hz, 1H), 6.96-7.01 (m, 2H), 7.16 (d, J = 8.7 Hz, 1H), 7.55-7.60 (m, 2H), 9.54 (s, 1H), 12.90 (br, 1H); IR (Nujol) 3325, 3254, 3098, 3077, 1748, 1595, 1578, 1484, 1464, 1436, 1418, 1378, 1333, 1317, 1304, 1291, 1260, 1203, 1166, 1141, 1112, 1091 cm^{-1}; Elemental analysis ($C_{22}H_{26}N_2O_5S$) Calcd. (%): C, 61.38; H, 6.09; N, 6.51; S, 7.45 Found (%): C, 61.13; H, 6.13; N, 6.55; S, 7.24
Ik-27	mp 148-160 °C; ^{1}H-NMR (d_6-DMSO) δ 0.80 (d, J = 6.6 Hz, 6H), 1.61 (m, 1H), 2.22 (s, 3H), 2.37 (d, J = 6.6 Hz, 2H), 3.15 (s, 3H), 3.83 (s, 3H), 4.91 (s, 2H), 6.77 (dd, J = 2.1, 8.4 Hz, 1H), 6.84 (d, J = 2.1 Hz, 1H), 7.03-7.08 (m, 2H), 7.26 (d, J = 8.4 Hz, 1H), 7.42-7.47 (m, 2H), 12.96 (br, 1H); IR (Nujol) 3252, 3097, 3077, 3058, 3025, 1750, 1724, 1595, 1577, 1482, 1465, 1415, 1373, 1320, 1305, 1270, 1212, 1188, 1163, 1144, 1091, 1053, cm^{-1}; Elemental analysis ($C_{23}H_{28}N_2O_5S$) Calcd. (%): C, 62.14; H, 6.35; N, 6.30; S, 7.21 Found (%): C, 62.16; H, 6.39; N, 6.32; S, 7.22
Ik-28	mp 150-175 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.5 Hz, 3H), 0.96 (t, J = 7.2 Hz, 3H), 1.33-1.45 (m, 2H), 2.23 (s, 3H), 2.48 (t, J = 7.5 Hz, 2H), 3.58 (q, J = 7.2 Hz, 2H), 3.83 (s, 3H), 4.91 (s, 2H), 6.71 (dd, J = 1.8, 8.7 Hz, 1H), 6.83 (d, J = 1.8 Hz, 1H), 7.04-7.09 (m, 2H), 7.27 (d, J = 8.7 Hz, 1H), 7.48-7.53 (m, 2H), 12.97 (br, 1H); IR (Nujol) 3178, 1762, 1742, 1728, 1594, 1577, 1476, 1379, 1328, 1306, 1261, 1181, 1139 cm^{-1}; Elemental analysis ($C_{23}H_{28}N_2O_5S$) Calcd. (%): C, 62.14; H, 6.35; N, 6.30; S, 7.21 Found (%): C, 61.87; H, 6.31; N, 6.33; S, 6.94
Ik-29	mp 153-165 °C; ^{1}H-NMR (d_6-DMSO) δ 0.83 (t, J = 7.5 Hz, 3H), 1.36-1.48 (m, 2H), 2.23 (s, 3H), 2.50 (t, J = 7.5 Hz, 2H), 3.12 (s, 3H), 4.89 (s, 2H), 6.74 (dd, J = 2.1, 8.7 Hz, 1H), 6.83-6.88 (m, 2H), 6.91 (d, J = 2.1 Hz, 1H), 7.25 (d, J = 8.7 Hz, 1H), 7.31-7.36 (m, 2H), 10.48 (br, 1H), 13.03 (br, 1H); IR (Nujol) 3177, 1719, 1586, 1479, 1442, 1377, 1335, 1241, 1222, 1152 cm^{-1}; Elemental analysis ($C_{21}H_{24}N_2O_5S$) Calcd. (%): C, 60.56; H, 5.81; N, 6.73; S, 7.70 Found (%): C, 60.38; H, 5.94; N, 6.52; S, 7.32
Ik-30	mp 202-210 °C; ^{1}H-NMR (d_6-DMSO) δ 2.27 (s, 3H), 3.89 (s, 2H), 4.88 (s, 2H), 6.75 (dd, J = 2.1, 8.4 Hz, 1H), 7.01 (d, J = 2.1Hz, 1H), 7.07-7.33 (m, 8H), 7.62-7.68 (m, 2H), 7.97 (s, 1H), 12.98 (br, 1H); IR (Nujol) 3263, 1709, 1594, 1481, 1411, 1379, 1334, 1292, 1234, 1169 cm^{-1}; Elemental analysis ($C_{24}H_{21}FN_2O_4S$) Calcd. (%): C, 63.70; H, 4.68; F, 4.20; N, 6.19; S, 7.09 Found (%): C, 63.47; H, 4.75; F, 3.93; N, 6.17; S, 6.74

Table 87

Compound No.	Physical properties
Ik-31	mp 130-155 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.5 Hz, 3H), 1.33-1.45 (m, 5H), 2.23 (s, 3H), 2.49 (t, J = 7.5 Hz, 2H), 3.14 (s, 3H), 4.10 (q, J = 7.2 Hz, 2H), 4.90 (s, 2H), 6.76 (dd, J = 2.1, 8.7 Hz, 1H), 6.87 (d, J = 2.1 Hz, 1H), 7.02-7.07 (m, 2H), 7.26 (d, J = 8.7 Hz, 1H), 7.40-7.45 (m, 2H), 12.93 (br, 1H); IR (Nujol) 3247, 1739, 1594, 1480, 1415, 1377, 1304, 1256, 1153 cm^{-1}.
Ik-32	mp 90-96 °C; ^{1}H-NMR (d_6-DMSO) δ 0.81 (t, J = 7.5 Hz, 3H), 1.33-1.45 (m, 2H), 2.23 (s, 3H), 2.48 (t, J = 7.5 Hz, 2H), 3.19 (t, J = 2.4 Hz, 1H), 3.83 (s, 3H), 4.46 (q, J = 2.4 Hz, 2H), 4.90 (s, 2H), 6.82 (dd, J = 2.1, 8.4 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.04-7.09 (m, 2H), 7.28 (d, J = 8.4 Hz, 1H), 7.53-7.58 (m, 2H), 13.03 (br, 1H); IR (Nujol) 3588, 3310, 2642, 1733, 1707, 1687, 1599, 1580, 1499, 1479, 1465, 1414, 1379, 1345, 1257, 1159, 1029 cm^{-1}; Elemental analysis ($C_{24}H_{26}N_2O_5S \cdot 0.8H_2O$) Calcd. (%): C, 61.47; H, 5.93; N, 5.97; S, 6.84 Found (%): C, 61.56; H, 5.69; N, 5.87; S, 6.58
Ik-33	mp 144-157 °C; ^{1}H-NMR (d_6-DMSO) δ 2.29 (s, 3H), 3.10 (t, J = 2.1 Hz, 1H), 3.82 (s, 2H), 3.89 (s, 2H), 4.41 (d, J = 2.1 Hz, 2H), 4.94 (s, 2H), 6.82 (dd, J = 2.1, 8.7 Hz, 1H), 7.00-7.24 (m, 8H), 7.31 (d, J = 8.7 Hz, 1H), 7.52-7.55 (m, 2H), 13.06 (br, 1H); IR (Nujol) 3291, 2644, 1933, 1716, 1598, 1579, 1498, 1475, 1346, 1335, 1262, 1240, 1158, 1095 cm^{-1}; Elemental analysis ($C_{28}H_{26}N_2O_5S$) Calcd. (%): C, 66.91; H, 5.21; N, 5.57; S, 6.38 Found (%): C, 66.65; H, 5.26; N, 5.56; S, 6.14

Table 87 (continued)

Compound No.	Physical properties
Ik-34	mp 123-130 °C; ^{1}H-NMR (d_6-DMSO) δ 0.80 (d, J = 6.9 Hz, 6H), 1.59 (septet, J = 6.9 Hz, 1H), 2.22 (s, 3H), 2.37 (d, J = 6.9 Hz, 2H), 3.22 (t, J = 2.4 Hz, 1H), 4.52 (d, J = 2.4 Hz, 2H), 4.92 (s, 2H), 6.84 (dd, J = 1.8, 8.7 Hz, 1H), 6.96 (d, J = 1.8 Hz, 1H), 7.30 (d, J = 8.7 1H), 7.35-7.42 (m, 2H), 7.67-7.72 (m, 2H), 13.03 (br, 1H); IR (Nujol) 3307, 2654, 1732, 1592, 1493, 1475, 1379, 1350, 1246, 1168, 1096 cm^{-1}; Elemental analysis ($C_{24}H_{25}FN_2O_4S$) Calcd. (%): C, 63.14; H, 5.52; F, 4.16; N, 6.14; S, 7.02 Found (%): C, 62.99; H, 5.36; F, 4.25; N, 6.13; S, 7.44
Ik-35	mp 157-160 °C; ^{1}H-NMR (d_6-DMSO) δ 2,21 (s, 3H), 3.18 (s, 3H), 3.70 (s, 3H), 4.90 (s, 2H), 6.77 (dd, J = 2.1, 8.7 Hz, 1H), 7.01 (d, J = 2.1 Hz, 1H), 7.33 (d, J = 8.7 1H), 7.38-7.55 (m, 2H), 7.56-7.62 (m, 2H), 13.05 (br, 1H); IR (Nujol) 1741, 1592, 1485, 1469, 1385, 1343, 1298, 1292, 1267, 1240, 1204, 1171, 1090, 1059 cm^{-1}; Elemental analysis ($C_{19}H_{19}FN_2O_5S$) Calcd. (%): C, 56.15; H, 4.71; F, 4.67; N, 6.89; S, 7.89 Found (%): C, 56.28; H, 4.62; F, 4.37; N, 6.90; S,7.70

Table 88

Compound No.	Physical properties
Ik-36	mp 170-180 °C; ^{1}H-NMR (d_6-DMSO) δ 2.21 (s, 3H), 3.14 (s, 3H), 3.69 (s, 3H), 3.83 (s, 3H), 4.90 (s, 2H), 6.77 (dd, J = 2.1, 8.7 Hz, 1H), 6.98 (d, J = 2.1 Hz, 1H), 7.06-7.11 (m, 2H), 7.32 (d, J = 8.7 Hz, 1H), 7.43-7.48 (m, 2H), 13.03 (br, 1H); IR (Nujol) 1726, 1597, 1498, 1479, 1415, 1383, 1338, 1305, 1266, 1254, 1150, 1091, 1026, 1011 cm^{-1}; Elemental analysis ($C_{20}H_{22}N_2O_6S$) Calcd. (%): C, 57.40; H, 5.30; N, 6.69; S, 7.66 Found (%): C, 56.78; H, 5.33; N, 6.64; S, 7.30
Ik-37	mp 142-152 °C; ^{1}H-NMR (d_6-DMSO) δ 1.04 (t, J = 7.2 Hz, 3H), 2.63 (s, 3H), 2.72 (q, J = 7.2 Hz, 2H), 3.17 (s, 3H), 3.84 (s, 3H), 5.11 (s, 2H), 6.93 (dd, J = 2.1, 8.7 Hz, 1H), 7.08-7.13 (m, 2H), 7.46-7.51 (m, 4H), 13.30 (br, 1H); IR (Nujol) 3544, 3355, 1734, 1693, 1598, 1577, 1513, 1498, 1477, 1459, 1412, 1378, 1341, 1262, 1208, 1161, 1149, 1107, 1092, 1066, 1034 cm^{-1}; Elemental analysis ($C_{22}H_{24}N_2O_6S \cdot 0.4H_2O$) Calcd. (%): C, 58.50; H, 5.53; N, 6.20; S, 7.10 Found (%): C, 58.43; H, 5.67; N, 6.23; S, 6.88
Ik-38	mp 105-115 °C; ^{1}H-NMR (d_6-DMSO) δ 0.97 (t, J = 7.2 Hz, 3H), 1.03 (t, J = 7.2 Hz, 3H), 2.63 (s, 3H), 2.69 (q, J = 7.2 Hz, 2H), 3.61 (q, J = 7.2 Hz, 2H), 3.84 (s, 3H), 5.12 (s, 2H), 6.89 (dd, J = 1.8, 8.7 Hz, 1H), 7.08-7.13 (m, 2H), 7.41 (d, J = 1.8 Hz, 1H), 7.49-7.57 (m, 3H), 13.32 (br, 1H); IR (Nujol) 3313, 1729, 1631, 1596, 1576, 1509, 1496, 1479, 1461, 1446; 1412, 1378, 1337, 1260, 1221, 1188, 1147, 1107, 1092, 1065, 1028 cm^{-1}; Elemental analysis ($C_{23}H_{26}N_2O_6S \cdot 0.5H_2O$) Calcd. (%): C, 59.09; H, 5.82; N, 5.99; S, 6.86 Found (%): C, 59.18; H, 5.72; N, 6.11; S, 6.99
Ik-39	mp 169-176 °C; ^{1}H-NMR (d_6-DMSO) δ 0.81 (t, J = 7.5 Hz, 3H), 1.33-1.45 (m, 2H), 2.23 (s, 3H), 2.49 (t, J = 7.5 Hz, 2H), 3.18 (s, 3H), 4.90 (s, 2H), 6.73 (dd, J = 2.1, 8.7 Hz, 1H), 6.89 (d, J= 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.51-7.59 (m, 4H), 7.70 (m, 1H), 13.00 (br, 1H); IR (Nujol) 3060, 2756, 2658, 2564, 1729, 1708, 1584, 1480, 1447, 1415, 1380, 1335, 1307, 1246, 1170, 1146, 1085, 1069, 1053 cm^{-1}; Elemental analysis ($C_{21}H_{24}N_2O_4S$) Calcd. (%): C, 62.98; H, 6.04; N, 6.99; S, 8.01 Found (%): C, 62.88; H, 5.76; N, 6.93; S, 7.95
Ik-40	mp 130-136 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.2 Hz, 3H), 1.33-1.45 (m, 2H), 2.23 (s, 3H), 2.39 (s, 3H), 2.50 (t, J = 7.2 Hz, 2H), 3.18 (s, 3H), 4.90 (s, 2H), 6.74 (dd, J = 2.1, 8.7 Hz, 1H), 6.87 (d, J = 2.1 Hz, 1H), 7.26 (d, J = 8.7 Hz, 1H), 7.34-7.42 (m, 4H), 13.00 (br, 1H); IR (Nujol) 3284, 3048, 1750, 1722, 1597, 1580, 1481, 1456, 1416, 1375, 1338, 1321, 1308, 1290, 1205, 1193, 1166, 1146, 1087, 1055 cm^{-1}; Elemental analysis ($C_{22}H_{26}N_2O_4S$) Calcd. (%): C, 63.75; H, 6.32; N, 6.76; S, 7.74 Found (%): C, 63.58; H, 6.05; N, 6.73; S, 7.94

Table 89

Compound No.	Physical properties
Ik-41	mp 152-159 °C; ^{1}H-NMR (d_6-DMSO) δ 0.79 (t, J = 7.2 Hz, 3H), 1.31-1.43 (m, 2H), 2.22 (s, 3H), 2.48 (t, J = 7.2 Hz, 2H), 3.32 (s, 3H), 4.89 (s, 2H), 6.80 (dd, J = 2.1, 8.7 Hz, 1H), 7.00 (d, J = 2.1 Hz, 1H), 7.25-7.31 (m, 2H), 7.46-7.53 (m, 2H), 7.73 (m, 1H), 13.01 (br, 1H); IR (Nujol) 3081, 3026, 2756, 2656, 2596, 2562, 1730, 1709, 1596, 1475, 1448, 1416, 1380, 1350, 1269, 1244, 1211, 1182, 1172, 1142, 1124, 1072, 1051 cm^{-1}; Elemental analysis ($C_{21}H_{23}FN_2O_4S$) Calcd. (%): C, 60.27; H, 5.54; F, 4.54; N, 6.69; S, 7.66 Found (%): C, 60.29; H, 5.36; F, 4.57; N, 6.63; S, 7.62
Ik-42	mp 147-154 °C; ^{1}H-NMR (d_6-DMSO) δ 0.82 (t, J = 7.2 Hz, 3H), 1.33-1.45 (m, 2H), 2.23 (s, 3H), 2.49 (t, J = 7.2 Hz, 2H), 3.19 (s, 3H), 4.91 (s, 2H), 6.77 (dd, J = 2.1, 8.7 Hz, 1H), 6.89 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.49-7.53 (m, 2H), 7.61-7.65 (m, 2H), 12.99 (br, 1H); IR (Nujol) 3276, 3097, 1770, 1581, 1479, 1417, 1396, 1378, 1324, 1185, 1174, 1162, 1143, 1092, 1055, 1011 cm^{-1}; Elemental analysis ($C_{21}H_{23}ClN_2O_4S$) Calcd. (%): C, 57.99; H, 5.33; Cl, 8.15; N, 6.44; S, 7.37 Found (%): C, 58.05; H, 5.01; Cl, 7.79; N, 6.46; S, 7.36
Ik-43	mp 143-150 °C; ^{1}H-NMR (d_6-DMSO) δ 0.83 (t, J = 7.2 Hz, 3H), 1.33-1.45 (m, 2H), 2.23 (s, 3H), 2.49 (t, J = 7.2 Hz, 2H), 3.19 (s, 3H), 4.91 (s, 2H), 6.78 (dd, J = 2.1, 8.7 Hz, 1H), 6.88 (d, J = 2.1 Hz, 1H), 7.28 (d, J = 8.7 Hz, 1H), 7.41-7.45 (m, 2H), 7.75-7.79 (m, 2H), 12.98 (br, IH); IR (Nujol) 3021, 2655, 1717, 1574, 1478, 1467, 1415, 1387, 1377, 1357, 1251, 1190, 1170, 1156, 1069 cm^{-1}; Elemental analysis ($C_{21}H_{23}BrN_2O_4S$) Calcd. (%): C, 52.61; H, 4.84; Br, 16.67; N, 5.84; S, 6.69 Found (%): C, 52.70; H, 4.56; Br, 16.11; N, 5.82; S, 6.67

Experiment 1 Binding activity to CRTH2

[0115] The membrane fraction prepared with CRTH2-transfected K562 cells was used for a binding assay. To a binding-reaction solution (50 mM Tris/HCl, pH 7.4, 10 mM $MgCl_2$) the membrane fraction (0.06 mg) and 3 nM [^{3}H]-PGD_2 (172 Ci/mmol) were added, and the mixture was reacted at room temperature for 60 min. After the reaction, the mixture was filtered through a glass fiber filter paper and washed several times with cooled physiological saline, then the radioactivity retained on the filter paper was measured. The specific-binding ratio was calculated by subtracting the non-specific binding ratio which is the radioactivity similarly measured in the presence of 10 μM PGD_2 from the total binding. The inhibitory activity of each compound was expressed as the concentration required for 50 % inhibition (IC_{50}), which was determined by depicting a substitution curve by plotting the binding ratio (%) in the presence of each compound, where the binding ratio in the absence of a test compound is 100 %. The results are shown below.

Table 90

Compound No.	CRTH2 inhibitory activity IC_{50} (μM)
Ia-15	0.037
Ia-20	0.022
Ia-32	0.018
Ia-36	0.015
Ia-39	0.045
Ia-41	0.034
Ia-44	0.023
Ia-45	0.019
Ia-47	0.051
Ia-48	0.057
Ia-51	0.02
Ia-52	0.024
Ia-55	0.042

Table 90 (continued)

Compound No.	CRTH2 inhibitory activity IC_{50} (μM)
Ia-57	0.057
Ia-58	0.033
Ia-59	0.023
Ia-61	0.045
Ia-62	0.049
Ia-63	0.054
Ia-65	0.027
Ia-66	0.037
Ia-85	0.08
Ib-6	0.055
(+)-Ib-16	0.0059
(+)-Ib-18	0.013
(+)-Ib-20	0.0079
Ib-21	0.012
(+)-Ib-25	0.0036

Table 91

Compound No.	CRTH2 inhibitory activity IC_{50} (μM)
(+)-Ib-27	0.0062
(+)-Ib-29	0.0049
Ib-30	0.0053
Ib-31	0.059
Ic-2	0.021
Ic-6	0.0045
Ic-14	0.0055
Ic-24	0.068
Ie-2	0.039
Ie-5	0.018
Ie-8	0.026
If-1	0.019
If-4	0.016
If-9	0.012
Ig-3	0.0097
Ig-4	0.0078
Ig-11	0.01
Ig-14	0.0083
Ig-15	0.0075
Ig- 16	0.0036

Table 91 (continued)

Compound No.	CRTH2 inhibitory activity IC_{50} (μM)
Ig-18	0.019
Ih-2	0.0099
Ih-3	0.033
Ih-4	0.024
Ih-5	0.023
Ih-6	0.034
Ii-1	0.035
Ii-2	0.035
Ii-3	0.064
Ij-1	0.026
Ij-2	0.053
Ij-3	0.053

Table 92

Compound No.	CRTH2 inhibitory activity IC_{50} (μM)
Ik-1	0.048
Ik-2	0.086
Ik-3	0.051
Ik-4	0.047
Ik-5	0.019

Experiment 2 Evaluation of antagonistic activity to CRTH2

[0116] To evaluate the antagonistic activity of compounds against CRTH2, calcium mobilization assay induced by PGD_2 was performed using CRTH2 transfectants.

[0117] The human CRTH2-transfected K562 cells were suspended at 2 x 10^6 cells/ml in assay buffer (10 mM HEPES buffer, pH 7.4, 0.1% Bovine serum albumin), and were incubated with Fura-2 AM (2 μM) for 60 min at room temperature. After washing cells were resuspended again with assay buffer, incubated at 37°C, and then treated with various concentrations of compounds for 2 min. The emitted fluorescence was measured on a calcium analyzer (CAF-110) after addition of PGD_2. The antagonistic activity of each compound at 1 μM was expressed as percent inhibition of the calcium mobilization in drug-untreated cells. The results are shown below.

Table 93

Compound No.	antagonistic activity to CRTH2 (% INH)
Ia-9	94
Ia-51	91
Ib-31	96
Ib-16	100
Ib-25	100
Ic-6	100
Ib-29	100
Ia-36	89

Table 93 (continued)

Compound No.	antagonistic activity to CRTH2 (% INH)
Ic-19	91
Ic-31	98
Ic-34	94
Ic-53	60
Ic-54	85
Ic-55	100
Ic-56	100
Ic-57	100
Ih-2	91

Formulation example

Formulation example 1

[0118] Granules are prepared using the following ingredients.

Ingredients	The compound represented by the formula (I)	10 mg
	Lactose	700 mg
	Corn starch	274 mg
	HPC-L	16 mg
		1000 mg

[0119] The compound represented by the formula (I) and lactose are made pass through a 60 mesh sieve. Corn starch is made pass through a 120 mesh sieve. They are mixed by a twin shell blender. An aqueous solution of HPC-L (low mucosity hydroxypropylcellulose) is added to the mixture and the resulting mixture is kneaded, granulated (by the extrusion with pore size 0.5 to 1 mm mesh), and dried. The dried granules thus obtained are sieved by a swing sieve (12/60 mesh) to yield the granules.

Formulation 2

[0120] Powders for filling capsules are prepared using the Mowing ingredients.

Ingredients	The compound represented by the formula (I)	10 mg
	Lactose	79 mg
	Corn starch	10 mg
	Magnesium stearate	1 mg
		100 mg

[0121] The compound represented by the formula (I) and lactose are made pass through a 60 mesh sieve. Corn starch is made pass through a 120 mesh sieve. These ingredients and magnesium stearate are mixed by a twin shell blender. 100 mg of the 10-fold trituration is filled into a No. 5 hard gelatin capsule.

Formulation 3

[0122] Granules for filling capsules are prepared using the following ingredients.

Ingredients	The compound represented by the formula (I)	15 mg
	Lactose	90 mg

(continued)

	Corn starch	42 mg
	HPC-L	3 mg
		150 mg

[0123] The compound represented by the formula (I) and lactose are made pass through a 60 mesh sieve. Corn starch is made pass through a 120 mesh sieve. After mixing them, an aqueous solution of HPC-L is added to the mixture and the resulting mixture is kneaded, granulated, and dried. After the dried granules are lubricated, 150 mg of that are filled into a No. 4 hard gelatin capsule.

Formulation 4

[0124] Tablets are prepared using the following ingredients.

Ingredients	The compound represented by the formula (I)	10 mg
	Lactose	90 mg
	Microcrystal cellulose	30 mg
	CMC-Na	15 mg
	Magnesium stearate	5 mg
		150 mg

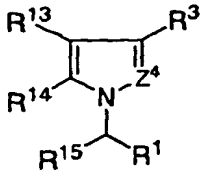
[0125] The compound represented by the formula (1), lactose, microcrystal cellulose, and CMC-Na (carboxymethylcellulose sodium salt) are made pass through a 60 mesh sieve and then mixed. The resulting mixture is mixed with magnesium stearate to obtain the mixed powder for the tablet formulation. The mixed powder is compressed to yield tablets of 150 mg.

Industrial Applicability

[0126] The pharmaceutical composition and the compound of the present invention show superior CRTH2 receptor antagonistic activity and are useful for an agent for treating or preventing allergic diseases.

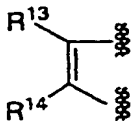
Claims

1. A compound of the formula (I):

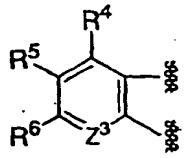


(I)

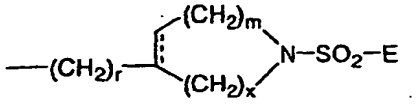
wherein
a group represented by the formula:



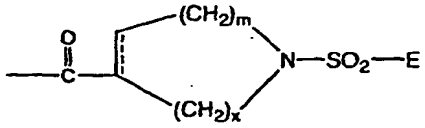
is a group represented by the formula:



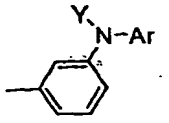
wherein Z^3 is =N- or =C(-R^7)-;
R^4, R^5, R^6 and R^7 are each independently hydrogen, halogen, haloalkyl, carboxy, alkyloxycarbonyl, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted cycloalkyl, optionally substituted aryl, optionally substituted aralkyl, a group represented by the formula: -S(O)pR^8 wherein p is an integer from 0 to 2 and R^8 is alkyl or optionally substituted aryl, a group represented by the formula: -NR^9R^{10} wherein R^9 and R^{10} are each independently hydrogen, alkyl, optionally substituted aryl, optionally substituted aralkyl or acyl, or a group represented by the formula: -OR^{11} wherein R^{11} is hydrogen, alkyl, optionally substituted aryl, optionally substituted aralkyl, alkanesulfonyl, optionally substituted arylsulfonyl, optionally substituted aralkylsulfonyl, or haloalkyl;
R^1 is carboxy, alkyloxycarbonyl, optionally substituted aminocarbonyl or tetrazolyl;
Z^4 is -N= or -C(-R^2)=; R^2 is hydrogen, alkyl or halogen;
R^{15} is hydrogen or alkyl;
R^3 is a group represented by the formula: -(CH_2)n-N(-Y)-SO_2-Ar wherein n is an integer from 1 to 3; Y is hydrogen, alkyl, alkenyl, alkynyl, optionally substituted aryl, optionally substituted aralkyl, optionally substituted heteroarylalkyl or optionally substituted arylalkenyl; and Ar is optionally substituted aryl or optionally substituted heteroaryl, a group represented by the formula:



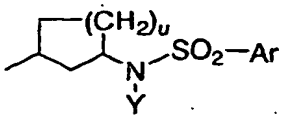
wherein r is an integer from 0 to 2; x is an integer from 0 to 3; m is an integer from 1 to 3; a broken line represents the presence or absence of a bond; E is optionally substituted aryl, optionally substituted heteroaryl, alkyl, optionally substituted aralkyl or optionally substituted arylalkenyl,
a group represented by the formula:



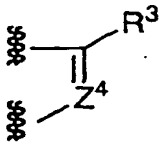
wherein x is an integer from 0 to 3; m is an integer from 1 to 3; a broken line represents the presence or absence of a bond; E is optionally substituted aryl, optionally substituted heteroaryl, alkyl, optionally substituted aralkyl or optionally substituted arylalkenyl,
a group represented by the formula: -$CR^{23}R^{24}$-$CR^{25}R^{26}$-(CH_2)y-N(-Y)-SO_2-Ar wherein Ar and Y are as defined above; y is 0 or 1; one of R^{23} or R^{24} is alkyl, the other is hydrogen, alkyl, or aryl; or R^{23} and R^{24} are taken together to form a group represented by the formula: -(CH_2)t- wherein t is an integer from 2 to 5; R^{25} and R^{26} are each independently hydrogen or alkyloxyalkyl,
a group represented by the formula:



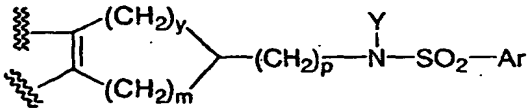
wherein Y and Ar are as defined above, or
a group represented by the formula:



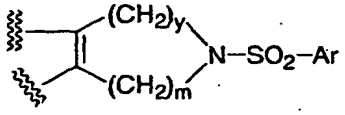
wherein Y and Ar are as defined above and u is 1 or 2; or
a group represented by the formula:



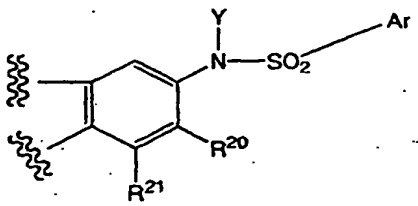
is a group represented by the formula:



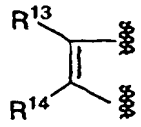
wherein y is an integer from 1 to 3, and m, p, Y and Ar are as defined above,
a group represented by the formula:



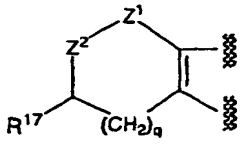
wherein m, y and Ar are as defined above, or
a group represented by the formula:



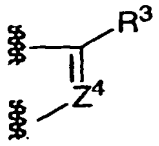
wherein Y and Ar are as defined above; R^{20} is hydrogen or alkyl; and R^{21} is hydrogen or halogen; but excluding compounds 3-(4-chlorophenylsulfonylamino)-9-(2-carboxymethyl)-1,2,3,4-tetrahydrocarbazole, its ethyl ester, 3-(4-chlorophenylsulfonylaminoethyl)indolel-acetic acid, and 3-(4-chlorophenylsulfonylaminopropyl)indole acetic acid; or
R^{13} is hydrogen, alkyl, aralkyl, acyl or a group represented by the formula: $-OR^{16}$ wherein R^{16} is hydrogen or alkyl, and R^{14} is hydrogen or alkyl: or
a group represented by the formula:



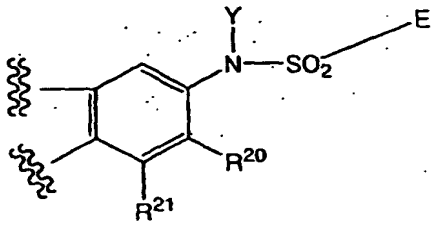
is a group represented by the formula:



wherein q is an integer from 0 to 3; R^{17} is hydrogen or alkyl; Z^1 is $-CH_2-$, -C(=O)-, -C(=NOH)-, or -C(=NOMe)-; Z^2 is a group represented by the formula: $-S(=O)_s-$ wherein s is an integer from 0 to 2, a group represented by the formula: $-N(-R^{22})-$ wherein R^{22} is hydrogen, alkyl, alkyloxycarbonyl or acyl, or a group represented by the formula: $-CR^{18}R^{19}-$ wherein R^{18} and R^{19} are each independently hydrogen, alkyl or aryl; or R^{18} and R^{19} are taken together to form a group represented by the formula: $-(CH_2)t-$ wherein t is an integer from 2 to 5;
R^1 and R^{15} are as defined above; and
a group represented by the formula:

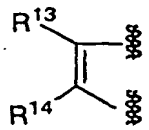


is a group represented by the formula:

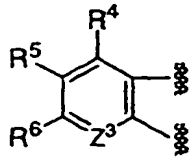


wherein Y, E, R^{20} and R^{21} are as defined above;
a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

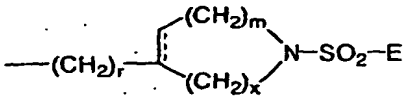
2. A compound as described in Claim 1, wherein a group represented by the formula:



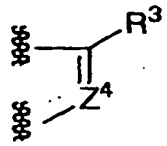
is a group represented by the formula:



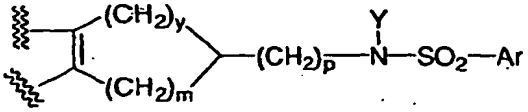
wherein Z^3 is =C(-R^7)-; R^4, R^5, R^6 and R^7 are as defined in claim 1;
Z^4 is -C(-R^2)=; R^2 is as defined in claim 1;
R^{15} is hydrogen; and
R^3 is a group represented by the formula: -(CH_2)n-N(-Y)-SO_2-Ar wherein n is an integer from 1 to 3; Y is hydrogen, alkyl, alkenyl, optionally substituted aryl, optionally substituted aralkyl, or optionally substituted heteroarylalkyl; and Ar is optionally substituted aryl or optionally substituted heteroaryl,
a group represented by the formula:



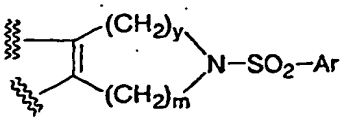
wherein r is an integer from 0 to 2; x is an integer from 0 to 3; m is an integer from 1 to 3; a broken line represents the presence or absence of a bond; E is optionally substituted aryl or optionally substituted heteroaryl; or
a group represented by the formula:



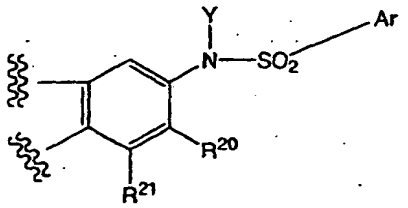
is a group represented by the formula:



wherein y is an integer from 1 to 3, and m, p, Y and Ar are as defined above,
a group represented by the formula:



wherein m, y and Ar are as defined above, or
a group represented by the formula:

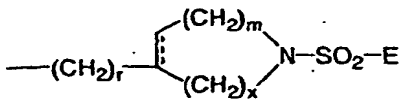


wherein Y and Ar are as defined in claim 1, and R^{20} and R^{21} are hydrogen, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

3. A compound as described in Claim 1 or Claim 2, wherein Y is alkyl, alkenyl, optionally substituted aryl or optionally substituted aralkyl, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

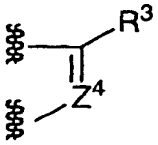
4. A compound as described in Claim 2, wherein R^3 is a group represented by the formula: $-(CH_2)n-N(-Y)-SO_2-Ar$ wherein n is 2 or 3; Y is hydrogen, alkyl, alkenyl, or aralkyl; and Ar is as defined in claim 1, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

5. A compound as described in Claim 2, wherein R^3 is a group represented by the formula:

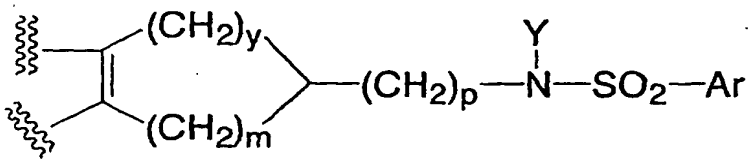


wherein m is 1; r is 0; x is 2; a broken line represents the presence or absence of a bond; and E is as defined in claim 2, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

6. A compound as described in Claim 2, wherein a group represented by the formula:

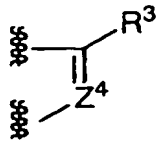


is a group represented by the formula:

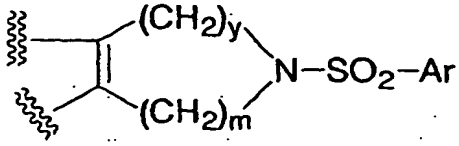


wherein m is 2; p is 0; y is 1; Y is hydrogen, alkyl, alkenyl or aralkyl; and Ar is as defined in claim 1, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

7. A compound as described in Claim 2, wherein a group represented by the formula:

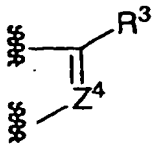


is a group represented by the formula:

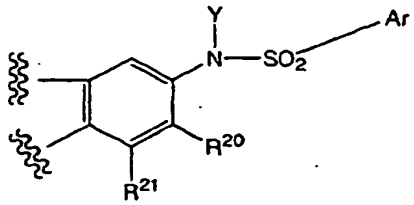


wherein m is 1 or 2; y is 1 or 2; and Ar is as defined in claim 2, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

8. A compound as described in Claim 2, wherein a group represented by the formula:



is a group represented by the formula:



wherein Y is hydrogen, alkyl, alkenyl or aralkyl; and R^{20}, R^{21} and Ar are as defined in claim 1 , a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

9. A compound as described in any one of Claims 1 to 8, wherein R^1 is carboxy, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

10. A compound as described in any one of Claims 1 to 9, wherein R^4, R^5, R^6 and R^7 are each independently hydrogen, halogen, alkyl, alkenyl, optionally substituted aryl or optionally substituted aralkyl, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

11. A compound as described in any one of Claims 1 to 10, wherein R^2 is hydrogen or alkyl, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof.

12. A pharmaceutical composition containing a compound, a prodrug, a pharmaceutically acceptable salt, or a solvate thereof as described in any one of Claims 1 to 11.

13. A pharmaceutical composition as described in Claim 12, which is used for an antagonist against the CRTH2 receptor.

14. A method for treating a disease relating to the CRTH2 receptor, which comprises administrating a compound as described in Claim 1.

15. Use of the compound as described in Claim 1 for the preparation of a pharmaceutical composition for treating a disease relating to the CRTH2 receptor.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP03/06076

A. CLASSIFICATION OF SUBJECT MATTER
Int.Cl[7] C07D209/14, 209/94, 209/86, 209/88, 401/04, 403/04, 409/12, 409/14, 471/04, 209/16, 403/06, 401/06, 401/14, 487/04, 231/56, 495/04, A61K31/403, 31/405, 31/4439, 31/454,
According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED
Minimum documentation searched (classification system followed by classification symbols)
Int.Cl[7] C07D209/14, 209/94, 209/86, 209/88, 401/04, 403/04, 409/12, 409/14, 471/04, 209/16, 403/06, 401/06, 401/14, 487/04, 231/56, 495/04, A61K31/403, 31/405, 31/4439, 31/454,

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
CAPLUS, REGISTRY(STN)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y A	EP 473024 A1 (BAYER A.G.), 04 March, 1992 (04.03.92), & DE 4027278 A & JP 4-257578 A & US 5223517 A	1-4,6,9-13, 15 5,7,8
Y	EP 451634 A2 (BAYER A.G.), 16 October, 1991 (16.10.91), & AU 9174252 A & CA 2039873 A & PT 97280 A & ZA 9102609 A & JP 4-234846 A & NZ 237709 A & US 5204374 A & TW 221995 A & IL 97795 A	1-4,6,9-13, 15

☒ Further documents are listed in the continuation of Box C. ☐ See patent family annex.

* Special categories of cited documents:
"A" document defining the general state of the art which is not considered to be of particular relevance
"E" earlier document but published on or after the international filing date
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
"O" document referring to an oral disclosure, use, exhibition or other means
"P" document published prior to the international filing date but later than the priority date claimed
"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
22 July, 2003 (22.07.03)	12 August, 2003 (12.08.03)
Name and mailing address of the ISA/ Japanese Patent Office	Authorized officer
Facsimile No.	Telephone No.

Form PCT/ISA/210 (second sheet) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP03/06076

C (Continuation). DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 425906 A2 (BAYER A.G.), 08 May, 1991 (08.05.91), & NO 9004487 A & CA 2028621 A & FI 9005294 A & AU 9063848 A & US 5039670 A & PT 95728 A & ZA 9008637 A & CN 1051354 A & US 5096897 A & US 5374647 A & PH 27484 A	1-4,6,9-13, 15
Y	JP 11-322600 A (BAYER YAKUHIN KABUSHIKI KAISHA), 24 November, 1999 (24.11.99), (Family: none)	1-4,6,9-13, 15
Y	JP 11-116477 A (BAYER YAKUHIN KABUSHIKI KAISHA), 27 April, 1999 (27.04.99), (Family: none)	1-4,6,9-13, 15
Y	JP 8-175991 A (BAYER YAKUHIN KABUSHIKI KAISHA), 09 July, 1996 (09.07.96), (Family: none)	1-4,6,9-13, 15
Y	Chemical Abstracts, Vol.117, abs.No.19896	1-4,6,9-13, 15
A	JP 11-343279 A (SHIONOGI & CO., LTD.), 14 December, 1999 (14.12.99), (Family: none)	1
A	WO 01/14882 A (BML INC.), 01 March, 2001 (01.03.01), & EP 1211513 A1 & NO 2002000837 A	13,15
A	EP 1170594 A2 (PFIZER PROD. INC.), 09 January, 2002 (09.01.02), & US 2002/022218 A1 & JP 2002-98702 A	13,15

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INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP03/06076

Box I Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. [X] Claims Nos.: 14
because they relate to subject matter not required to be searched by this Authority, namely:
The invention as set forth in claim 14 pertains to method for treatment of the human body by therapy.

2. [ ] Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:

3. [ ] Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. [ ] As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.

2. [ ] As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [ ] As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. [ ] No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest
[ ] The additional search fees were accompanied by the applicant's protest.
[ ] No protest accompanied the payment of additional search fees.

Form PCT/ISA/210 (continuation of first sheet (1)) (July 1998)

INTERNATIONAL SEARCH REPORT

International application No.
PCT/JP03/06076

Continuation of A. CLASSIFICATION OF SUBJECT MATTER
(International Patent Classification (IPC))

Int.Cl[7] 31/437, 31/4709, 31/407, A61P11/06, 37/08, 43/00

(According to International Patent Classification (IPC) or to both national classification and IPC)

Continuation of B. FIELDS SEARCHED
Minimum Documentation Searched(International Patent Classification (IPC))

Int.Cl[7] 31/437, 31/4709, 31/407, A61P11/06, 37/08, 43/00

Minimum documentation searched (classification system followed by classification symbols)

Form PCT/ISA/210 (extra sheet) (July 1998)